

```

      11 12 13 14
ring nodes :
      1 2 3 4 5 6 7
ring/chain nodes :
      8 9 10
chain bonds :
      1-8 10-11 11-12
ring/chain bonds :
      8-9 9-10
ring bonds :
      1-2 1-7 2-3 3-4
exact/norm bonds :
      1-2 1-7 1-8 2-3
exact bonds :
      11-12

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Match level :
  1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:CLASS  9:CLASS 10:CLASS
 11:CLASS 12:CLASS 13:CLASS 14:Atom
Generic attributes :
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Saturation           : Unsaturated
Type of Ring System : Monocyclic
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10/724,179

=> d his

(FILE 'HOME' ENTERED AT 15:11:38 ON 04 MAY 2006)

FILE 'REGISTRY' ENTERED AT 15:11:43 ON 04 MAY 2006

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L2 3 S L1
L3 226 S L1 SSS FUL
L4 192 S L3 AND 6-6-7/SZ
L5 34 S L3 NOT L4

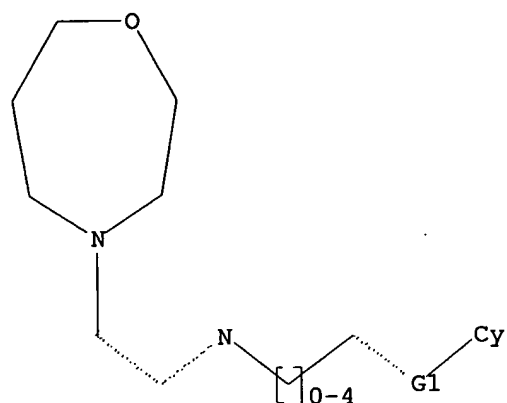
FILE 'CAPLUS' ENTERED AT 15:13:34 ON 04 MAY 2006

L6 11 S L4

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,O

Structure attributes must be viewed using STN Express query preparation.

=> d ibib abs hitstr total

L6 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:971921 CAPLUS

DOCUMENT NUMBER: 140:19879

TITLE: Drug compositions containing calcium channel antagonists exhibiting intestinal tract selectivity

INVENTOR(S): Hashimoto, Masaki; Takahashi, Kazuyoshi

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2003101490 | A1 | 20031211 | WO 2003-JP6847 | 20030530 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| JP 2005343790 | A2 | 20051215 | JP 2002-160187 | 20020531 |
| AU 2003241991 | A1 | 20031219 | AU 2003-241991 | 20030530 |
| PRIORITY APPLN. INFO.: | | | JP 2002-160187 | A 20020531 |
| | | | WO 2003-JP6847 | W 20030530 |

OTHER SOURCE(S): MARPAT 140:19879

AB Disclosed are a drug composition comprising a calcium channel antagonist exhibiting intestinal tract selectivity that is used for treating Alzheimer disease, mental illness, adolescent insanity, manic depression, migraine, lactation disorder, dementia, autism, hypertension, glaucoma, pain, thromboembolism, arrhythmia, epilepsy or obesity; and a serotonin liberation inhibitor comprising a calcium channel antagonist exhibiting intestinal tract selectivity. A compound (R)-3-chloro-5,11-dihydro-5-[1-(4-dimethylaminophenethyl)pyrrolidine-2-ylmethyl]dibenzo[b,e][1,4]oxazepine dihydrochloride (I) was prepared, and its effect on serotonin liberation in isolated mouse ileum was tested. A tablet containing I 50 mg/tablet was also formulated.

IT 477778-65-7P

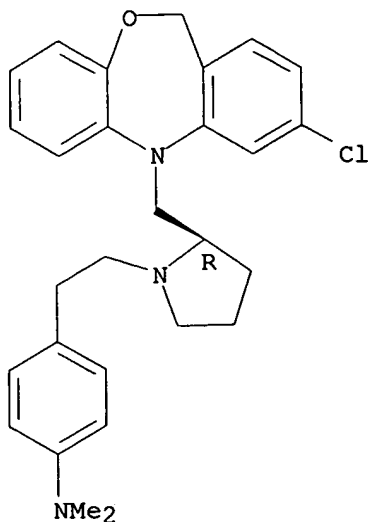
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(medicinal compns. containing calcium channel antagonists exhibiting intestinal tract selectivity)

RN 477778-65-7 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



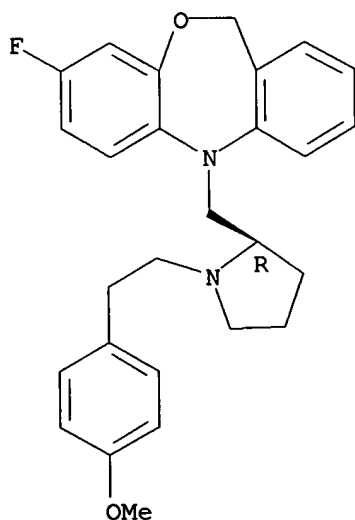
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 630095-16-8P 630095-17-9P 630095-18-0P
 630095-19-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (medicinal compns. containing calcium channel antagonists exhibiting intestinal tract selectivity)

RN 477778-53-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

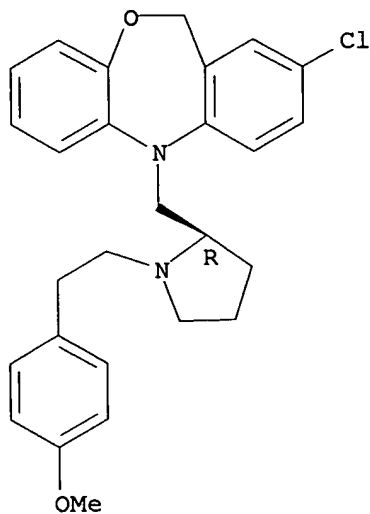


● HCl

RN 477778-55-5 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



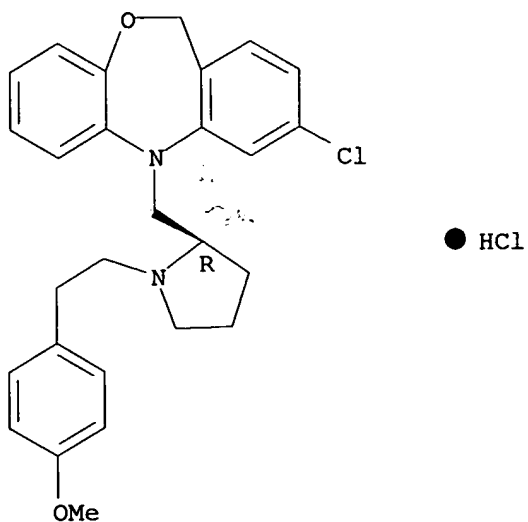
● HCl

RN 477778-57-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

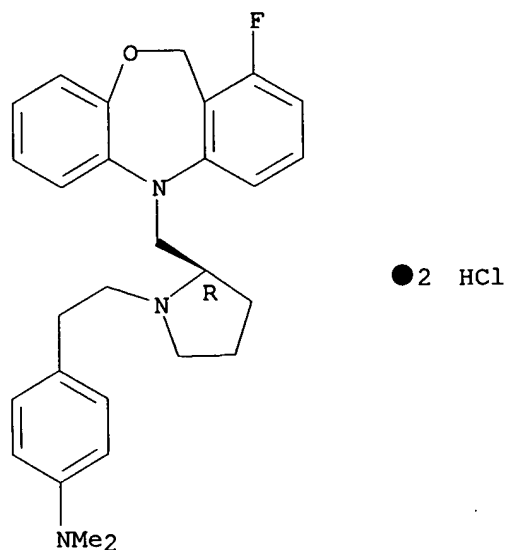
10/724,179



RN 477778-61-3 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

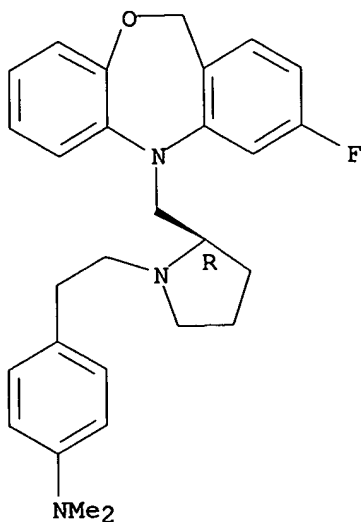
Absolute stereochemistry.



RN 477778-63-5 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

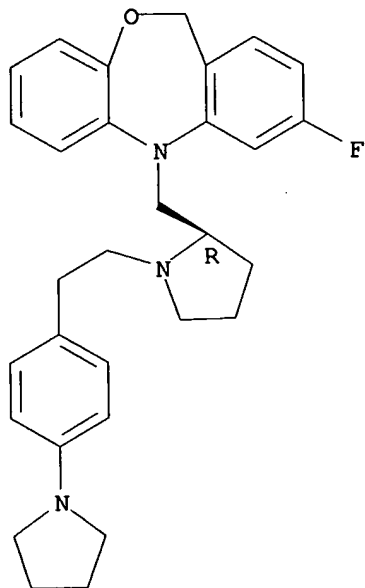
Absolute stereochemistry.



● 2 HCl

RN 477778-69-1 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl)methyl]-, dihydrochloride (9CI)
 (CA INDEX NAME)

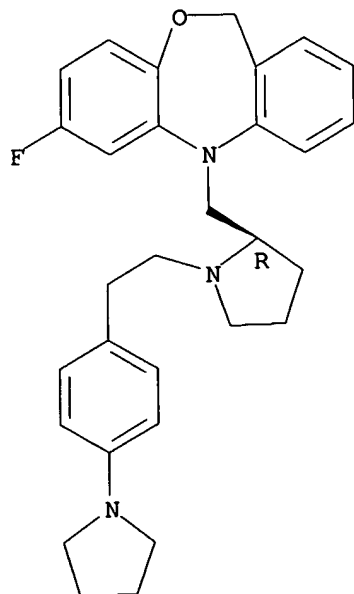
Absolute stereochemistry.



● 2 HCl

RN 477778-71-5 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl)methyl]-, dihydrochloride (9CI)
 (CA INDEX NAME)

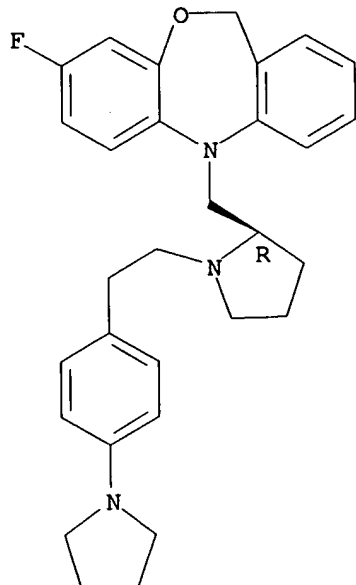
Absolute stereochemistry.



● 2 HCl

RN 477778-73-7 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

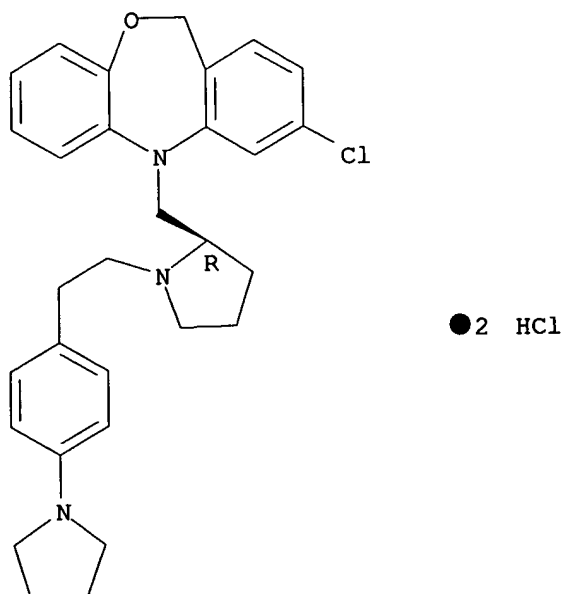


● 2 HCl

RN 477778-75-9 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)
 (CA INDEX NAME)

10/724,179

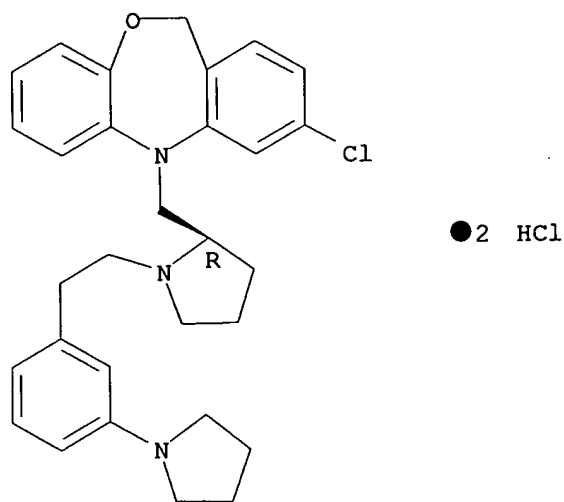
Absolute stereochemistry.



RN 477778-77-1 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)
(CA INDEX NAME)

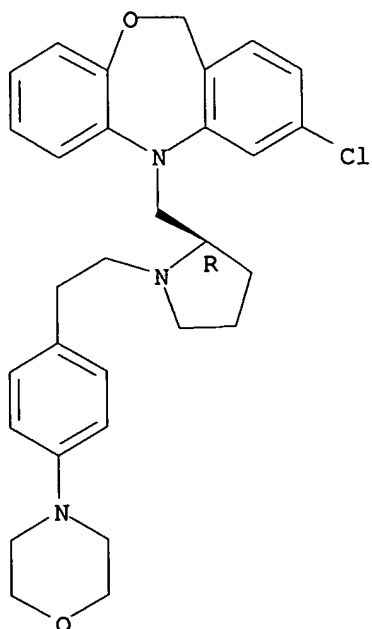
Absolute stereochemistry.



RN 477778-79-3 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

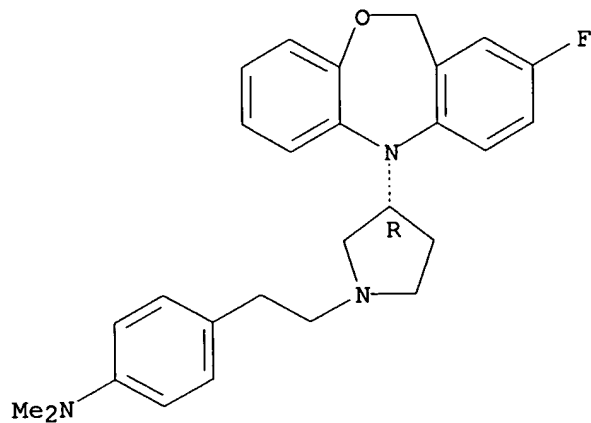


● 2 HCl

RN 477778-81-7 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

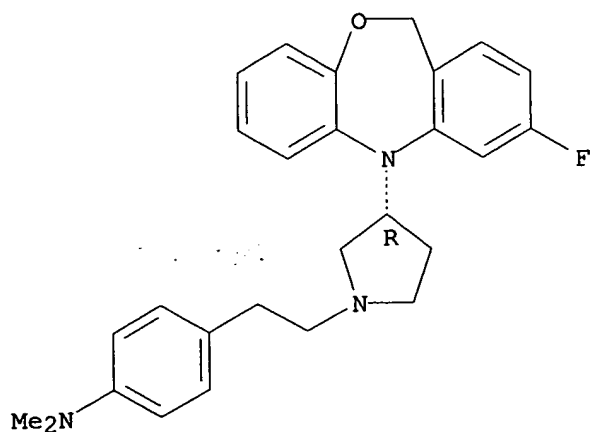


● 2 HCl

RN 477778-83-9 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

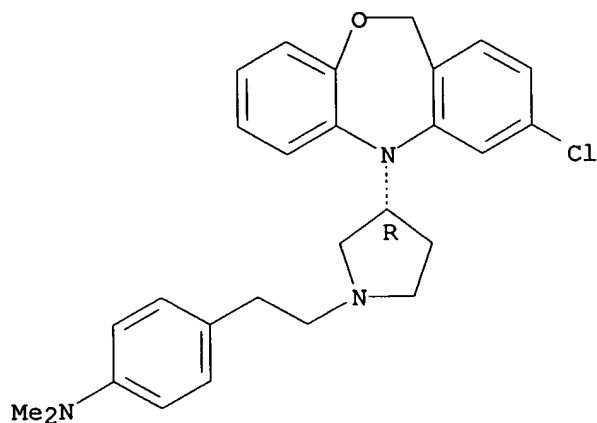


● 2 HCl

RN 477778-85-1 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

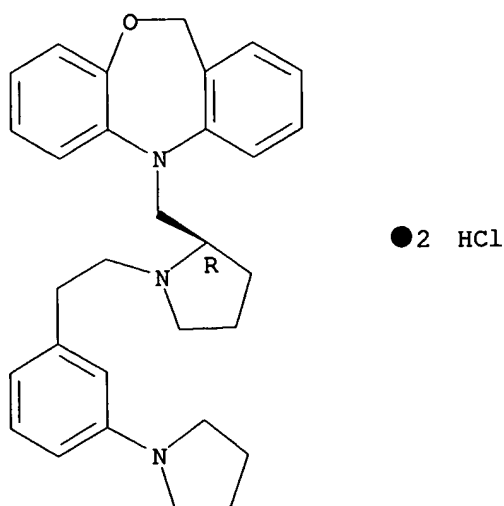


● 2 HCl

RN 477778-91-9 CAPLUS

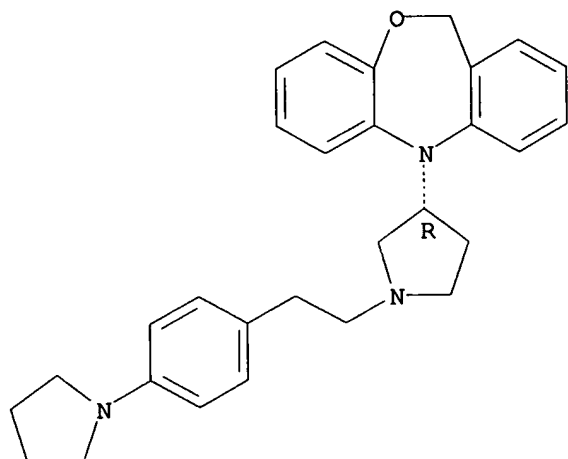
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477778-93-1 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

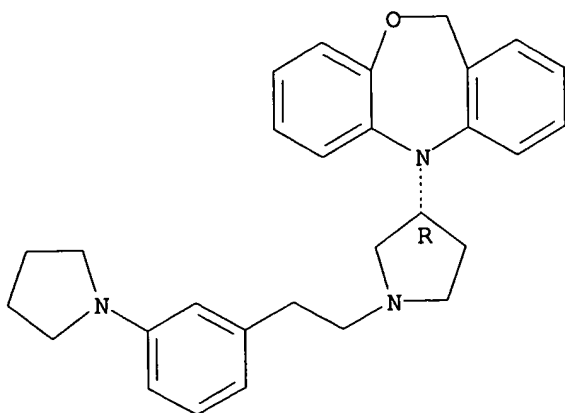
Absolute stereochemistry.



● 2 HCl

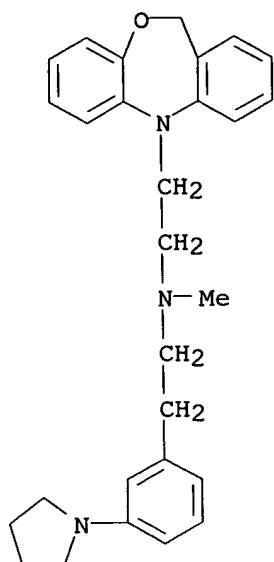
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 CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

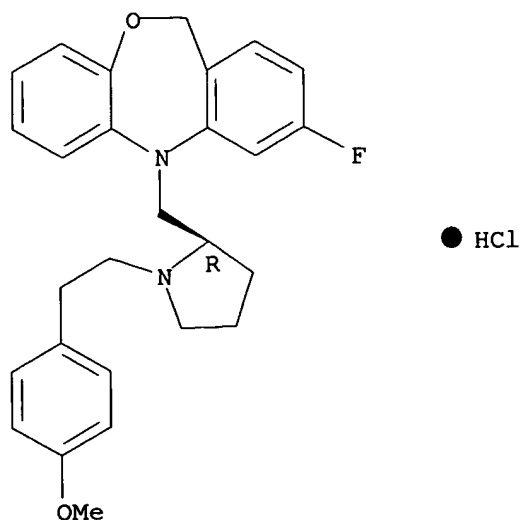
RN 477778-97-5 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 630095-00-0 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

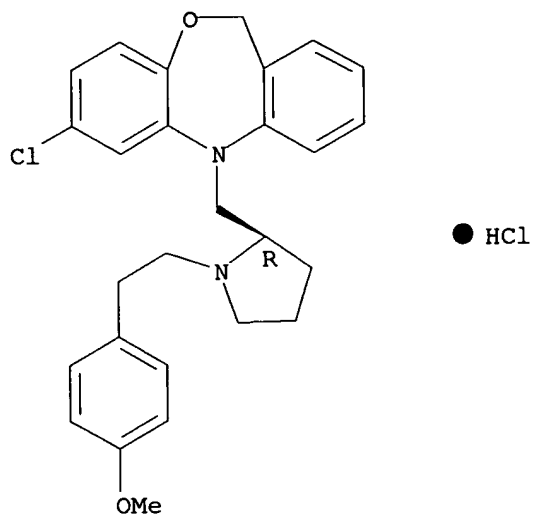
Absolute stereochemistry.



RN 630095-01-1 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 7-chloro-5,11-dihydro-5-[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

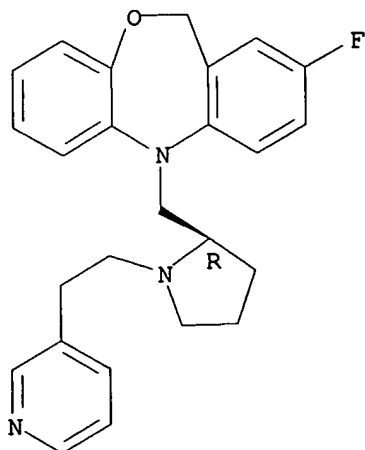
Absolute stereochemistry.



RN 630095-02-2 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[(2R)-1-[2-(3-pyridinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

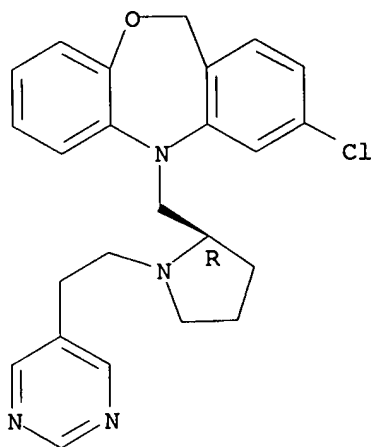
Absolute stereochemistry.



RN 630095-03-3 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(5-pyrimidinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

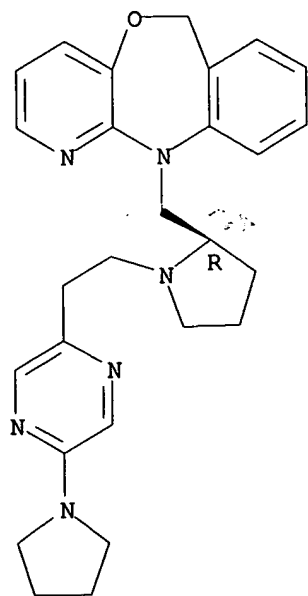


RN 630095-04-4 CAPLUS

CN Pyrido[2,3-b][4,1]benzoxazepine, 6,11-dihydro-11-[[(2R)-1-[2-[5-(1-pyrrolidinyl)pyrazinyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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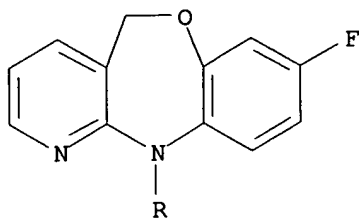


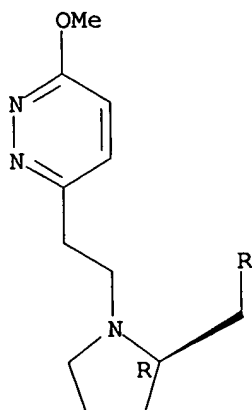
RN 630095-05-5 CAPLUS

CN Pyrido[3,2-c][1,5]benzoxazepine, 8-fluoro-5,11-dihydro-11-[[(2R)-1-[2-(6-methoxy-3-pyridazinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

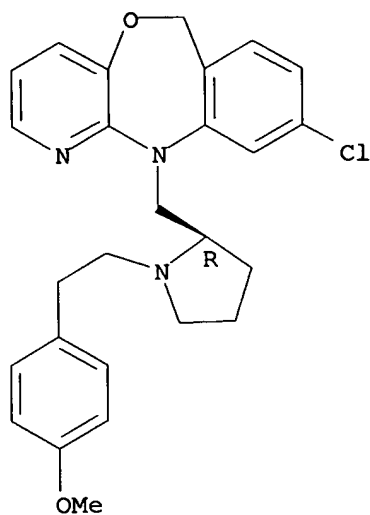




RN 630095-06-6 CAPLUS

CN Pyrido[2,3-b][4,1]benzoxazepine, 9-chloro-6,11-dihydro-11-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

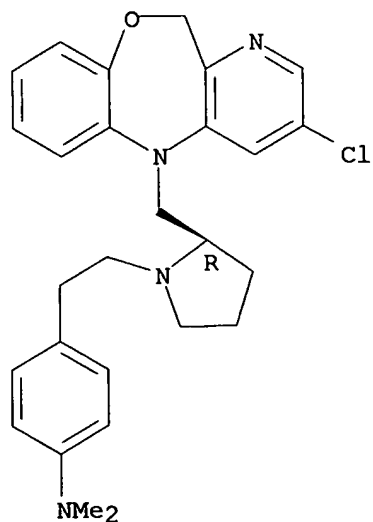
Absolute stereochemistry.



RN 630095-07-7 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-chloropyrido[2,3-c][1,5]benzoxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

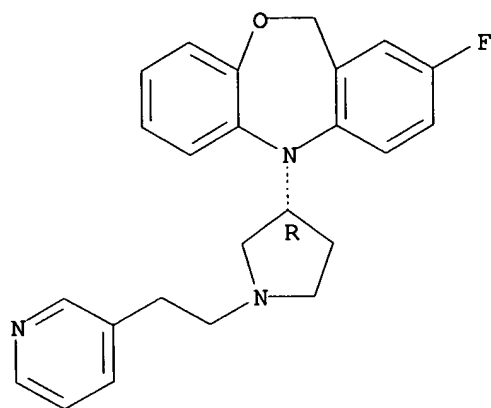
Absolute stereochemistry.



RN 630095-08-8 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[(3R)-1-[2-(3-pyridinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

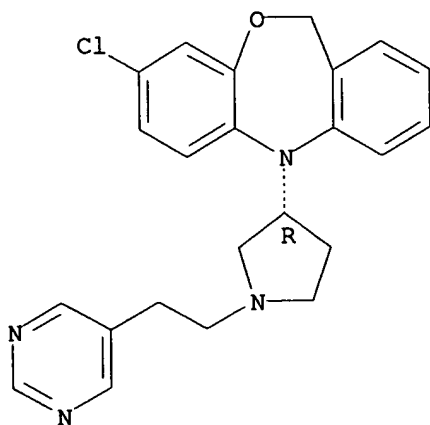


RN 630095-09-9 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 8-chloro-5,11-dihydro-5-[(3R)-1-[2-(5-pyrimidinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

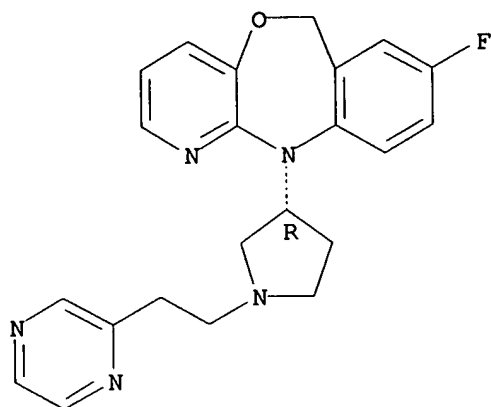
10/724,179



RN 630095-10-2 CAPLUS

CN Pyrido[2,3-b][4,1]benzoxazepine, 8-fluoro-6,11-dihydro-11-[(3R)-1-(2-pyrazinylethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

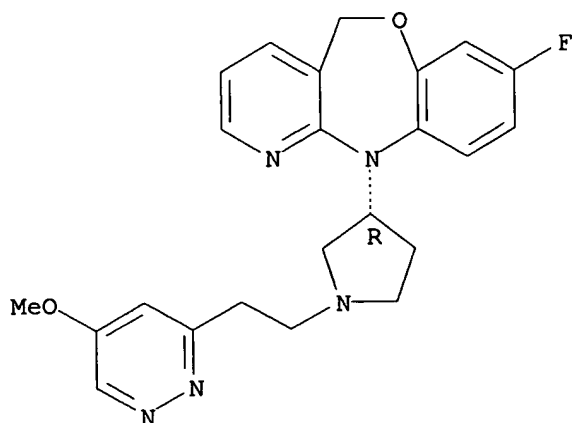
Absolute stereochemistry.



RN 630095-11-3 CAPLUS

CN Pyrido[3,2-c][1,5]benzoxazepine, 8-fluoro-5,11-dihydro-11-[(3R)-1-(2-(5-methoxy-3-pyridazinyl)ethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

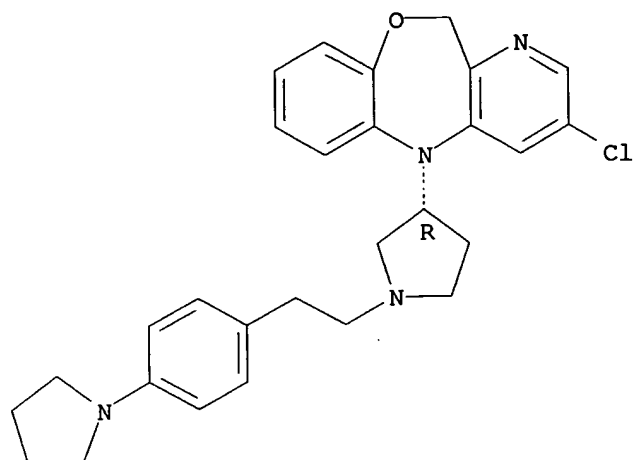
Absolute stereochemistry.



RN 630095-13-5 CAPLUS

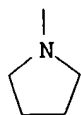
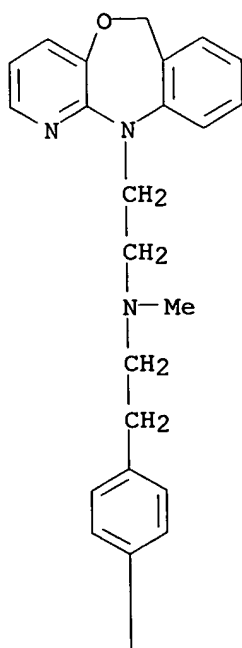
CN Pyrido[2,3-c][1,5]benzoxazepine, 3-chloro-5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



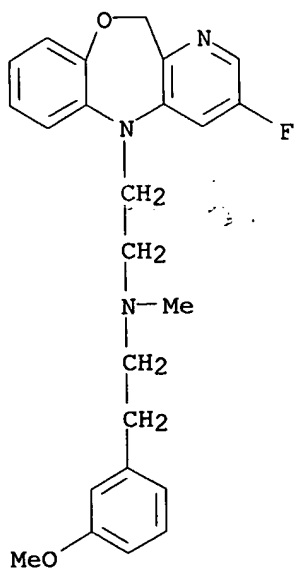
RN 630095-14-6 CAPLUS

CN Pyrido[2,3-b][4,1]benzoxazepine-11(6H)-ethanamine, N-methyl-N-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



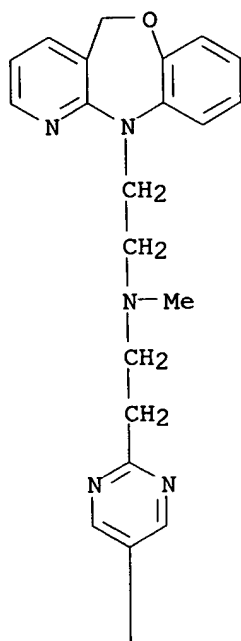
RN 630095-15-7 CAPLUS
CN Pyrido[2,3-c][1,5]benzoxazepine-5(11H)-ethanamine, 3-fluoro-N-[2-(3-methoxyphenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

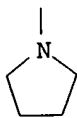
10/724,179



RN : 630095-16-8 CAPLUS
CN Pyrido[3,2-c][1,5]benzoxazepine-11(5H)-ethanamine, N-methyl-N-[2-[5-(1-pyrrolidinyl)-2-pyrimidinyl]ethyl]- (9CI) (CA INDEX NAME)

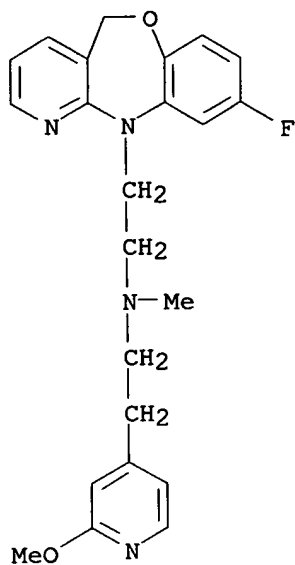
PAGE 1-A





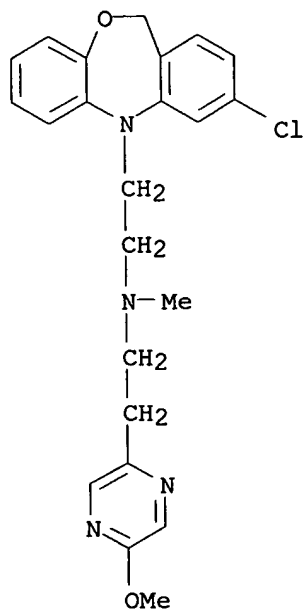
RN 630095-17-9 CAPLUS

CN Pyrido[3,2-c][1,5]benzoxazepine-11(5H)-ethanamine, 9-fluoro-N-[2-(2-methoxy-4-pyridinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



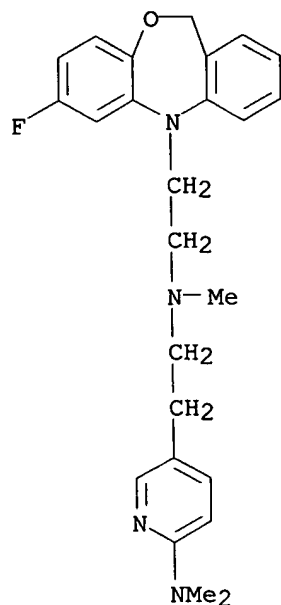
RN 630095-18-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, 3-chloro-N-[2-(5-methoxypyrazinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 630095-19-1 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[6-(dimethylamino)-3-pyridinyl]ethyl]-7-fluoro-N-methyl- (9CI) (CA INDEX NAME)



IT 477778-66-8

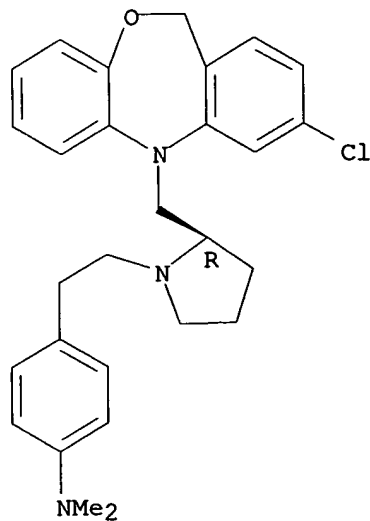
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal comps. containing calcium channel antagonists exhibiting
intestinal tract selectivity)

RN 477778-66-8 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenzo[b,e][1,4]oxazepin-5(11H)-

yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



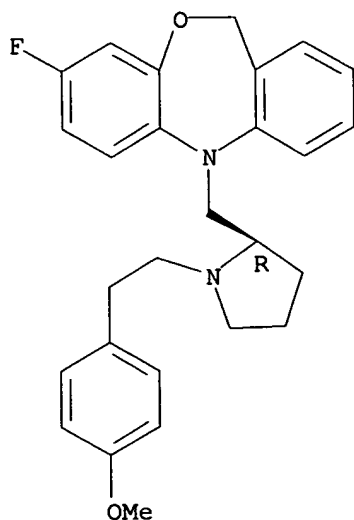
IT 477778-54-4P 477778-56-6P 477778-58-8P
 477778-62-4P 477778-64-6P 477778-68-0P
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 477778-82-8P 477778-84-0P 477778-86-2P
 477778-88-4P 477778-92-0P 477778-96-4P
 477778-98-6P 477779-17-2P 477779-22-9P
 630095-20-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of calcium channel antagonists exhibiting intestinal tract
 selectivity)

RN 477778-54-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

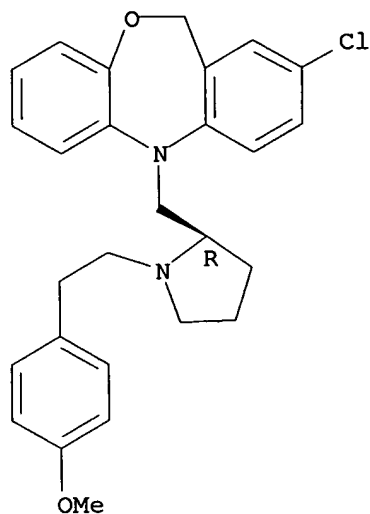
Absolute stereochemistry.



RN 477778-56-6 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

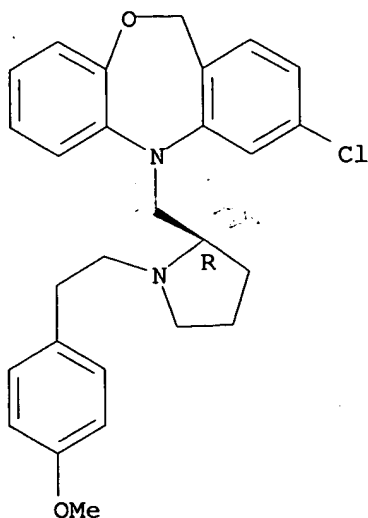


RN 477778-58-8 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

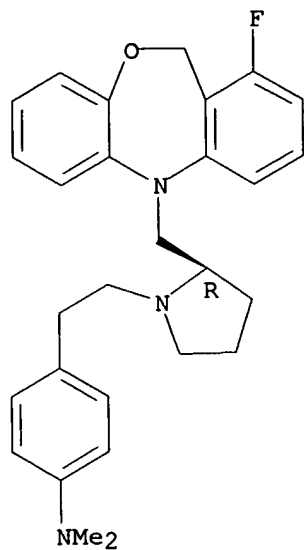
10/724,179



RN 477778-62-4 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

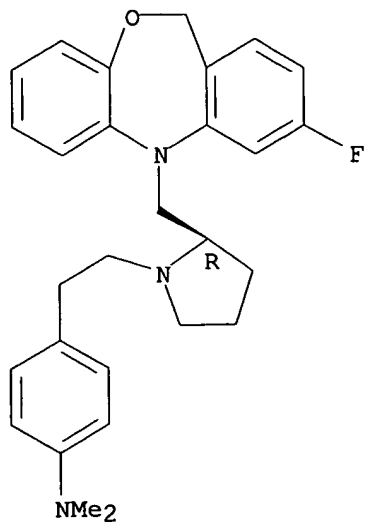


RN 477778-64-6 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

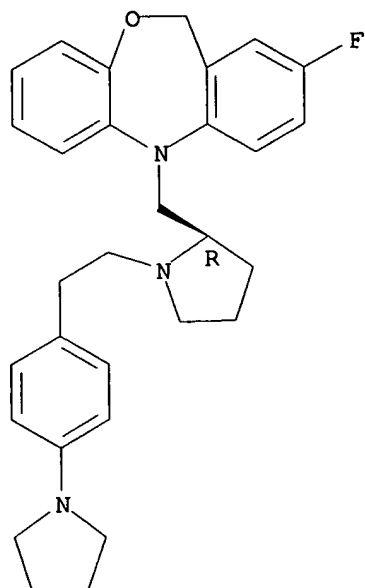
10/724,179



RN 477778-68-0 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[2-[[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

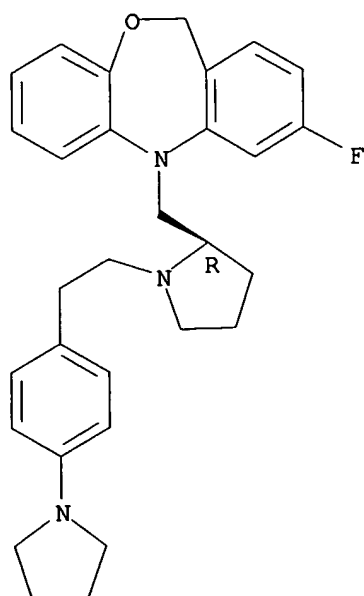
Absolute stereochemistry.



RN 477778-70-4 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[2-[[4-(1-pyrrolidinyl)phenyl]ethyl]pyrrolidin-2-yl]methyl]- (9CI) (CA INDEX NAME)

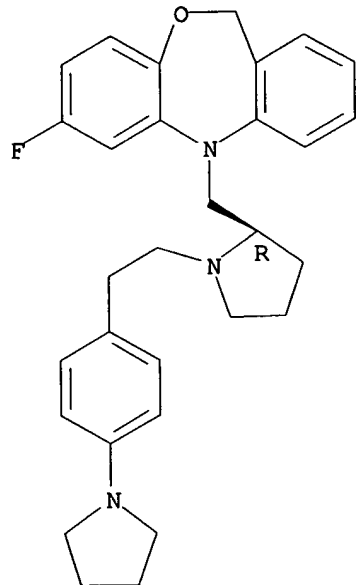
Absolute stereochemistry.



RN 477778-72-6 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

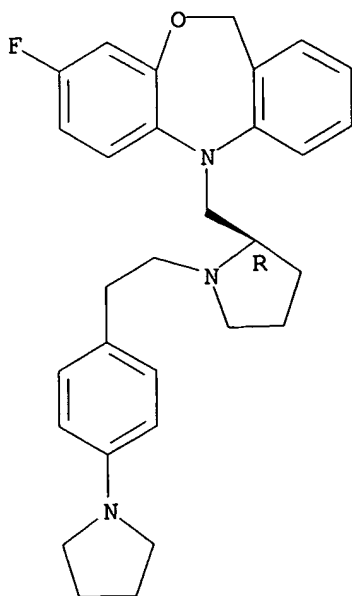


RN 477778-74-8 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

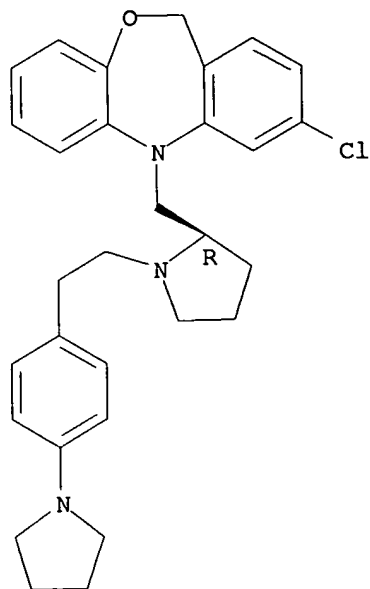
10/724,179



RN 477778-76-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

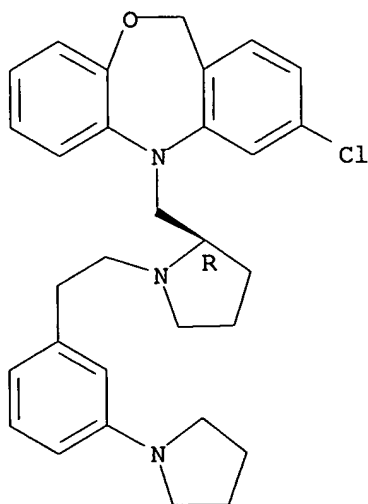
Absolute stereochemistry.



RN 477778-78-2 CAPLUS

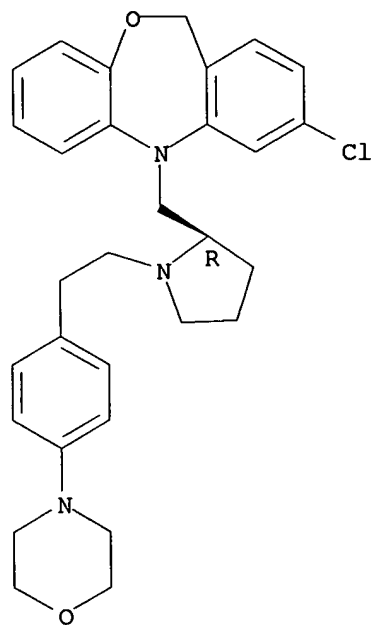
CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



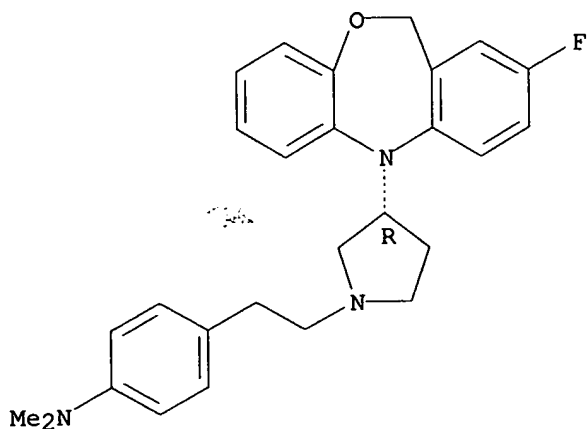
RN 477778-80-6 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477778-82-8 CAPLUS
 CN Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenzo[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

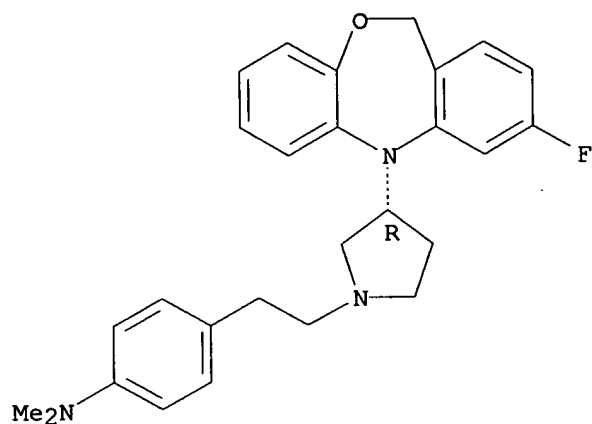
Absolute stereochemistry.



RN 477778-84-0 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

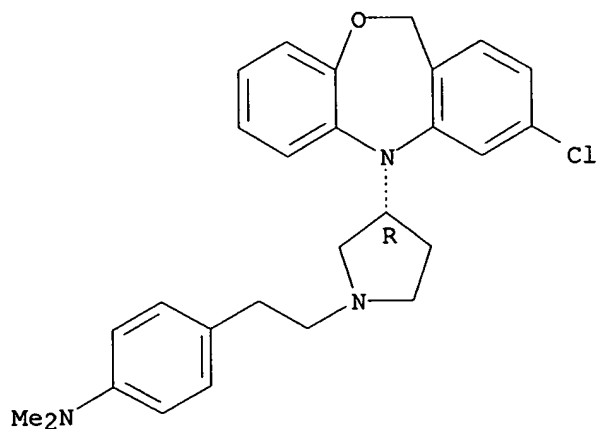
Absolute stereochemistry.



RN 477778-86-2 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

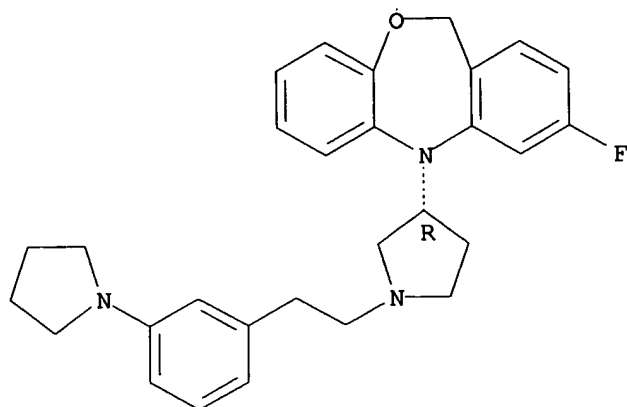
Absolute stereochemistry.



RN 477778-88-4 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

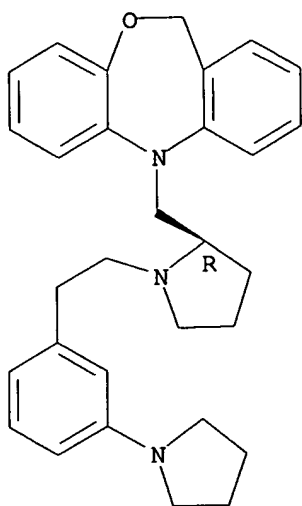
Absolute stereochemistry.



RN 477778-92-0 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

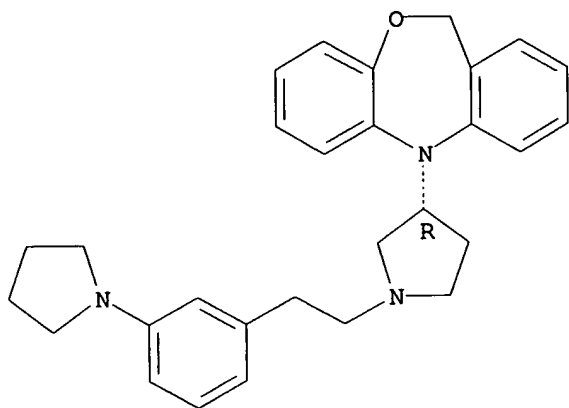
Absolute stereochemistry.



RN 477778-96-4 CAPLUS

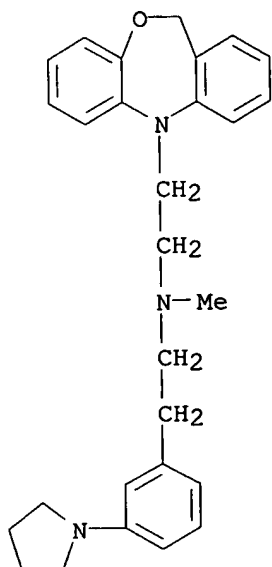
CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477778-98-6 CAPLUS

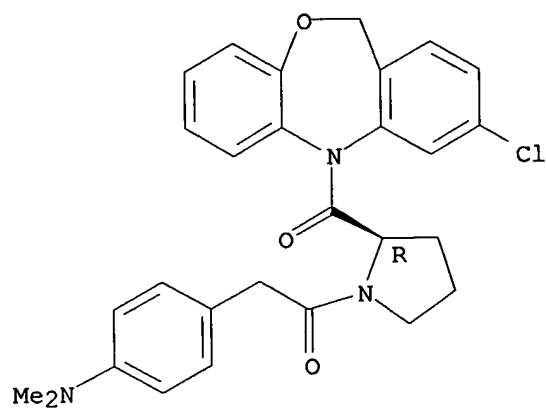
CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



RN 477779-17-2 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5-[[(2R)-1-[[4-(dimethylamino)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]-5,11-dihydro- (9CI)
(CA INDEX NAME)

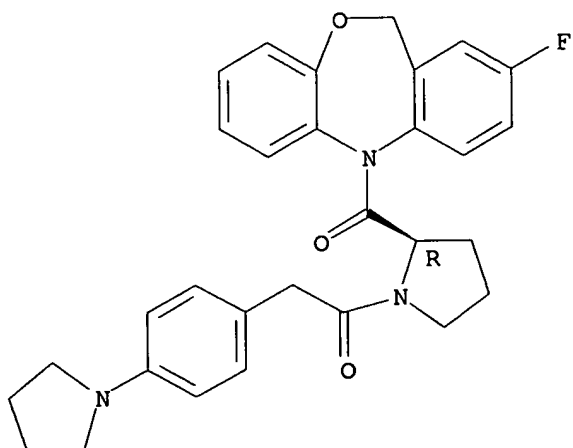
Absolute stereochemistry.



RN 477779-22-9 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[[4-(1-pyrrolidinyl)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

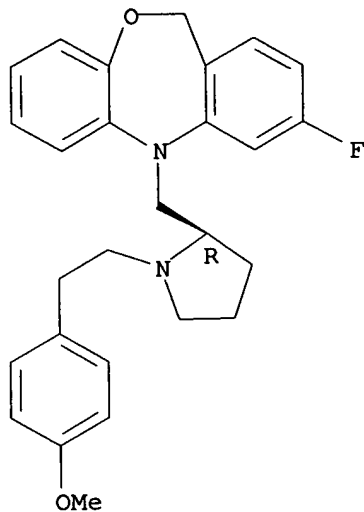
Absolute stereochemistry.



RN 630095-20-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



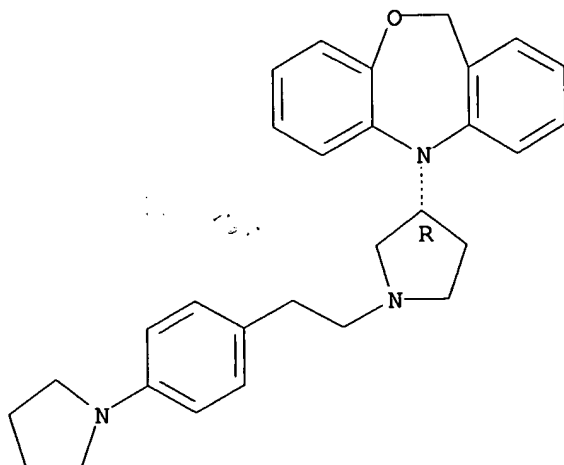
IT 477778-94-2P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
USES (Uses)
(preparation of calcium channel antagonists exhibiting intestinal tract selectivity)

RN 477778-94-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1-methoxyphenyl)ethyl]-3-pyrrolidinyl]]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

98

THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:971920 CAPLUS

DOCUMENT NUMBER: 140:19878

TITLE: Medicinal compositions containing defined calcium channel antagonists for treatment for digestive tract disease

INVENTOR(S): Yamada, Youji; Takahashi, Kazuyoshi; Hashimoto, Masaki

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2003101489 | A1 | 20031211 | WO 2003-JP6845 | 20030530 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| JP 2005343791 | A2 | 20051215 | JP 2002-160188 | 20020531 |
| AU 2003241987 | A1 | 20031219 | AU 2003-241987 | 20030530 |
| PRIORITY APPLN. INFO.: | | | JP 2002-160188 | A 20020531 |
| | | | WO 2003-JP6845 | W 20030530 |

OTHER SOURCE(S): MARPAT 140:19878

AB Disclosed is a medicinal composition containing defined calcium channel antagonist,

e.g. 5,11-dihydrodiaryl[b,e][1,4]oxazepine derivative, 5,11-dihydrodibenzo[b,e][1,4]thiazepine derivative, etc., having a selectivity to digestive tract. The composition is used for treatments for diseases accompanied by an organic change of the digestive tract. A compound (R)-3-chloro-5,11-dihydro-5-[1-(4-dimethylaminophenethyl)pyrrolidine-2-ylmethyl]dibenzo[b,e][1,4]oxazepine dihydrochloride (I) was prepared, and its calcium channel blocking effect on isolated colon and ileum membranes. A tablet containing I 50 mg/tablet was also formulated.

IT 477778-65-7P

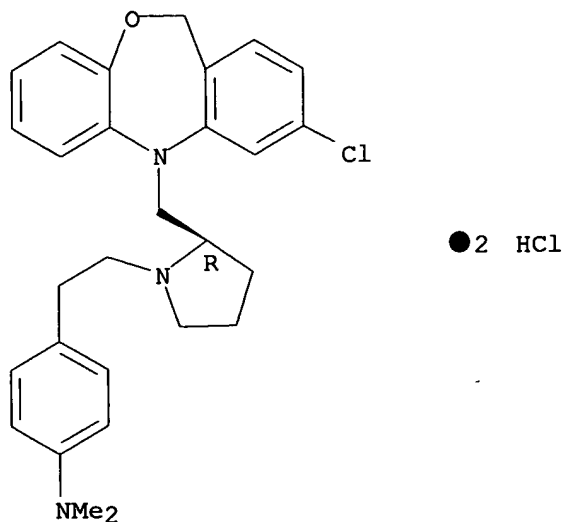
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(medicinal compns. containing defined calcium channel antagonists for treatment for digestive tract disease)

RN 477778-65-7 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



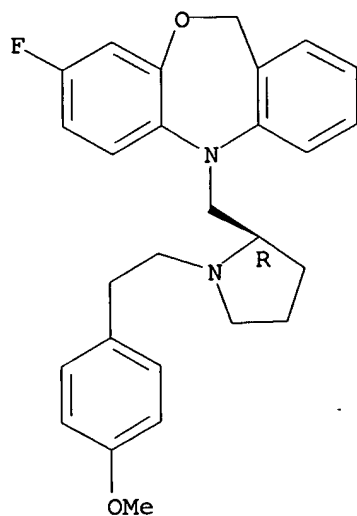
IT **477778-54-4P 630095-20-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(medicinal compns. containing defined calcium channel antagonists for treatment for digestive tract disease)

RN 477778-54-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

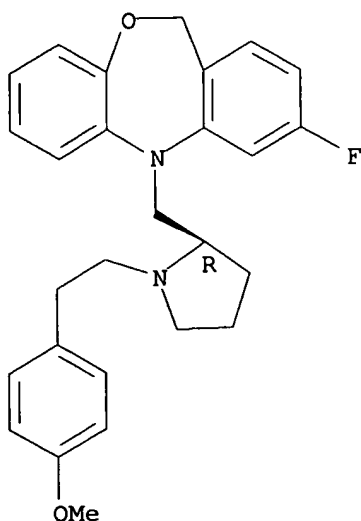
Absolute stereochemistry.



RN 630095-20-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



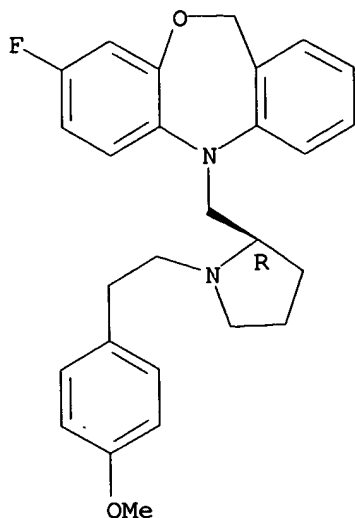
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 477778-77-1P 477778-79-3P 477778-81-7P
 477778-83-9P 477778-85-1P 477778-91-9P
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 630095-13-5P 630095-14-6P 630095-15-7P
 630095-16-8P 630095-17-9P 630095-19-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (medicinal compns. containing defined calcium channel antagonists for treatment for digestive tract disease)

RN 477778-53-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

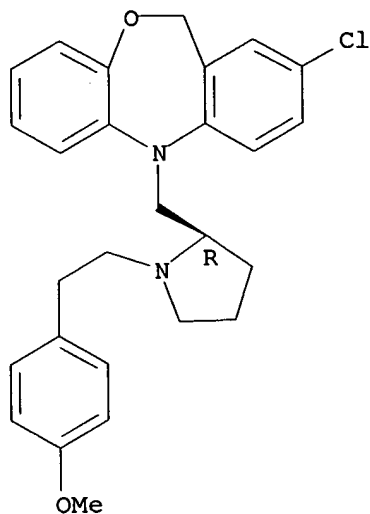


● HCl

RN 477778-55-5 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



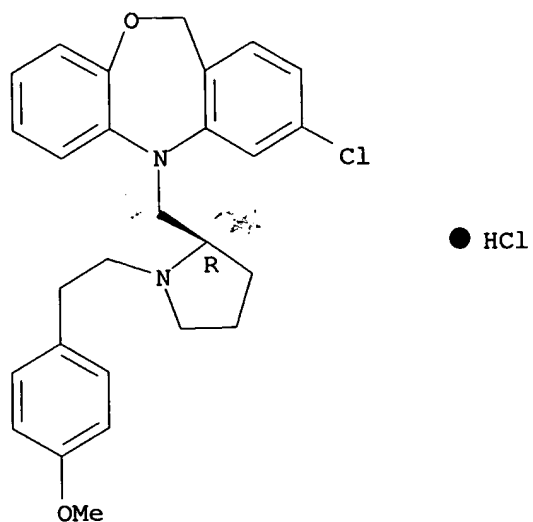
● HCl

RN 477778-57-7 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

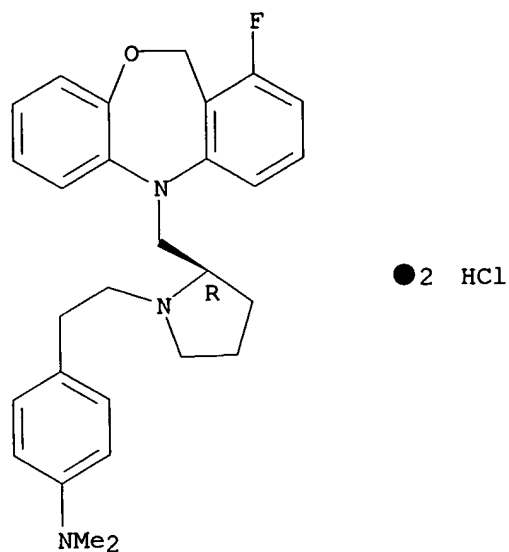
10/724,179



RN 477778-61-3 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

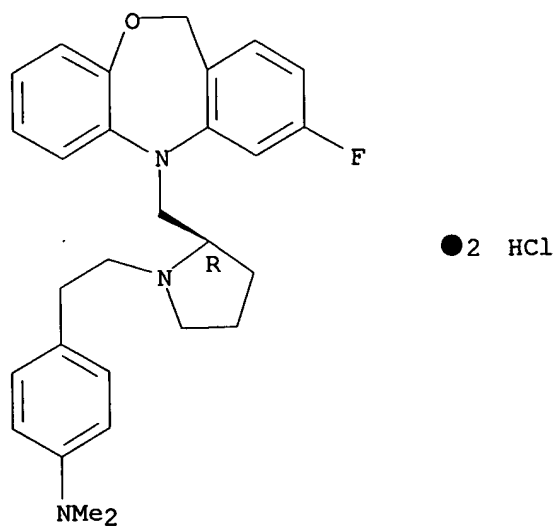
Absolute stereochemistry.



RN 477778-63-5 CAPLUS

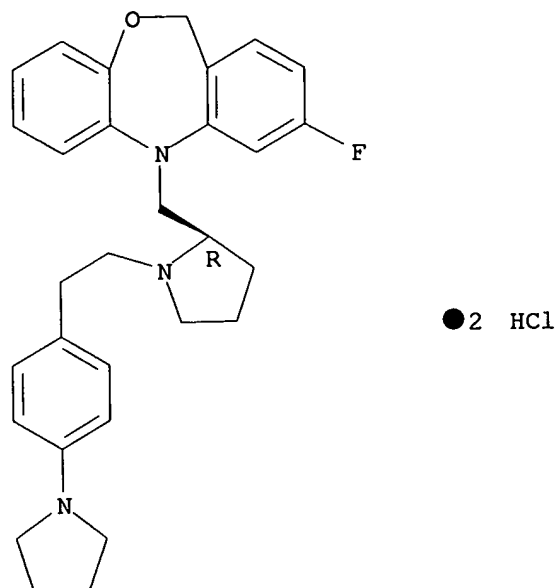
CN Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



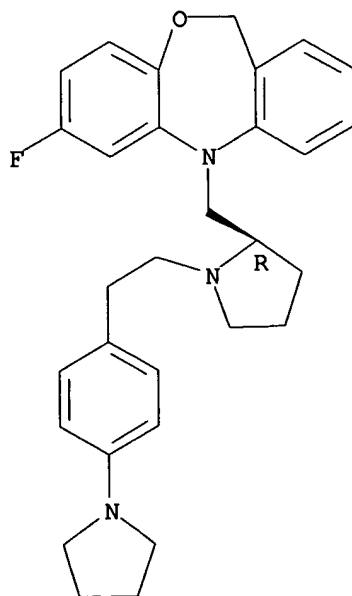
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 CN Dibenzo[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl)methyl]-, dihydrochloride (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 477778-71-5 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl)methyl]-, dihydrochloride (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

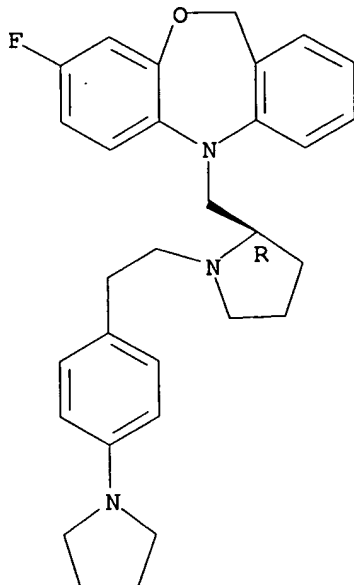


● 2 HCl

RN 477778-73-7 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[2-[[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



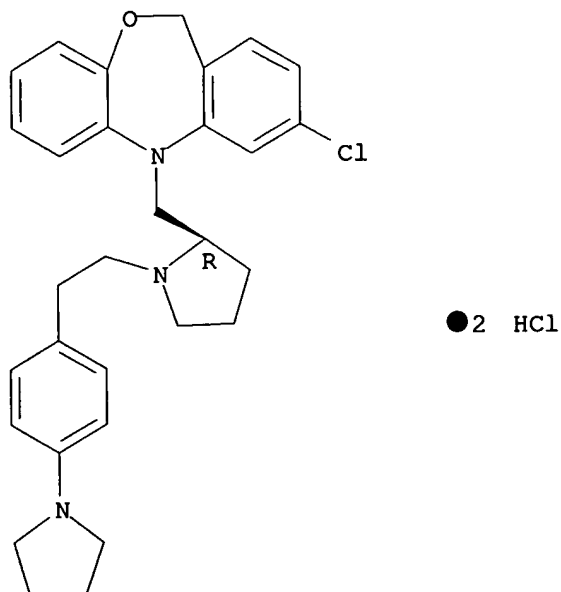
● 2 HCl

RN 477778-75-9 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[2-[[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)
(CA INDEX NAME)

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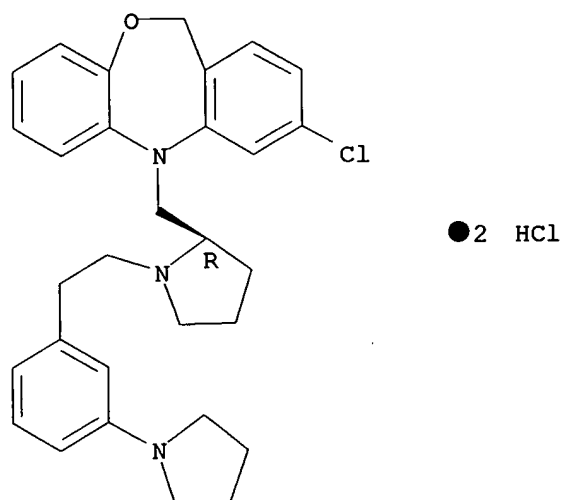
Absolute stereochemistry.



RN 477778-77-1 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)
(CA INDEX NAME)

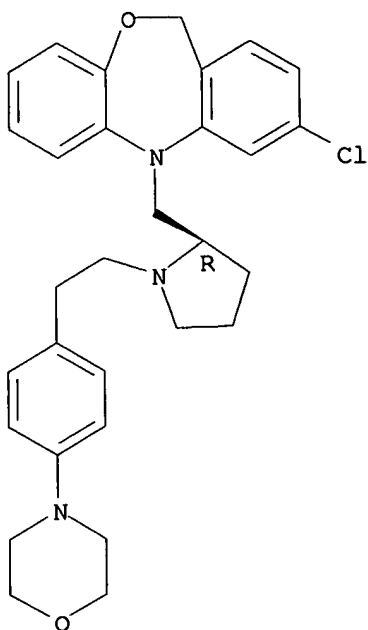
Absolute stereochemistry.



RN 477778-79-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

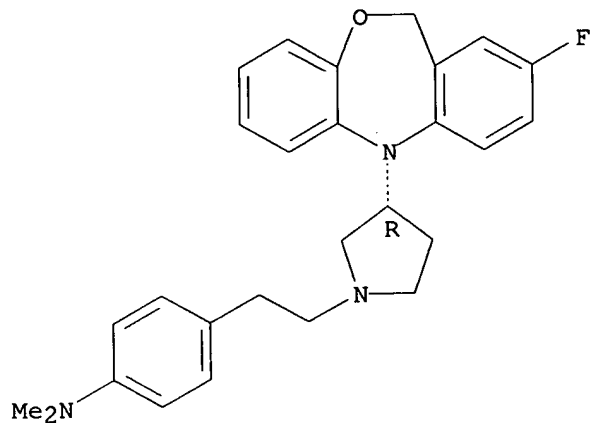


●2 HCl

RN 477778-81-7 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



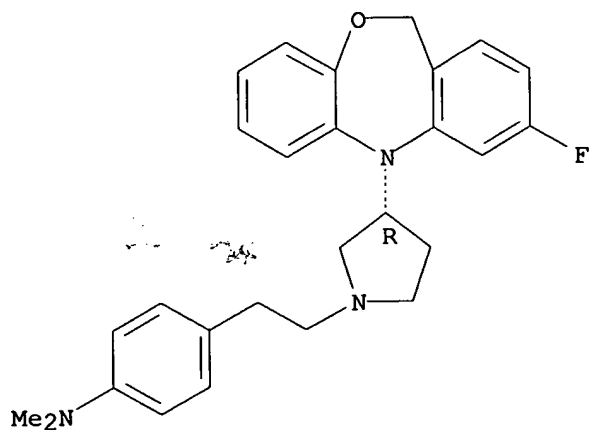
●2 HCl

RN 477778-83-9 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/724,179

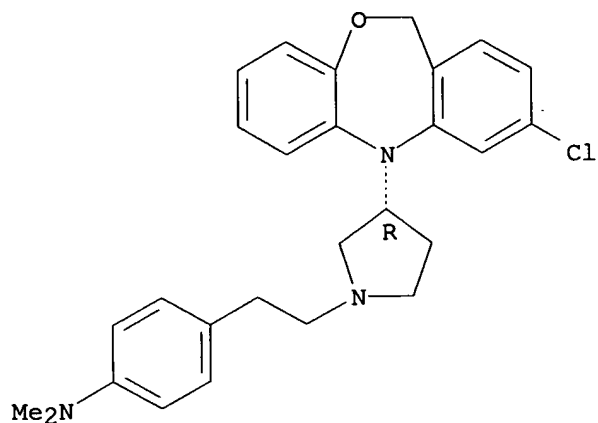


●2 HCl

RN 477778-85-1 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

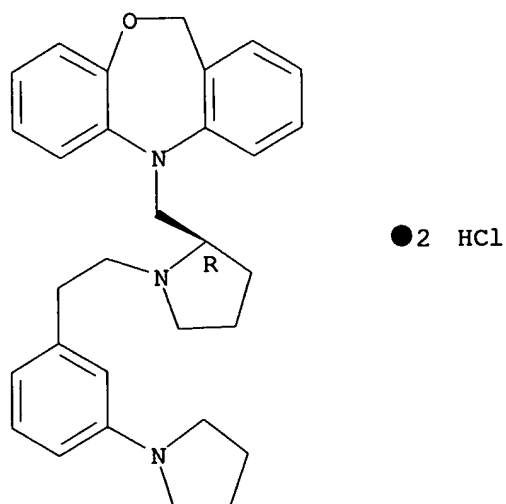


●2 HCl

RN 477778-91-9 CAPLUS

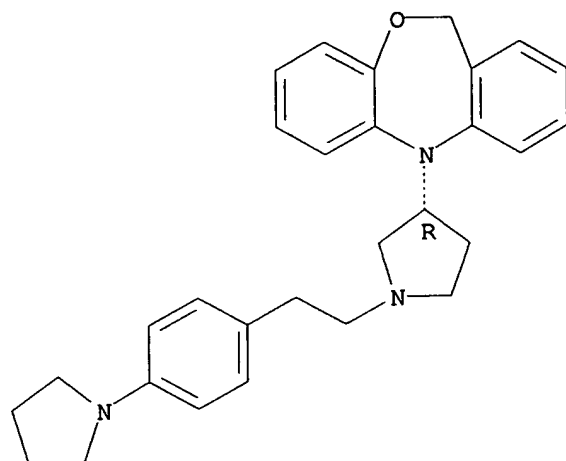
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477778-93-1 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

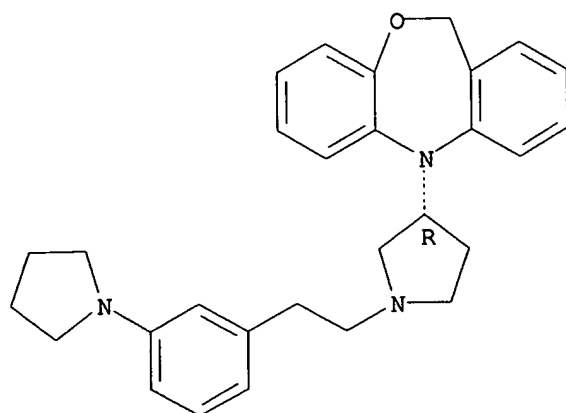
Absolute stereochemistry.



● 2 HCl

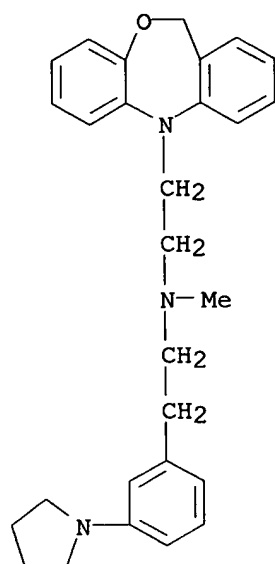
RN 477778-95-3 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

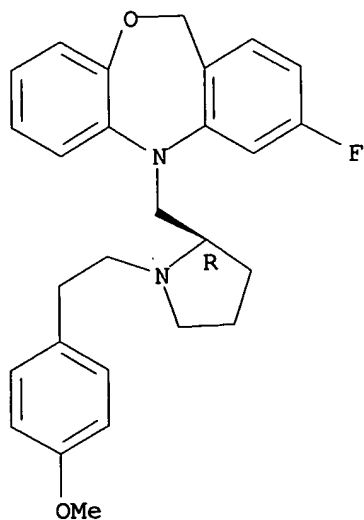
RN 477778-97-5 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 630095-00-0 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

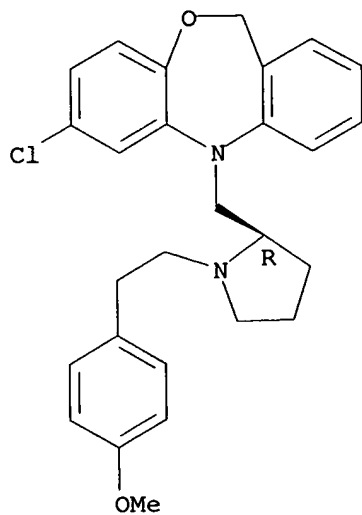


● HCl

RN 630095-01-1 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 7-chloro-5,11-dihydro-5-[[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

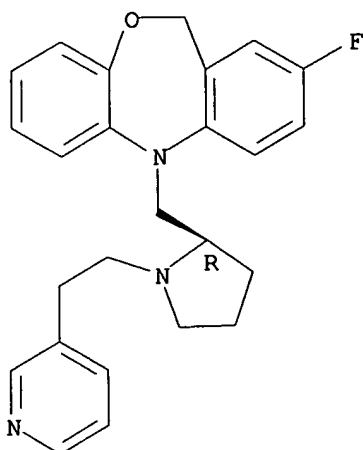


● HCl

RN 630095-02-2 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[2-(3-pyridinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

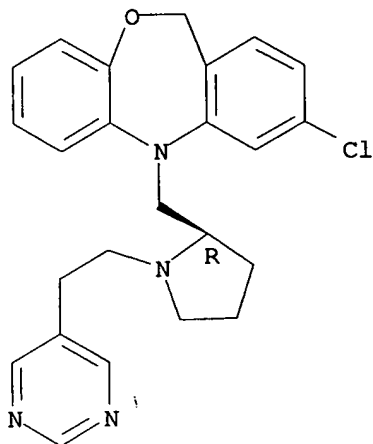
Absolute stereochemistry.



RN 630095-03-3 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(5-pyrimidinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

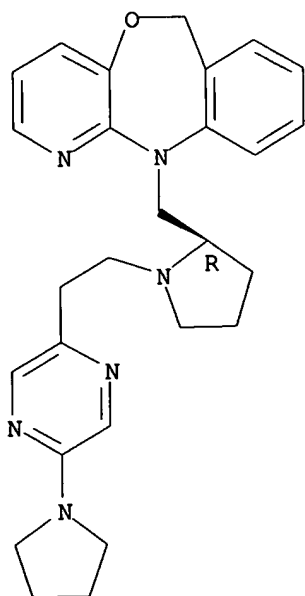
Absolute stereochemistry.



RN 630095-04-4 CAPLUS

CN Pyrido[2,3-b][4,1]benzoxazepine, 6,11-dihydro-11-[[(2R)-1-[2-[5-(1-pyrrolidinyl)pyrazinyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

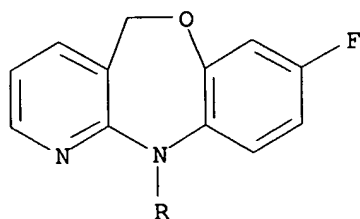
Absolute stereochemistry.

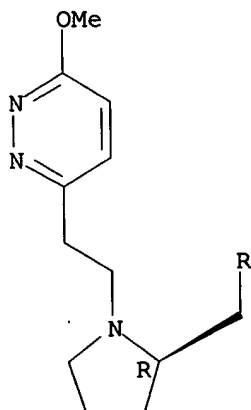


RN 630095-05-5 CAPLUS
 CN Pyrido[3,2-c][1,5]benzoxazepine, 8-fluoro-5,11-dihydro-11-[[(2R)-1-[2-(6-methoxy-3-pyridazinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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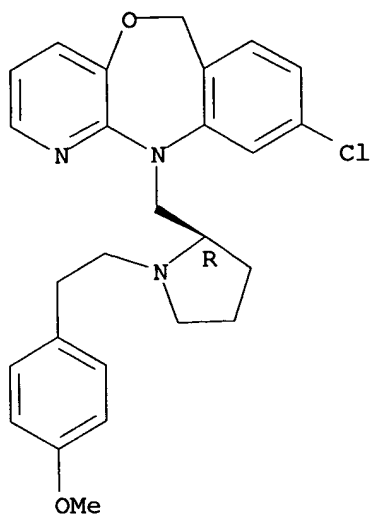




RN 630095-06-6 CAPLUS

CN Pyrido[2,3-b][4,1]benzoxazepine, 9-chloro-6,11-dihydro-11-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

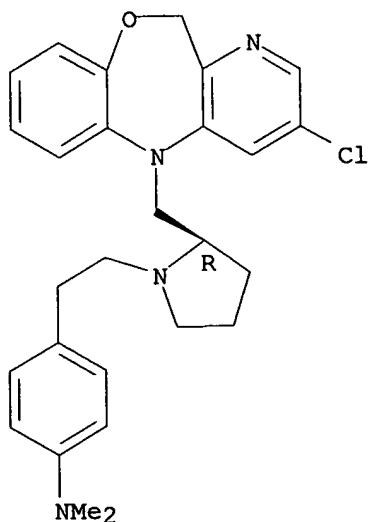
Absolute stereochemistry.



RN 630095-07-7 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-chloropyrido[2,3-c][1,5]benzoxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

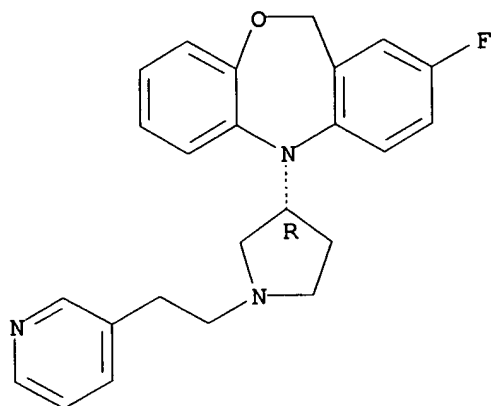
Absolute stereochemistry.



RN 630095-08-8 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[(3R)-1-[2-(3-pyridinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

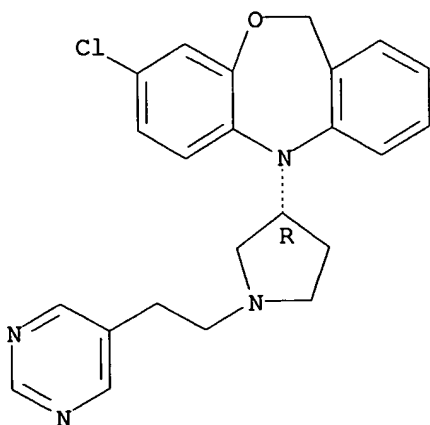
Absolute stereochemistry.



RN 630095-09-9 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 8-chloro-5,11-dihydro-5-[(3R)-1-[2-(5-pyrimidinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

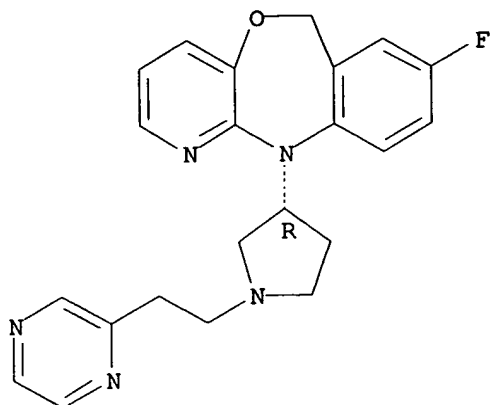
Absolute stereochemistry.



RN 630095-10-2 CAPLUS

CN Pyrido[2,3-b][4,1]benzoxazepine, 8-fluoro-6,11-dihydro-11-[(3R)-1-(2-pyrazinylethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

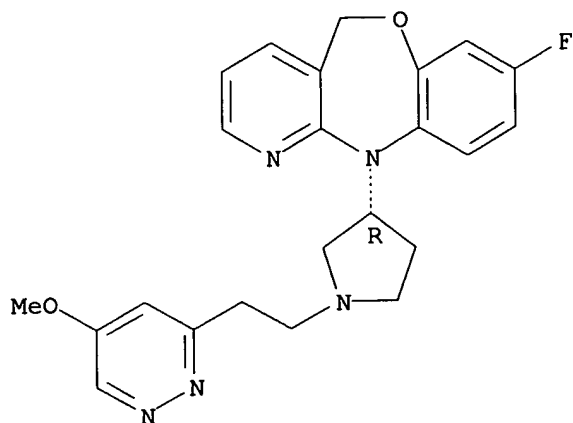
Absolute stereochemistry.



RN 630095-11-3 CAPLUS

CN Pyrido[3,2-c][1,5]benzoxazepine, 8-fluoro-5,11-dihydro-11-[(3R)-1-[2-(5-methoxy-3-pyridazinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

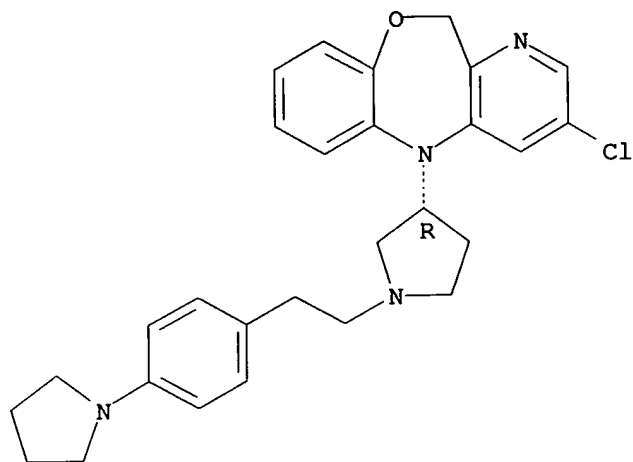
Absolute stereochemistry.



RN 630095-13-5 CAPLUS

CN Pyrido[2,3-c][1,5]benzoxazepine, 3-chloro-5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

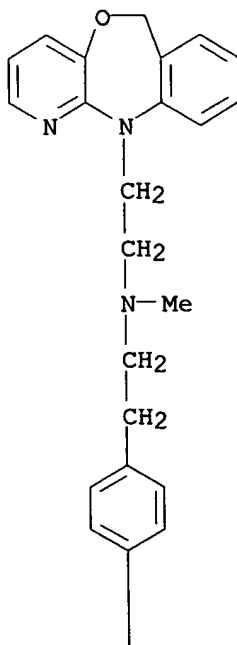
Absolute stereochemistry.



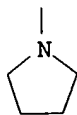
RN 630095-14-6 CAPLUS

CN Pyrido[2,3-b][4,1]benzoxazepine-11(6H)-ethanamine, N-methyl-N-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

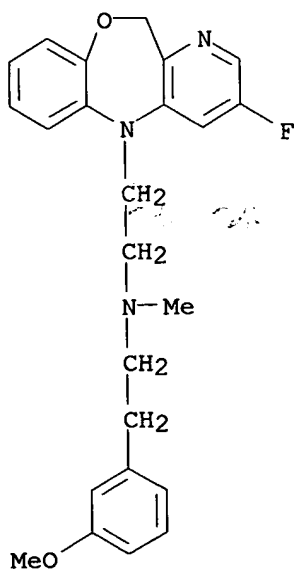
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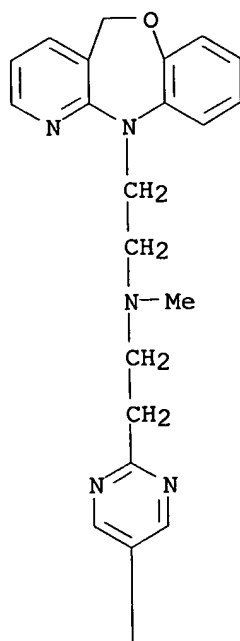


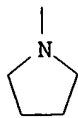
RN 630095-15-7 CAPLUS
CN Pyrido[2,3-c][1,5]benzoxazepine-5(11H)-ethanamine, 3-fluoro-N-[2-(3-methoxyphenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 630095-16-8 CAPLUS
 CN Pyrido[3,2-c][1,5]benzoxazepine-11(5H)-ethanamine, N-methyl-N-[2-[5-(1-pyrrolidinyl)-2-pyrimidinyl]ethyl]- (9CI) (CA INDEX NAME)

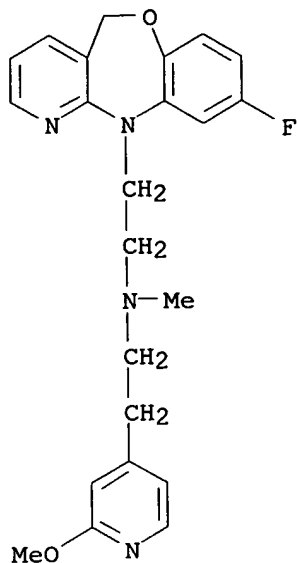
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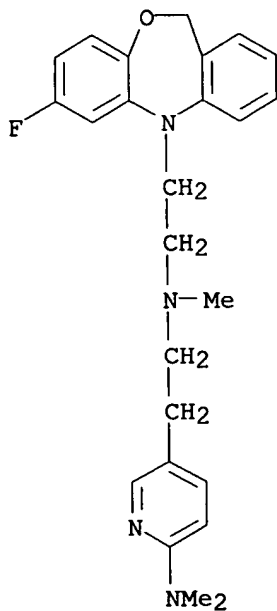
RN 630095-17-9 CAPLUS

CN Pyrido[3,2-c][1,5]benzoxazepine-11(5H)-ethanamine, 9-fluoro-N-[2-(2-methoxy-4-pyridinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 630095-19-1 CAPLUS

CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[6-(dimethylamino)-3-pyridinyl]ethyl]-7-fluoro-N-methyl- (9CI) (CA INDEX NAME)

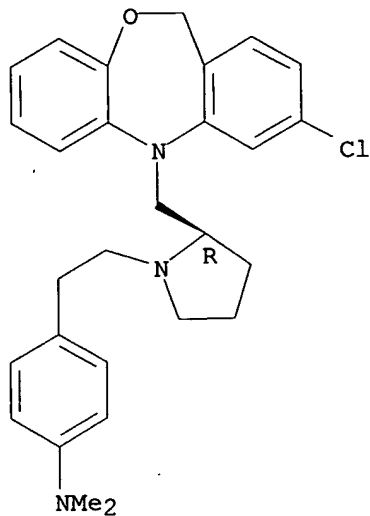
IT **477778-66-8**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (medicinal compns. containing defined calcium channel antagonists for
 treatment for digestive tract disease)

RN 477778-66-8 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-
 yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT **630095-18-0P**

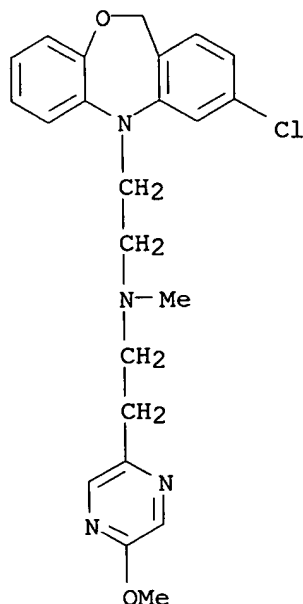
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
 study); PREP (Preparation); USES (Uses)
 (medicinal compns. containing defined calcium channel antagonists for

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treatment for digestive tract disease)

RN 630095-18-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, 3-chloro-N-[2-(5-methoxypyrazinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



IT 477778-56-6P 477778-58-8P 477778-62-4P
477778-64-6P 477778-68-0P 477778-70-4P
477778-72-6P 477778-74-8P 477778-76-0P
477778-78-2P 477778-80-6P 477778-82-8P
477778-84-0P 477778-86-2P 477778-88-4P
477778-92-0P 477778-96-4P 477778-98-6P
477779-17-2P 477779-22-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

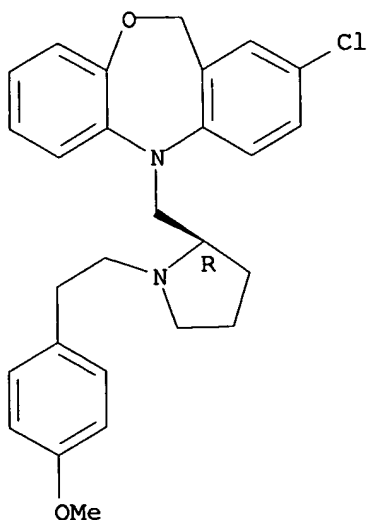
(preparation of medicinal compns. containing defined calcium channel antagonists

for treatment for digestive tract disease)

RN 477778-56-6 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

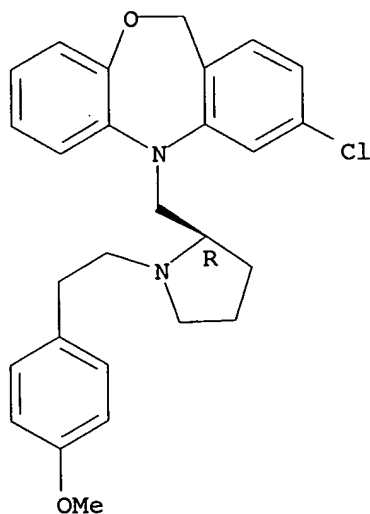
Absolute stereochemistry.



RN 477778-58-8 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

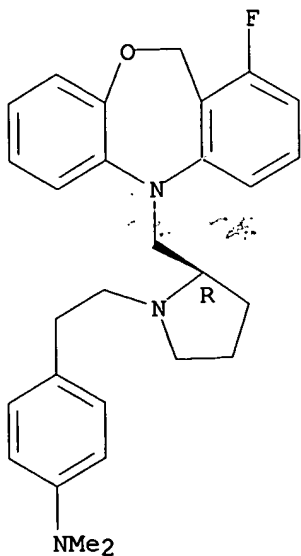


RN 477778-62-4 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenzo[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

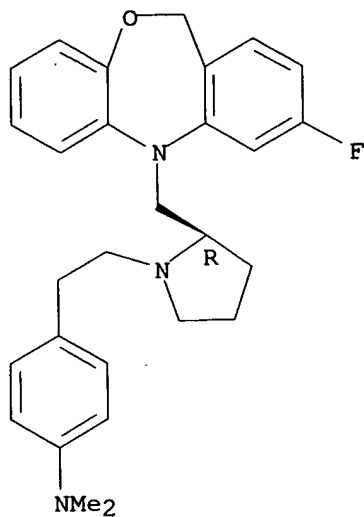
10/724,179



RN 477778-64-6 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

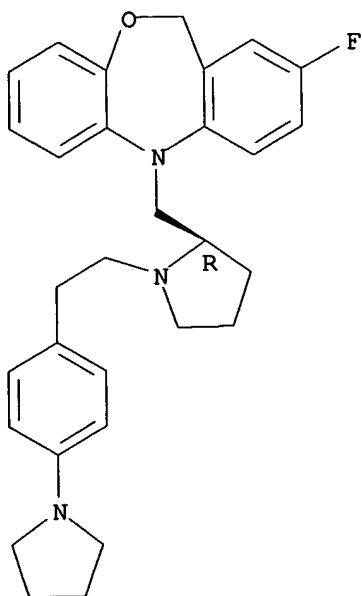
Absolute stereochemistry.



RN 477778-68-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

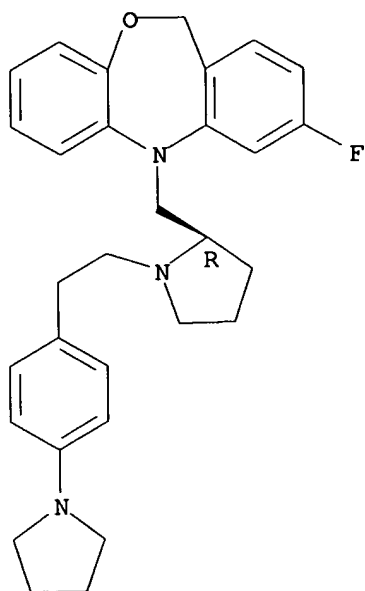
Absolute stereochemistry.



RN 477778-70-4 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

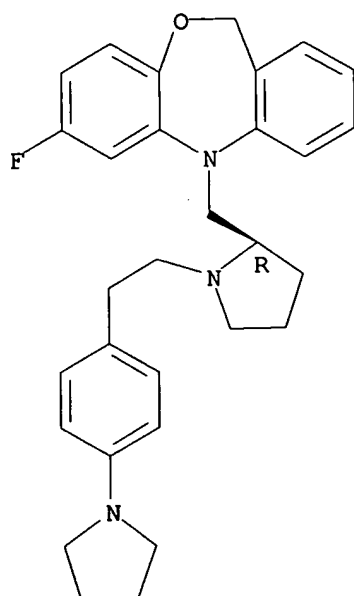
Absolute stereochemistry.



RN 477778-72-6 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

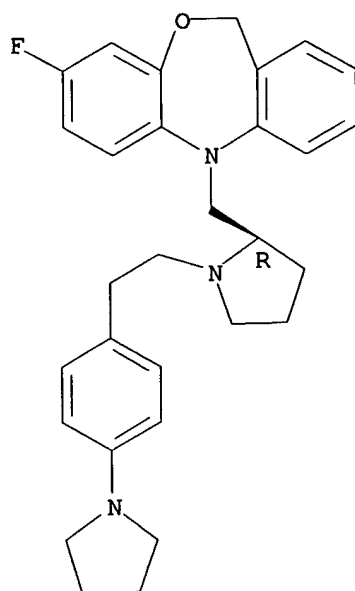
Absolute stereochemistry.



RN 477778-74-8 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

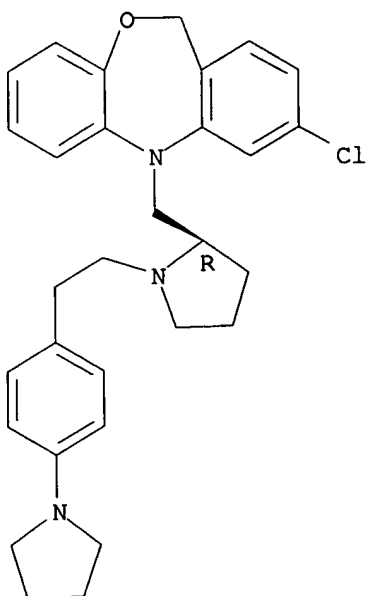
Absolute stereochemistry.



RN 477778-76-0 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

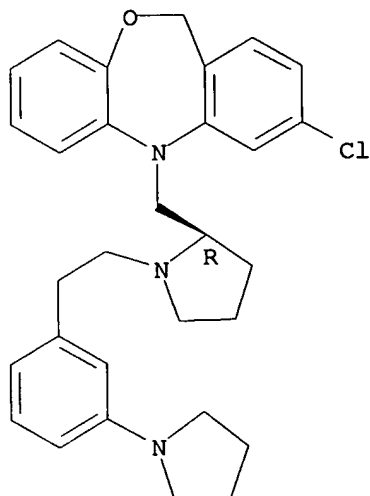
Absolute stereochemistry.



RN 477778-78-2 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

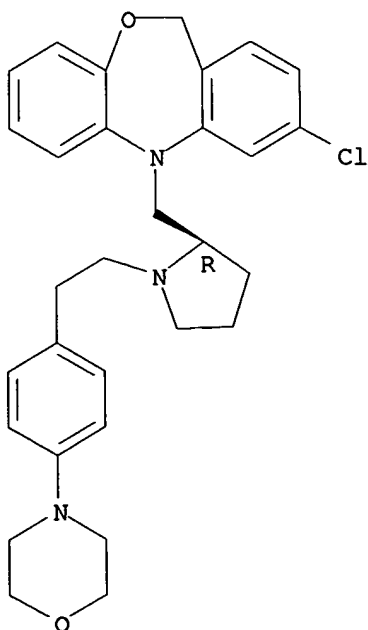
Absolute stereochemistry.



RN 477778-80-6 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

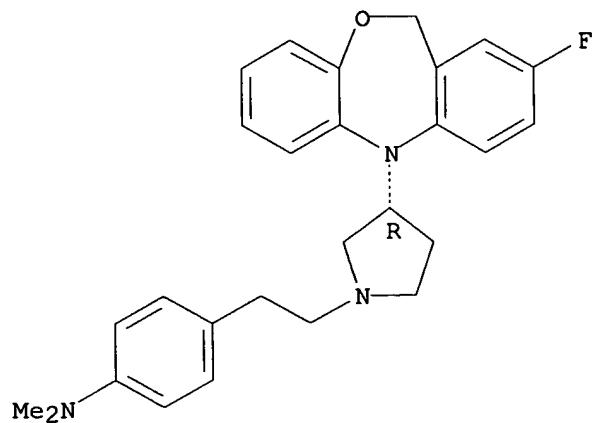
Absolute stereochemistry.



RN 477778-82-8 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

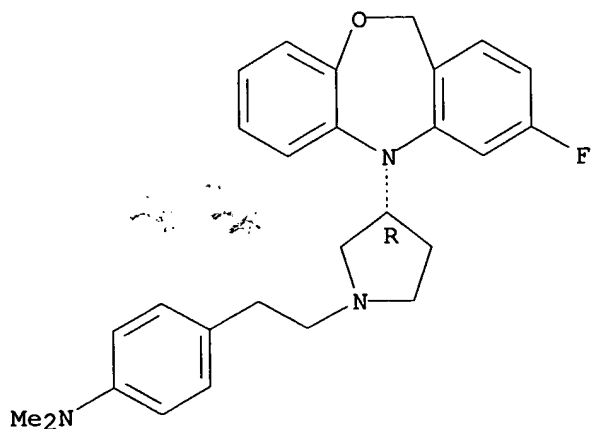
Absolute stereochemistry.



RN 477778-84-0 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

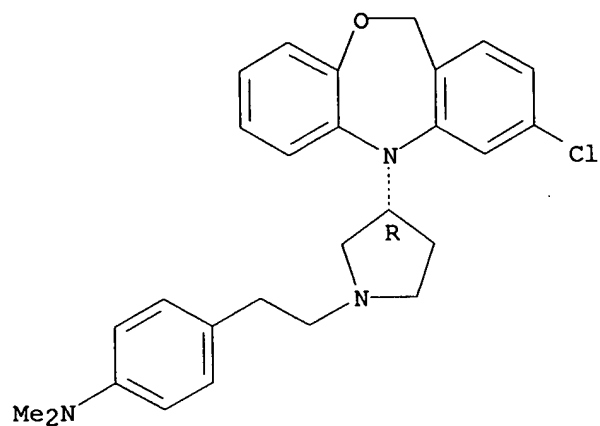
Absolute stereochemistry.



RN 477778-86-2 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

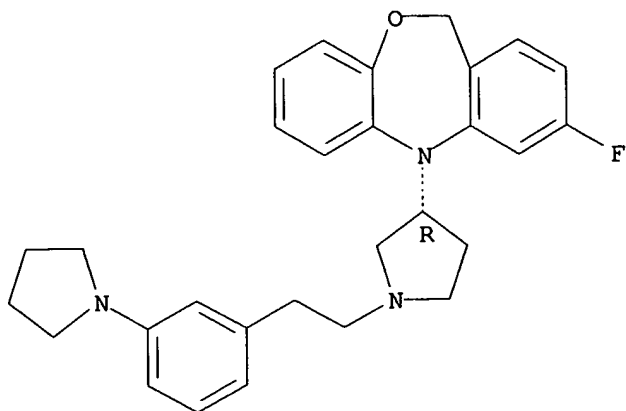
Absolute stereochemistry.



RN 477778-88-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

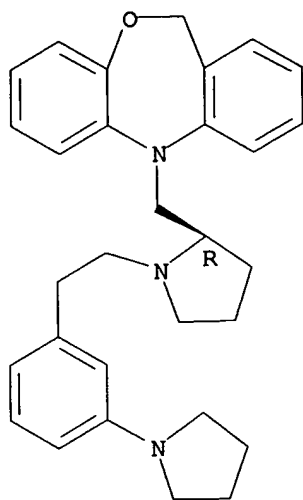
Absolute stereochemistry.



RN 477778-92-0 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

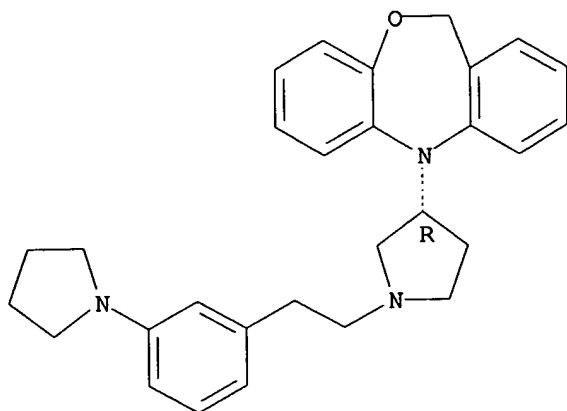
Absolute stereochemistry.



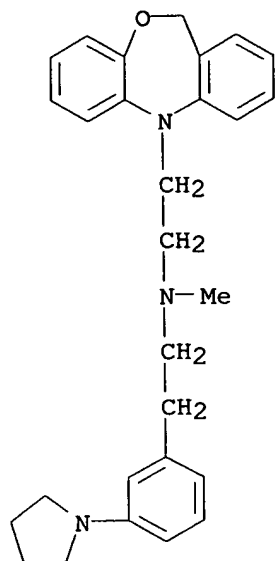
RN 477778-96-4 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

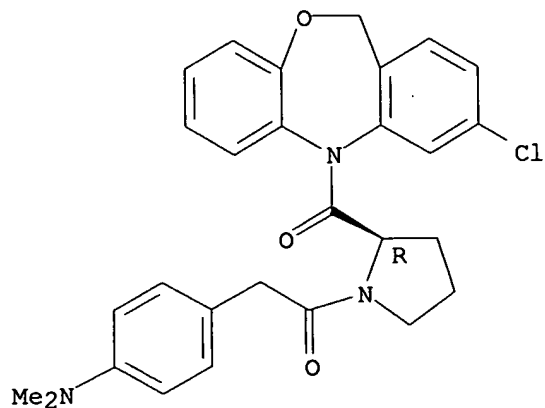


RN 477778-98-6 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



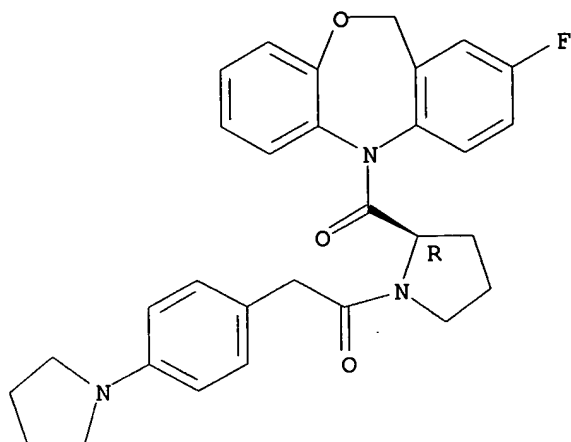
RN 477779-17-2 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5-[[(2R)-1-[[4-(dimethylamino)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]-5,11-dihydro- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 477779-22-9 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[(2R)-1-[[4-(1-pyrrolidinyl)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 477778-94-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
 USES (Uses)

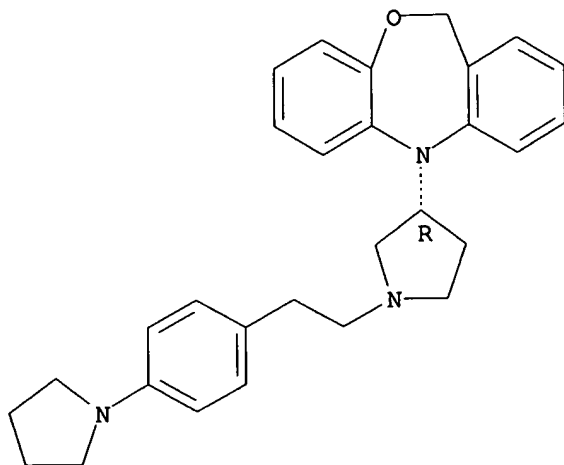
(preparation of medicinal compns. containing defined calcium channel antagonists

for treatment for digestive tract disease)

RN 477778-94-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

47

THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:927415 CAPLUS

DOCUMENT NUMBER: 138:14080

TITLE: Preparation of dihydrodiaryloxazepine derivatives for treatment of functional digestive tract diseases

INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Tokumasu, Munetaka; Takahashi, Kazuyoshi; Hirasawa, Shigeo; Ezaki, Junko

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

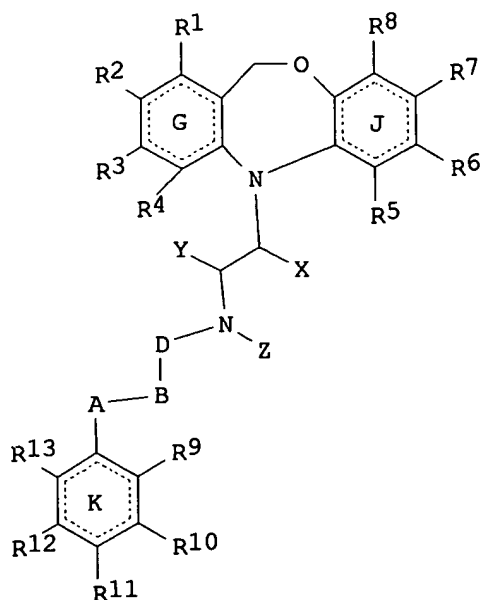
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------------------|----------|-----------------|------------|
| WO 2002096891 | A1 | 20021205 | WO 2002-JP5193 | 20020529 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1403258 | A1 | 20040331 | EP 2002-730742 | 20020529 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2004110742 | A1 | 20040610 | US 2003-724179 | 20031201 |
| PRIORITY APPLN. INFO.: | | | JP 2001-161988 | A 20010530 |
| | | | WO 2002-JP5193 | W 20020529 |
| OTHER SOURCE(S): | MARPAT 138:14080 | | | |
| GI | | | | |



I

AB The title compds. I [ring G, J, K = benzene ring or N-containing aromatic ring; R1 - R8 = halo, H; R9 - R13 = H, halo, cyano, etc.; A = CH₂, etc.; B = CO, etc.; or AB = CH:CH; D = CH₂, etc.; or BD = CH₂; XZ = CH₂CH₂, CH₂CH₂CH₂, and Y = H; or YZ = CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, and X = H; further detail on X, Y, Z is given; a proviso is given] are prepared Compds. of this invention are calcium channel antagonists with selectivity for the intestinal tract (IC₅₀ values of 5.6 nM to 82.5 nM) and are useful in the treatment of functional digestive tract diseases. Formulations are given.

IT 195991-49-2P 195991-50-5P 477778-53-3P
 477778-54-4P 477778-55-5P 477778-56-6P
 477778-57-7P 477778-58-8P 477778-61-3P
 477778-62-4P 477778-63-5P 477778-64-6P
 477778-65-7P 477778-66-8P 477778-67-9P
 477778-68-0P 477778-69-1P 477778-70-4P
 477778-71-5P 477778-72-6P 477778-73-7P
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 477778-77-1P 477778-78-2P 477778-79-3P
 477778-80-6P 477778-81-7P 477778-82-8P
 477778-83-9P 477778-84-0P 477778-85-1P
 477778-86-2P 477778-87-3P 477778-88-4P
 477778-89-5P 477778-90-8P 477778-91-9P
 477778-92-0P 477778-93-1P 477778-94-2P
 477778-95-3P 477778-96-4P 477778-97-5P
 477778-98-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

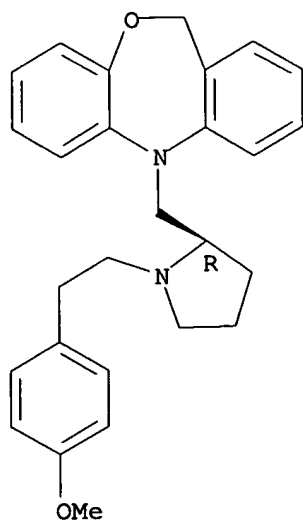
(preparation of dihydrodiaryloxazepine derivs. for treatment of functional digestive tract diseases)

RN 195991-49-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

10/724,179

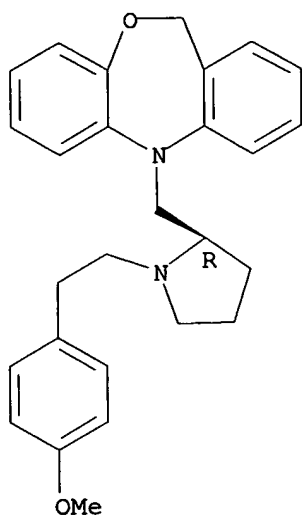
Absolute stereochemistry. Rotation (+).



RN 195991-50-5 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

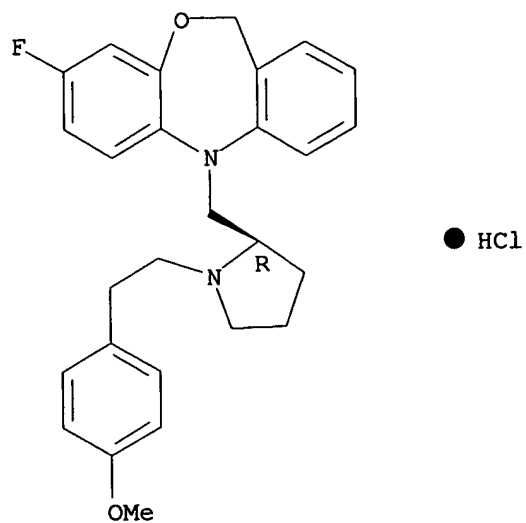


● HCl

RN 477778-53-3 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

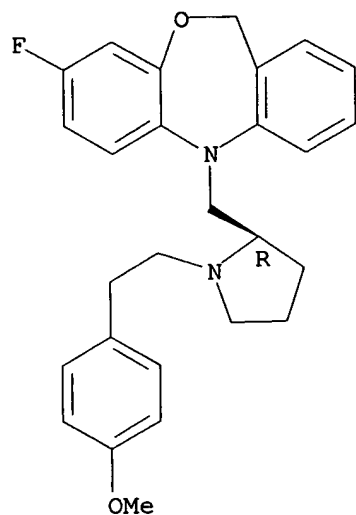
Absolute stereochemistry.



RN 477778-54-4 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

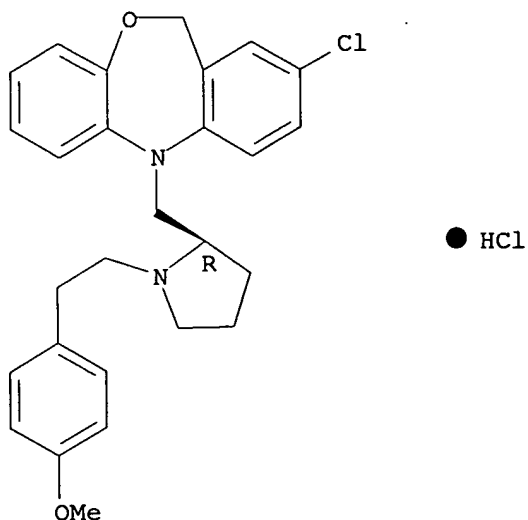
Absolute stereochemistry.



RN 477778-55-5 CAPLUS

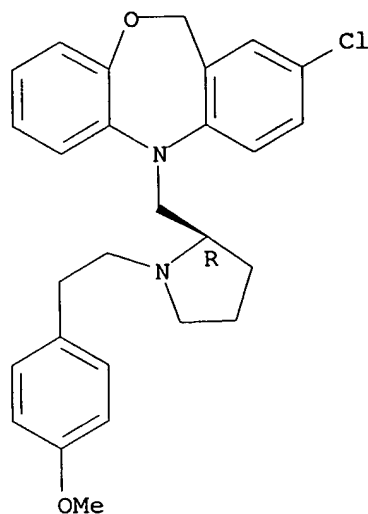
CN Dibenzo[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477778-56-6 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

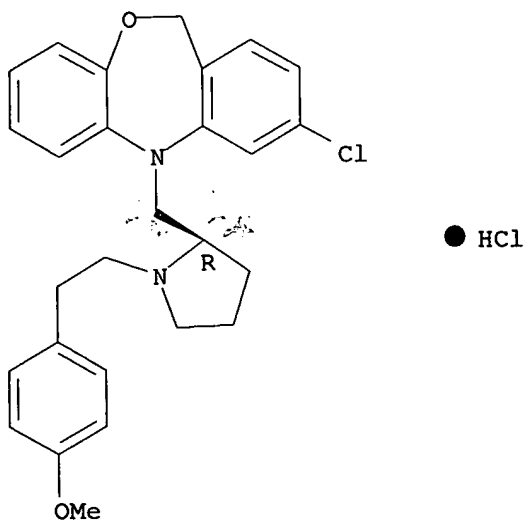
Absolute stereochemistry.



RN 477778-57-7 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

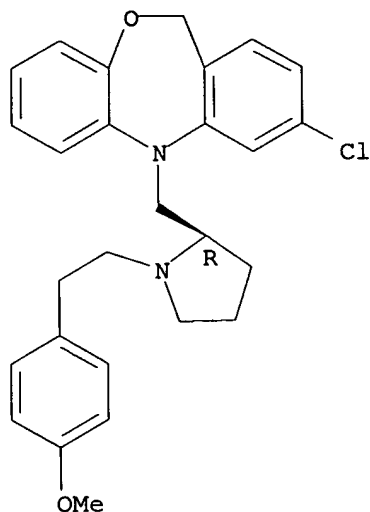
10/724,179



RN 477778-58-8 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

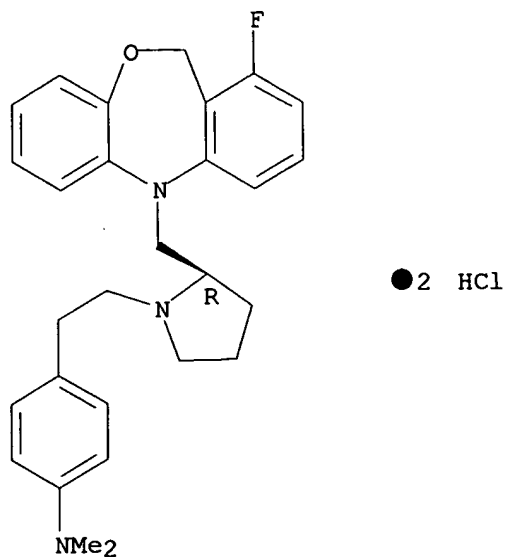
Absolute stereochemistry.



RN 477778-61-3 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenzo[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

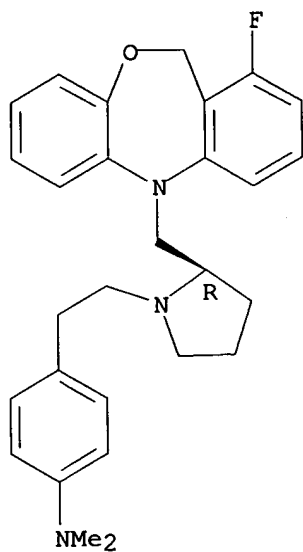
Absolute stereochemistry.



RN 477778-62-4 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

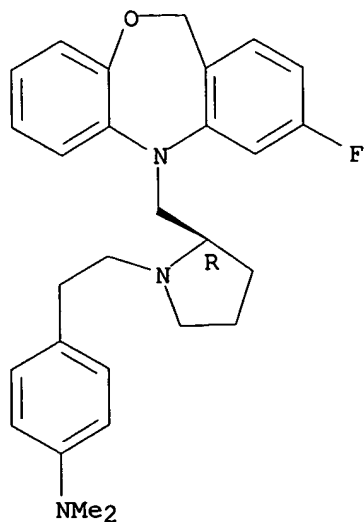
Absolute stereochemistry.



RN 477778-63-5 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

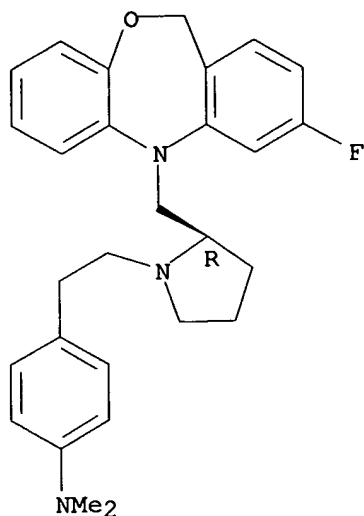
Absolute stereochemistry.



● 2 HCl

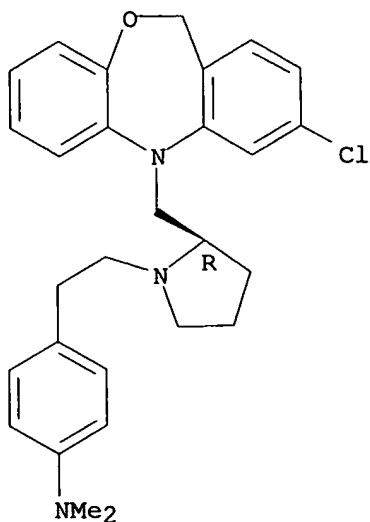
RN 477778-64-6 CAPLUS
 CN Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477778-65-7 CAPLUS
 CN Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

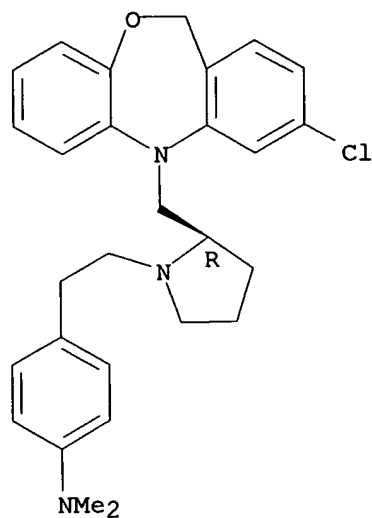


●2 HCl

RN 477778-66-8 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

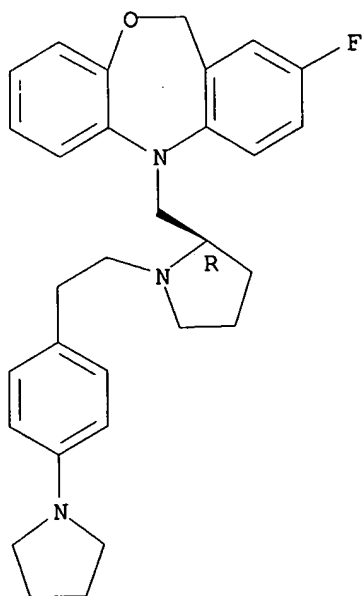
Absolute stereochemistry.



RN 477778-67-9 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

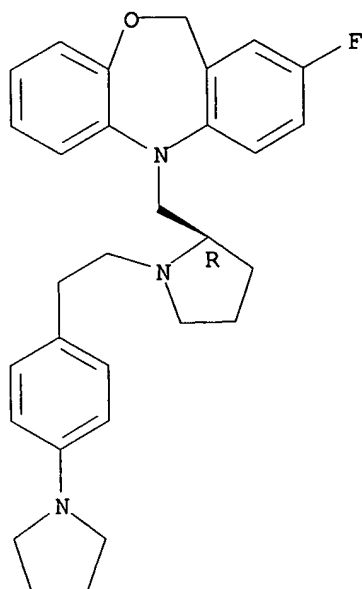


● 2 HCl

RN 477778-68-0 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

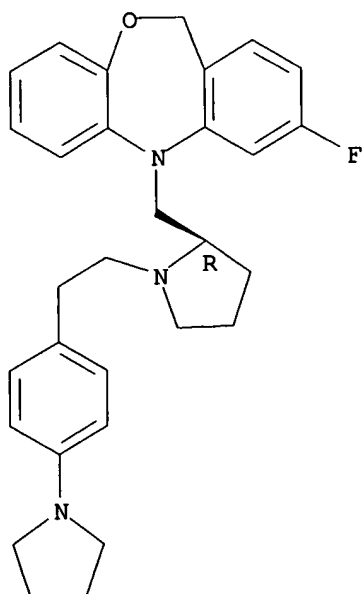


RN 477778-69-1 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

10/724,179

Absolute stereochemistry.

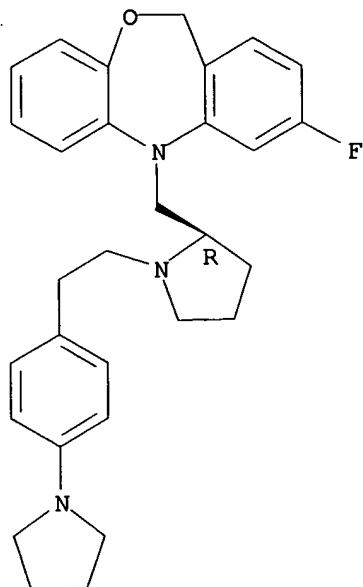


● 2 HCl

RN 477778-70-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

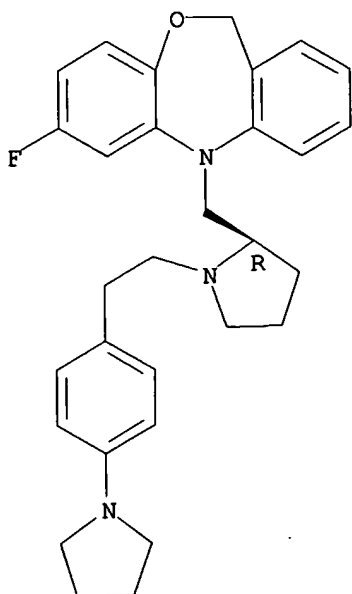


RN 477778-71-5 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

10/724,179

Absolute stereochemistry.

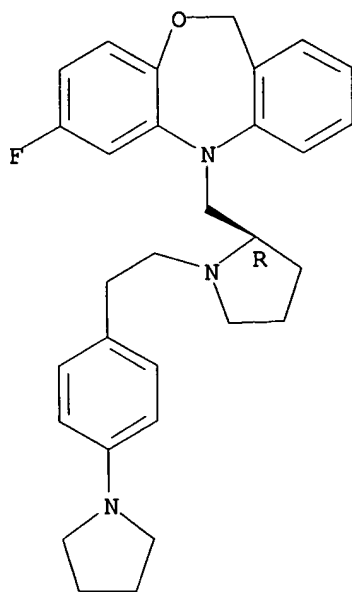


● 2 HCl

RN 477778-72-6 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



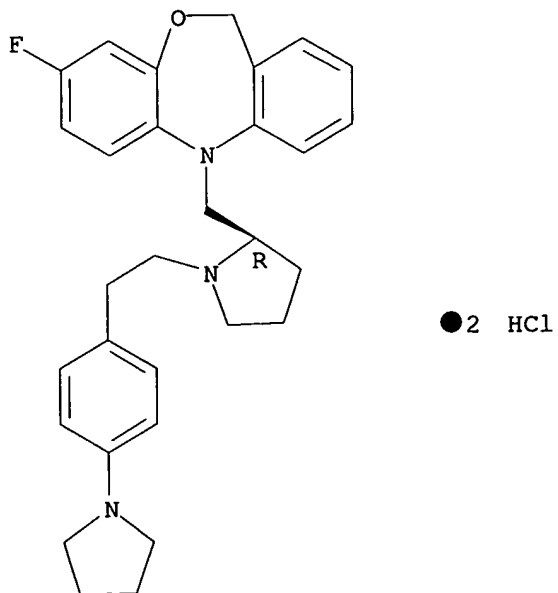
RN 477778-73-7 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)

10/724,179

(CA INDEX NAME)

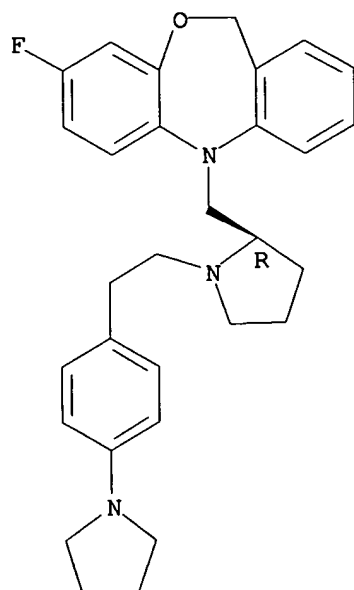
Absolute stereochemistry.



RN 477778-74-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



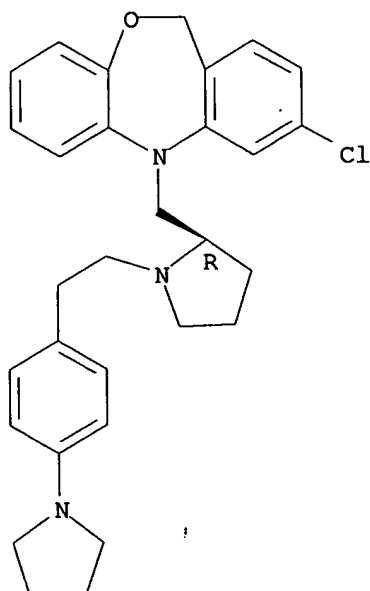
RN 477778-75-9 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

10/724,179

pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl)methyl]-, dihydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

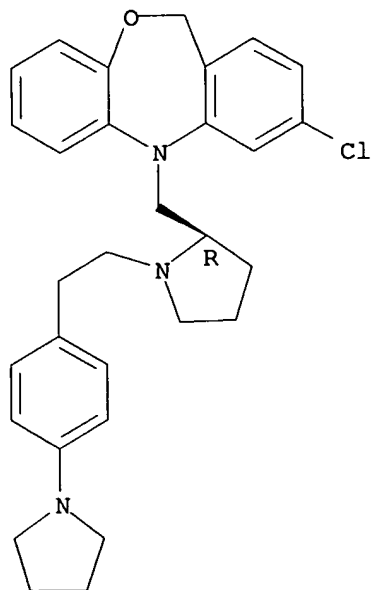


● 2 HCl

RN 477778-76-0 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

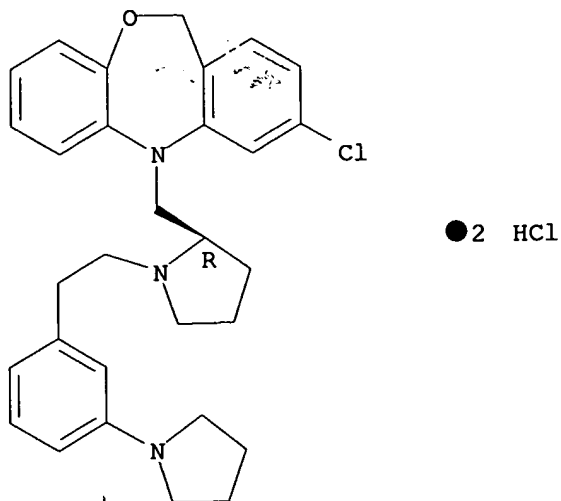


RN 477778-77-1 CAPLUS

10/724,179

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)
(CA INDEX NAME)

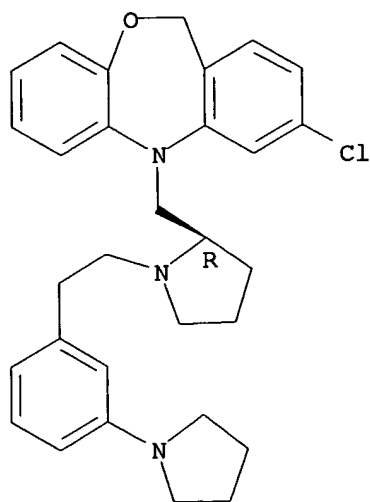
Absolute stereochemistry.



RN 477778-78-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

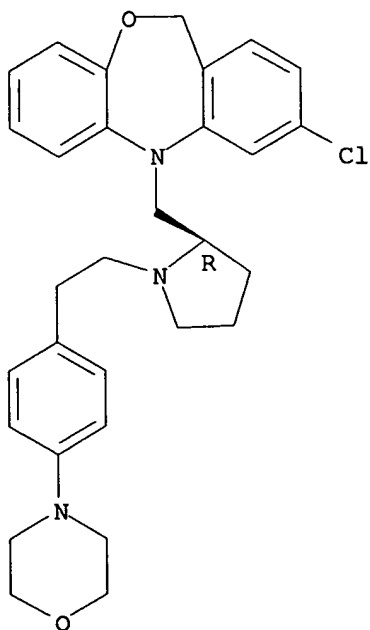
Absolute stereochemistry.



RN 477778-79-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

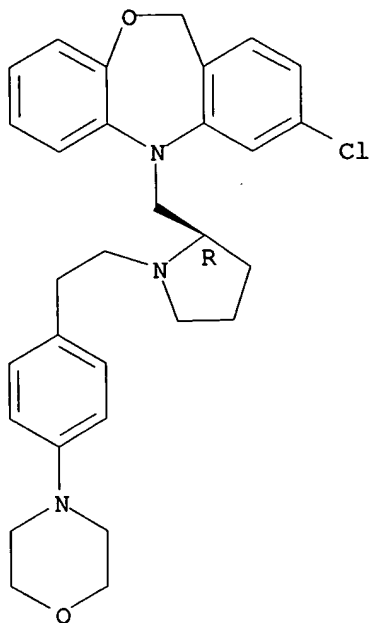


●2 HCl

RN 477778-80-6 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

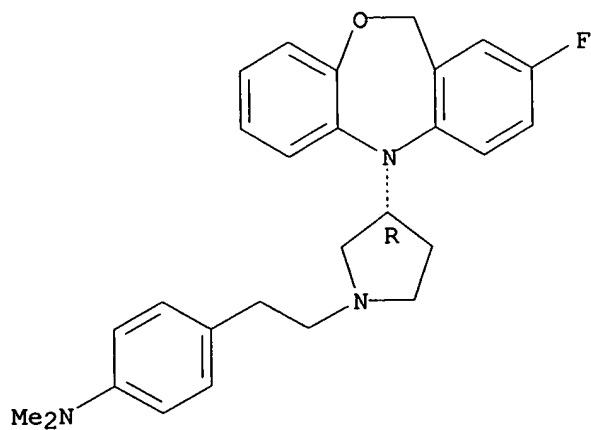
Absolute stereochemistry.



RN 477778-81-7 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenzo[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

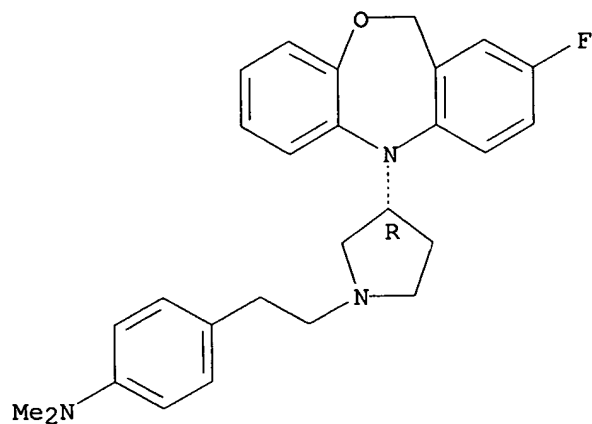
Absolute stereochemistry.



●2 HCl

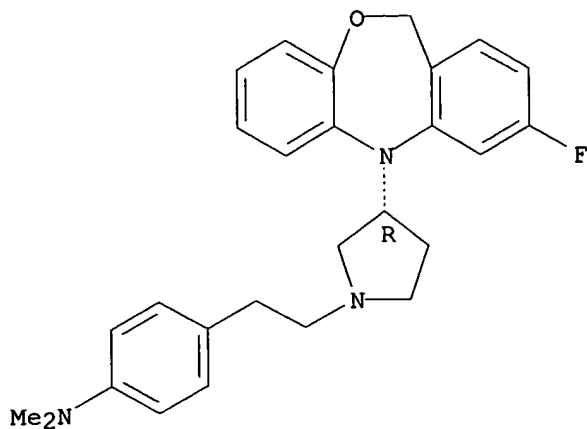
RN 477778-82-8 CAPLUS
CN Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477778-83-9 CAPLUS
CN Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

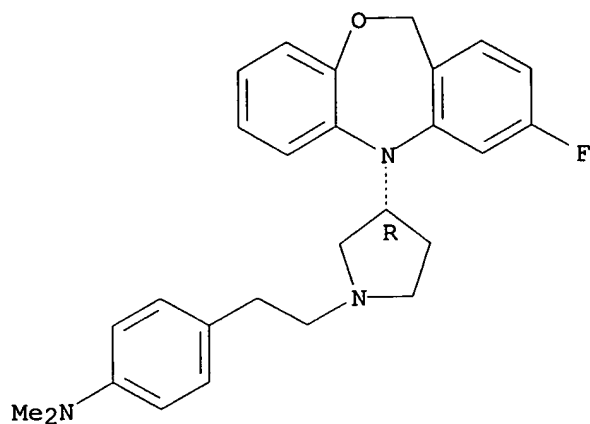


●2 HCl

RN 477778-84-0 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

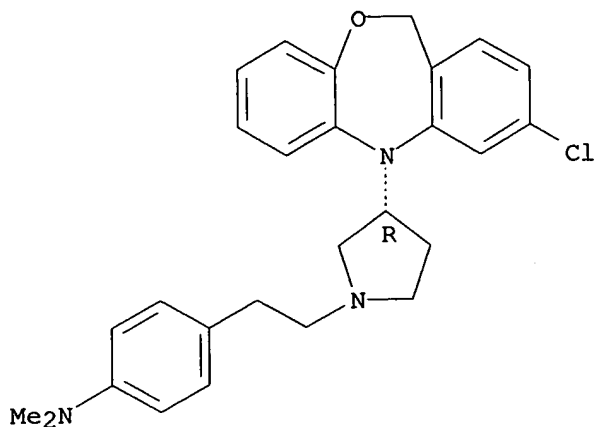
Absolute stereochemistry.



RN 477778-85-1 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

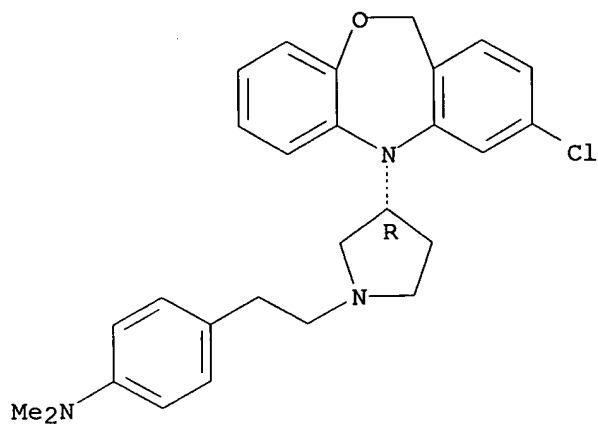


●2 HCl

RN 477778-86-2 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinylethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

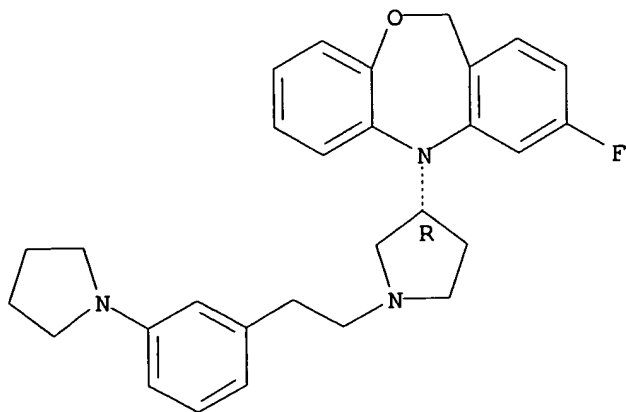
Absolute stereochemistry.



RN 477778-87-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-(4-(dimethylamino)phenyl)ethyl)-3-pyrrolidinyl]-2-phenyl]ethyl]-3-pyrrolidinyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

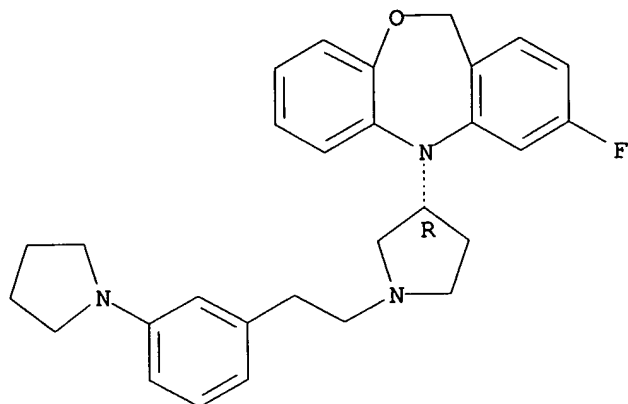


●2 HCl

RN 477778-88-4 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

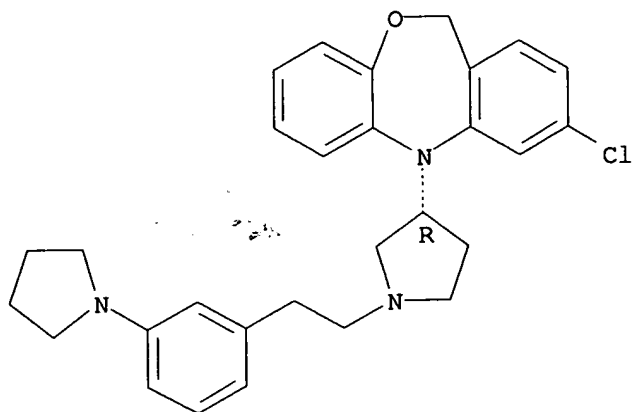
Absolute stereochemistry.



RN 477778-89-5 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

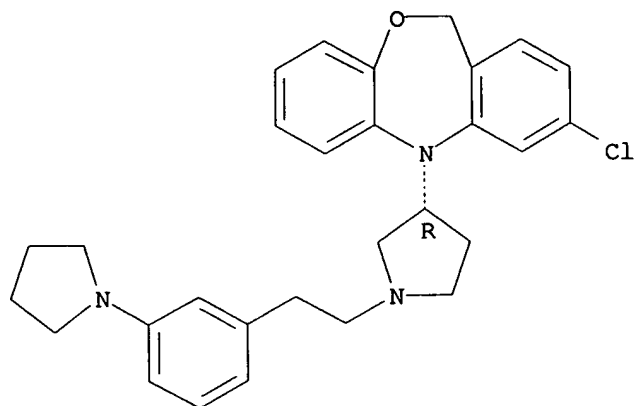


● 2 HCl

RN 477778-90-8 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

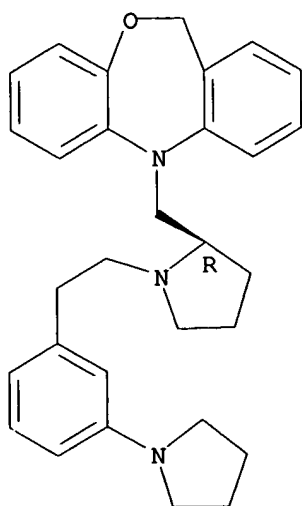
Absolute stereochemistry.



RN 477778-91-9 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

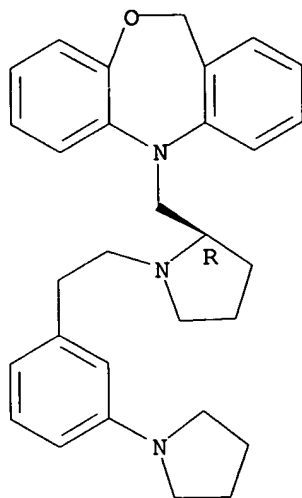


● 2 HCl

RN 477778-92-0 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

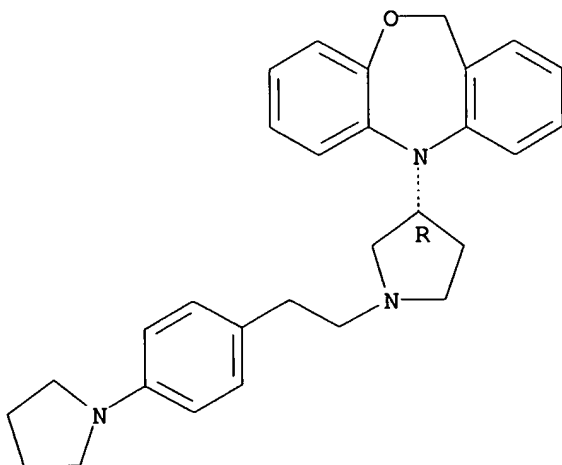
Absolute stereochemistry.



RN 477778-93-1 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

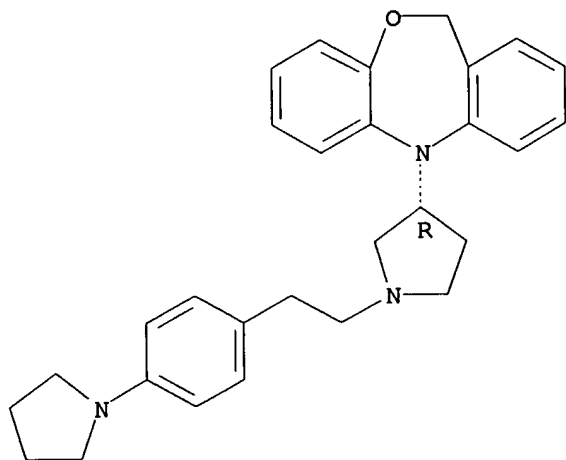


● 2 HCl

RN 477778-94-2 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

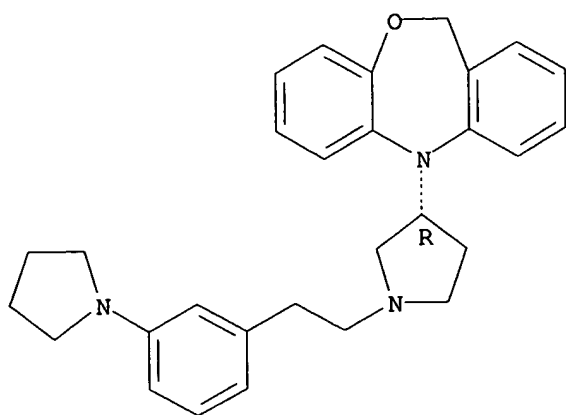
Absolute stereochemistry.



RN 477778-95-3 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

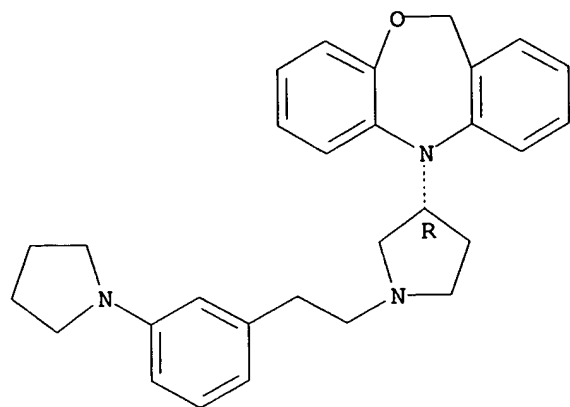


● 2 HCl

RN 477778-96-4 CAPLUS

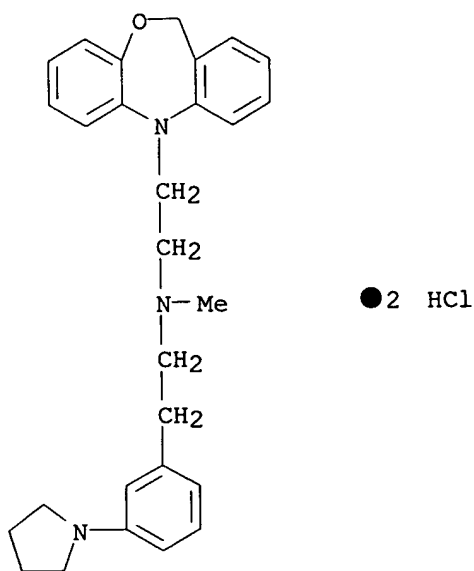
CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



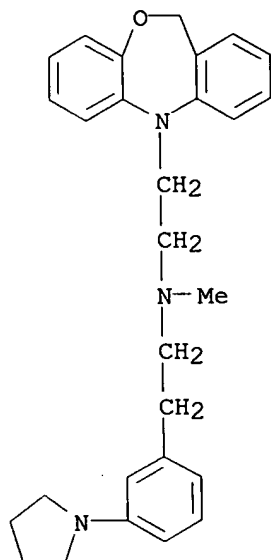
RN 477778-97-5 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 477778-98-6 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



IT 477779-17-2P 477779-22-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dihydrodiaryloxazepine derivs. for treatment of functional digestive tract diseases)

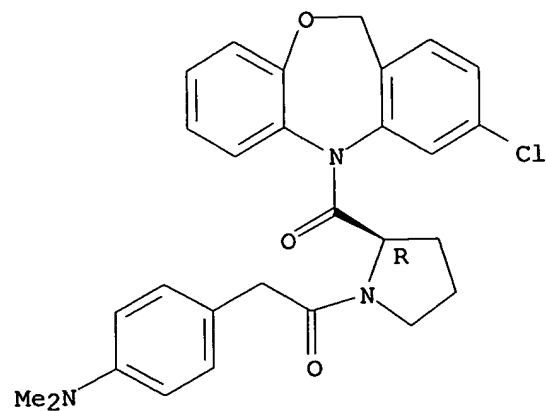
RN 477779-17-2 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 3-chloro-5-[[(2R)-1-[[4-(dimethylamino)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]-5,11-dihydro- (9CI)

10/724,179

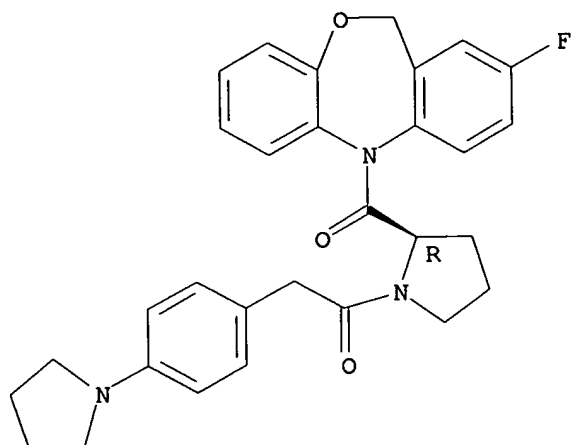
(CA INDEX NAME)

Absolute stereochemistry.



RN 477779-22-9 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[[4-(1-pyrrolidinyl)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME),

Absolute stereochemistry.



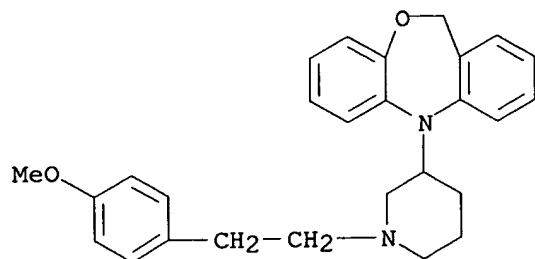
REFERENCE COUNT:

13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LO~~ ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:465986 CAPLUS
 DOCUMENT NUMBER: 137:33327
 TITLE: Process for preparing crystals of oxazepine derivatives
 INVENTOR(S): Matsuzawa, Toshihiro; Sekiyama, Takaaki; Yatagai, Masanobu
 PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
 SOURCE: PCT Int. Appl., 18 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2002048120 | A1 | 20020620 | WO 2000-JP8739 | 20001211 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001017359 | A5 | 20020624 | AU 2001-17359 | 20001211 |
| PRIORITY APPLN. INFO.: | | | WO 2000-JP8739 | A 20001211 |
| OTHER SOURCE(S): CASREACT 137:33327 | | | | |
| AB This document discloses a process which comprises dissolving in a solvent a mixture of optically active 5,11-dihydro-5-[1-(4-methoxyphenethyl)-2-pyrrolidinylmethyl]dibenzo[b,e][1,4]oxazepine (I) with optically active 5,11-dihydro-5-[1-[2-(4-methoxyphenyl)ethyl]piperidin-3-yl]dibenzo[b,e][1,4]oxazepine, adding nitric acid to the obtained solution to precipitate crystals, and separating these crystals from the resulting system. | | | | |
| I is a known calcium antagonist for the treatment of irritable bowel syndrome. | | | | |
| IT 437703-60-1P | | | | |
| RL: BYP (Byproduct); PREP (Preparation) (optically active, unspecified; process for preparing crystals of oxazepine derivs.) | | | | |
| RN 437703-60-1 CAPLUS | | | | |
| CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[1-[2-(4-methoxyphenyl)ethyl]-3-piperidinyl]- (9CI) (CA INDEX NAME) | | | | |



10/724,179

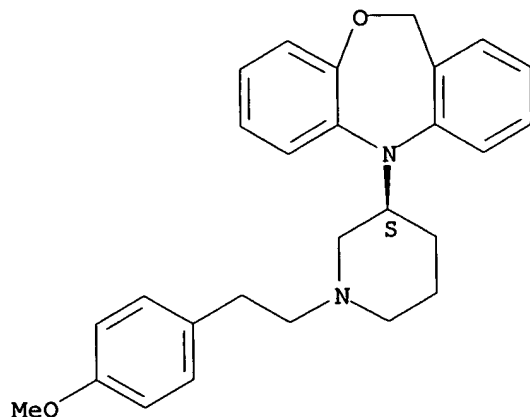
IT **437703-58-7P**

RL: BYP (Byproduct); PREP (Preparation)
(process for preparing crystals of oxazepine derivs.)

RN 437703-58-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3S)-1-[2-(4-methoxyphenyl)ethyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



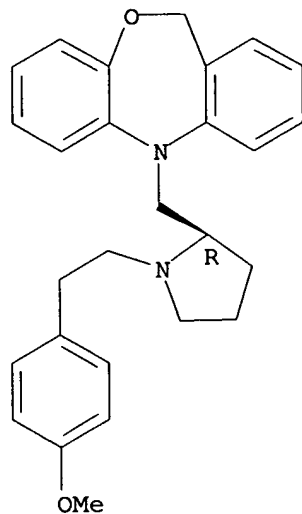
IT **195991-49-2P 195991-50-5P 313048-22-5P**

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparing crystals of oxazepine derivs.)

RN 195991-49-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

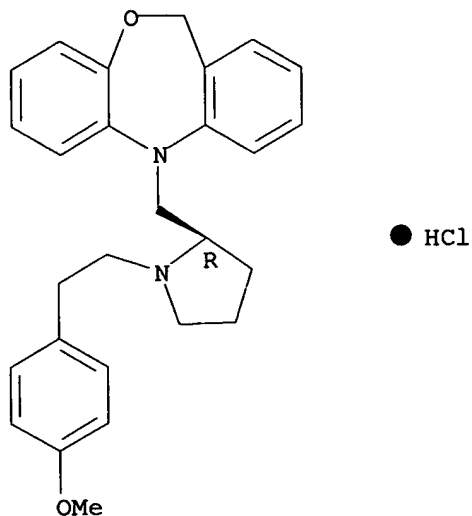


RN 195991-50-5 CAPLUS

10/724,179

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 313048-22-5 CAPLUS

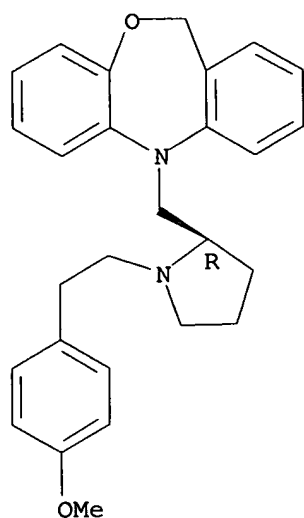
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl)methyl]-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 195991-49-2

CMF C27 H30 N2 O2

Absolute stereochemistry. Rotation (+).

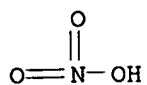


10/724,179

CM 2

CRN 7697-37-2

CMF H N O3



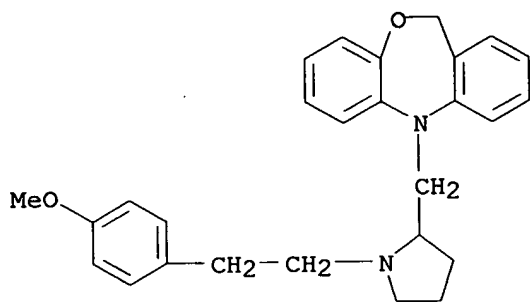
IT 437703-61-2P 437703-62-3P 437703-63-4P

RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(process for preparing crystals of oxazepine derivs.)

RN 437703-61-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)



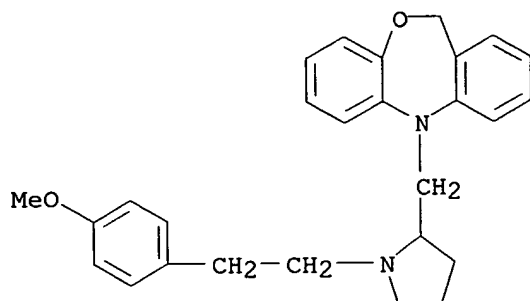
RN 437703-62-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl)methyl]-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 437703-61-2

CMF C27 H30 N2 O2

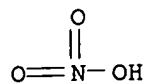


10/724,179

CM 2

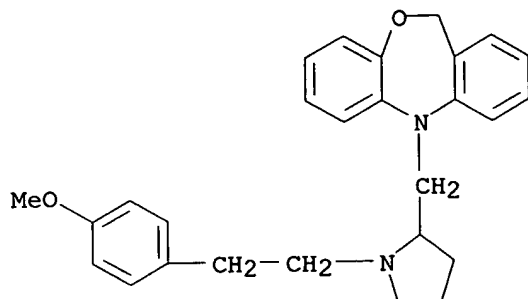
CRN 7697-37-2

CMF H N O3



RN 437703-63-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

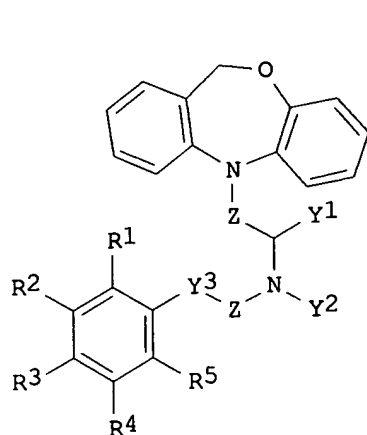
REFERENCE COUNT:

1

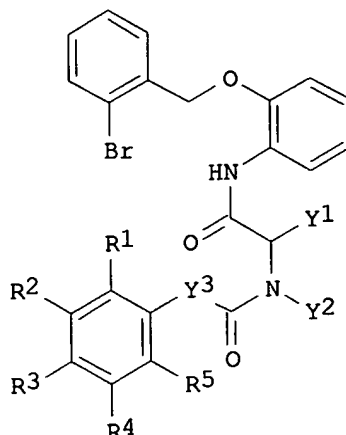
THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:185738 CAPLUS
 DOCUMENT NUMBER: 134:222732
 TITLE: Novel processes for preparing oxazepine derivatives
 via cyclization of 2-(2-bromobenzyloxy)aniline
 derivative
 INVENTOR(S): Sekiyama, Takaaki; Matsuzawa, Toshihiro; Yamamoto,
 Takashi; Yatagai, Masanobu; Ezaki, Junko
 PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|--|------------|
| WO 2001017980 | A1 | 20010315 | WO 2000-JP5967 | 20000901 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2000068689 | A5 | 20010410 | AU 2000-68689 | 20000901 |
| EP 1219611 | A1 | 20020703 | EP 2000-956884 | 20000901 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| US 2002133004 | A1 | 20020919 | US 2002-86781 | 20020304 |
| PRIORITY APPLN. INFO.: | | | JP 1999-250298 | A 19990903 |
| | | | WO 2000-JP5967 | W 20000901 |
| OTHER SOURCE(S): | | | CASREACT 134:222732; MARPAT 134:222732 | |
| GI | | | | |



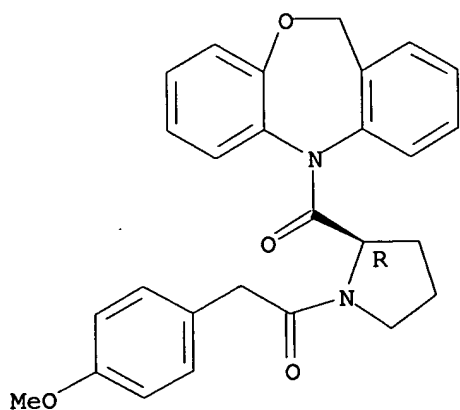
I



II

- AB Industrially advantageous processes for preparing 5-substituted-5,11-dihydrodibenz[b,e][1,4]oxazepine derivs. (I; Z = CH₂; Y₁ = H; Y₂ = H, lower alkyl; or Y₁ and Y₂ together represent (CH₂)₃ or (CH₂)₄; Y₃ = CH₂, CH₂CH₂; R₁ - R₅ = H, halo, lower alkyl, HO, lower alkoxy, NH₂, lower alkylamino; or R₁ and R₂, R₂ and R₃, R₃ and R₄, or R₄ and R₅ together represents OCH₂O) through intramol. arylation and reduction from [2-(2-bromobenzyloxy)phenyl]amide derivs. bearing via amide linkage substituents to be introduced at the 5-position are described. More particularly, a process comprises subjecting (R)-1-[(4-methoxyphenyl)acetyl]pyrrolidine-2-carboxylic [2-(2-bromobenzyloxy)phenyl]amide (II; Y₁-Y₃, R₁-R₅ = same as above) to intramol. arylation to form (R)-[[2-(5,11-dihydrodibenz[b,e][1,4]oxazepine-5-carbonyl)pyrrolidin]-1-yl]-2-(4-methoxyphenyl)ethanone I (Z = CO; Y₁-Y₃, R₁-R₅ = same as above) and then reducing the obtained compound. The compds. I possesses calcium channel antagonism and are useful for the prevention or treatment of digestive tract motility disorders, in particular intestinal disorders such as irritable bowel syndrome. Thus, (R)-1-[(4-methoxyphenyl)acetyl]pyrrolidine-2-carboxylic acid [2-(2-bromobenzyloxy)phenyl]amide (preparation given) (1.69 kg), 23.0 g CuBr, and 443 g K₂CO₃ were added to 4.19 L pyridine and heated under reflux for 100 h to give, after workup and silica gel chromatog., 1.35 kg (R)-[2-[(5,11-dihydrodibenzo[b,e][1,4]oxazepin-5-yl)carbonyl]pyrrolidin-1-yl]-2-(4-methoxyphenyl)ethanone (95% yield). A solution of the latter compound (1.35 kg) in 2.69 L THF was added to a cooled (5°) suspension of 400 g NaBH₄ in 19.77 L THF, treated dropwise with 1.97 kg BF₃-THF complex at ≤10°, stirred at 5° for 1 h and 40° for 14 h, cooled at 5°, treated dropwise with 13.6 L 1.5 M aqueous NaOH, and stirred at 60° for 2 h. The reaction mixture was extracted with 8.1 L PhMe and the organic layer was concentrated in vacuo to .apprx.7.5 L, washed with water three times, warmed at 30°, treated dropwise with 941 L 4 M HCl/EtOAc, stirred at 5° overnight, and filtered to collect precipitated crystals which were recrystd. from 2-propanol to give 1.00 kg (R)-(+)-5,11-dihydro-5-[1-(4-methoxyphenethyl)-2-pyrrolidinylmethyl]dibenzo[b,e][1,4]oxazepine hydrochloride (73%).
- IT **329329-18-2P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (novel processes for preparing oxazepine derivs. via cyclization of (bromobenzyloxy)aniline derivative)
- RN 329329-18-2 CAPLUS
- CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[2(R)-1-[(4-methoxyphenyl)acetyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



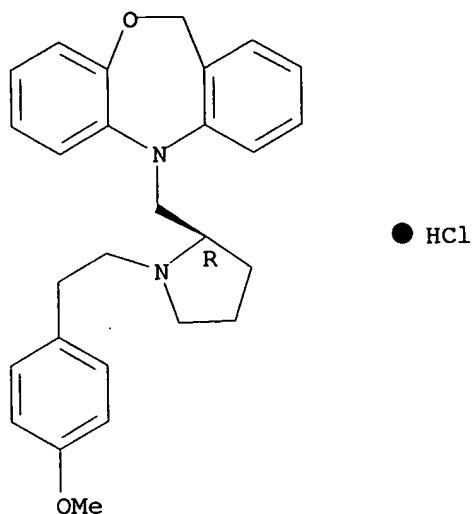
IT 195991-50-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel processes for preparing oxazepine derivs. via cyclization of (bromobenzyloxy)aniline derivative)

RN 195991-50-5 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-(2-(4-methoxyphenyl)ethyl)-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

106 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:889402 CAPLUS

DOCUMENT NUMBER: 134:42148

TITLE: Preparation of crystals of oxazepines for treatment of irritable colon syndrome

INVENTOR(S): Matsusawa, Toshihiro; Sekiyama, Takaaki; Yatagai, Masanobu

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| ----- | --- | ----- | ----- | ----- |
| JP 2000351778 | A2 | 20001219 | JP 1999-162760 | 19990609 |
| PRIORITY APPLN. INFO.: | | | JP 1999-162760 | 19990609 |

OTHER SOURCE(S): CASREACT 134:42148

AB 5,11-Dihydro-5-[1-(4-methoxyphenethyl)-2-pyrrolidinylmethyl]dibenzo[b,e][1,4]oxazepine nitrate (I), useful treatment of irritable colon syndrome, etc. (no data), is prepared by reaction of 5,11-dihydrodibenzo[b,e][1,4]oxazepine with optically active 3-chloro-1-(4-methoxyphenethyl)piperidine, reaction of the resulting mixts. containing optically active 5,11-dihydro-5-[1-(4-methoxyphenethyl)-2-pyrrolidinylmethyl]dibenzo[b,e][1,4]oxazepine (II) and 5,11-dihydro-5-[1-[2-(4-methoxyphenyl)ethyl]piperidin-3-yl]dibenzo[b,e][1,4]oxazepine (III) in HNO₃ in solvents, and isolation of I by crystallization 5,11-Dihydrodibenzo[b,e][1,4]oxazepine was reacted with (S)-(+)-3-chloro-1-(4-methoxyphenethyl)piperidine in the presence of NaH in DMSO at 50° for 5 h to give 7.3:1 mixture of (R)-(+)-II and (S)-III, which was reacted with HNO₃ in EtOH at room temperature overnight to give 43.7% (R)-I.

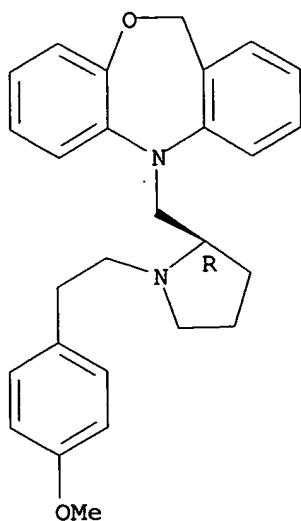
IT 195991-49-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of crystals of oxazepines by condensation of benzooxazepine with chloropiperidine and reaction with nitric acid)

RN 195991-49-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[2(R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT **313048-22-5P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of crystals of oxazepines by condensation of benzoxazepine with chloropiperidine and reaction with nitric acid)

RN 313048-22-5 CAPLUS

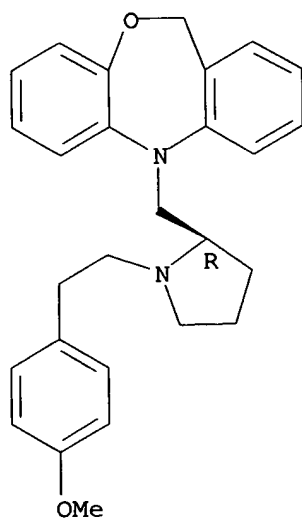
CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 195991-49-2

CMF C27 H30 N2 O2

Absolute stereochemistry. Rotation (+).

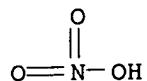


10/724,179

CM 2

CRN 7697-37-2

CMF H N O3



IT 195991-50-5P

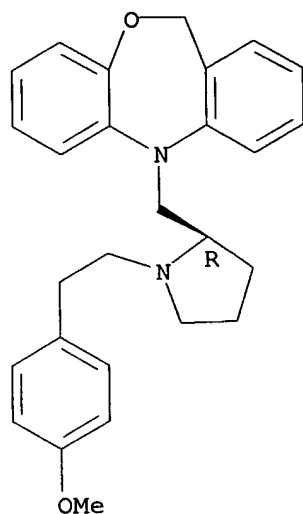
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of crystals of oxazepines by condensation of benzooxazepine with chloropiperidine and reaction with nitric acid)

RN 195991-50-5 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

16 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:475653 CAPLUS
 DOCUMENT NUMBER: 133:89556
 TITLE: Preparation of oxazepine derivatives and drugs
 containing the same
 INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko;
 Takahashi, Kazuyoshi
 PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
 SOURCE: PCT Int. Appl., 81 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|------------------|-----------------|-------------|
| WO 2000040570 | A1 | 20000713 | WO 2000-JP71 | 20000111 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1142884 | A1 | 20011010 | EP 2000-900167 | 20000111 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| EP 1471060 | A1 | 20041027 | EP 2004-14975 | 20000111 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| EP 1475373 | A1 | 20041110 | EP 2004-14974 | 20000111 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| US 2002099047 | A1 | 20020725 | US 2001-899928 | 20010709 |
| US 6528504 | B2 | 20030304 | | |
| PRIORITY APPLN. INFO.: | | | JP 1999-3268 | A 19990108 |
| | | | JP 1999-3269 | A 19990108 |
| | | | JP 1999-3270 | A 19990108 |
| | | | EP 2000-900167 | A3 20000111 |
| | | | WO 2000-JP71 | W 20000111 |
| OTHER SOURCE(S): | | MARPAT 133:89556 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; A = Q, Q1, Q2; R = H, C1, (CH3)2N, CH3O; R1 = CH3O, N(CH3)2, H; R-R1 = OCH2O; n = 2, 3;], salts, stereoisomers, and drug compns. containing I are prepared and are useful in the treatment or prevention of motor function disorder of digestive tract, particularly intestinal diseases including irritable bowel syndrome. Thus, the title compds. (R)-5,11-Dihydro-5-[1-(4-methoxyphenethyl)-piperidin-2-ylmethyl]dibenzo[b,e][1,4] oxazepine and (R)-5,11-dihydro-5-[1-(4-dimethylaminophenethyl)-piperidin-2-ylmethyl]dibenzo[b, e][1,4]oxazepin

10/724,179

were prepared and tested.

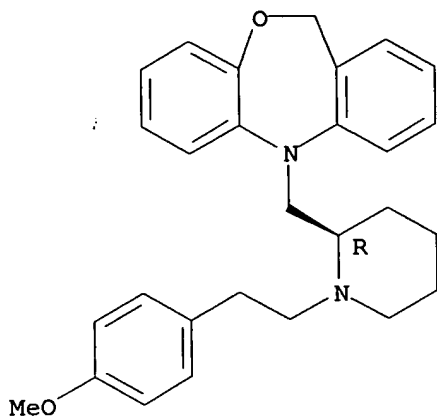
IT 281677-32-5P 281677-34-7P 281677-35-8P
281677-37-0P 281677-38-1P 281677-39-2P
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281677-45-0P 281677-46-1P 281677-47-2P
281677-49-4P 281677-50-7P 281677-51-8P
281677-52-9P 281677-53-0P 281677-54-1P
281677-55-2P 281677-56-3P 281677-57-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazepine derivs. and drugs containing the same)

RN 281677-32-5 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[2R)-1-[2-(4-methoxyphenyl)ethyl]-2-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



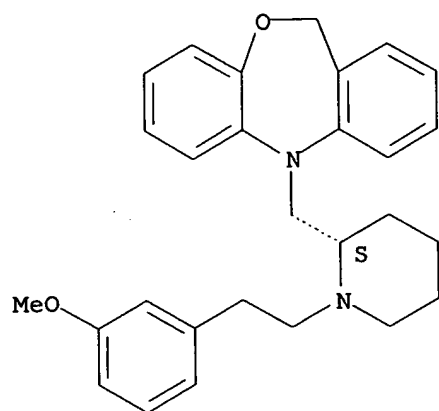
● HCl

RN 281677-34-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[2S)-1-[2-(3-methoxyphenyl)ethyl]-2-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/724,179

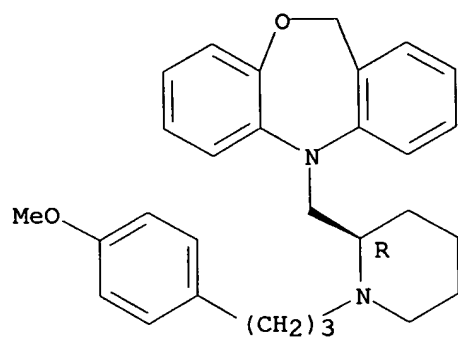


● HCl

RN 281677-35-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[3-(4-methoxyphenyl)propyl]-2-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

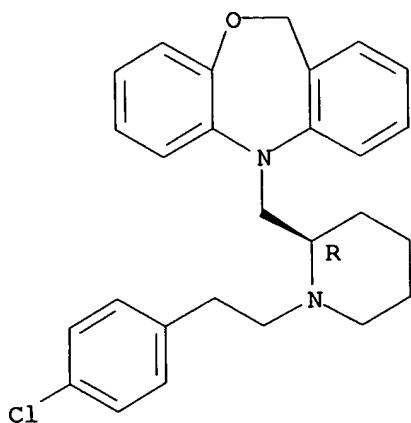


● HCl

RN 281677-37-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5-[[(2R)-1-[2-(4-chlorophenyl)ethyl]-2-piperidinyl]methyl]-5,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

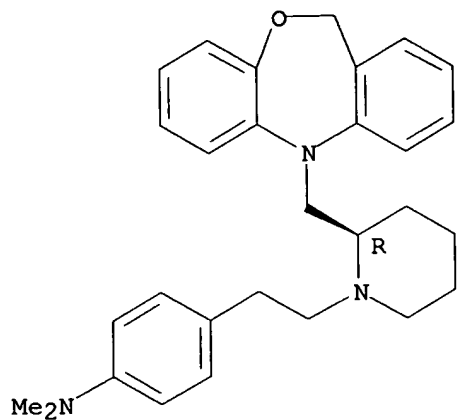
Absolute stereochemistry.



● HCl

RN 281677-38-1 CAPLUS
 CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-piperidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

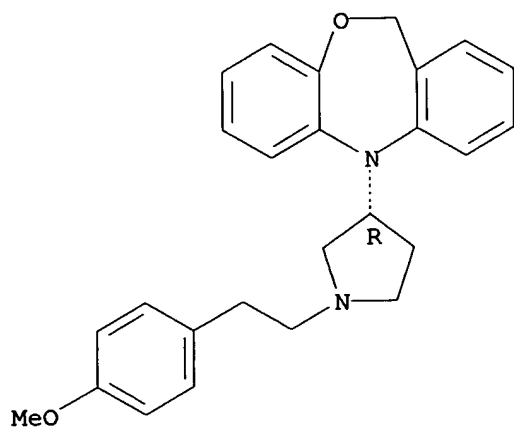
Absolute stereochemistry.



●2 HCl

RN 281677-39-2 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-(4-methoxyphenyl)ethyl]-3-pyrrolidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

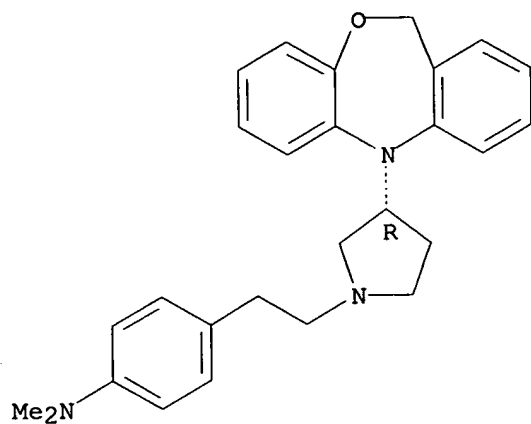
Absolute stereochemistry.



● HCl

RN 281677-41-6 CAPLUS
 CN Benzenamine, 4-[2-[(3R)-3-dibenz[b,e][1,4]oxazepin-5(11H)-yl-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

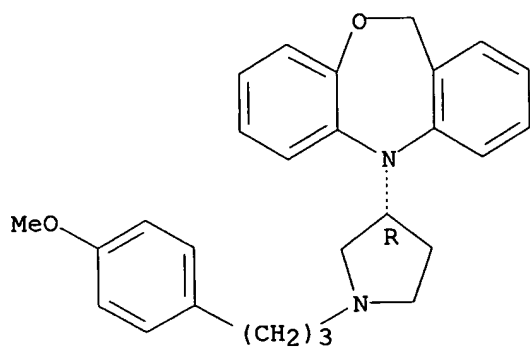
Absolute stereochemistry.



● HCl

RN 281677-42-7 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[3-(4-dimethylaminophenyl)propyl]-3-pyrrolidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

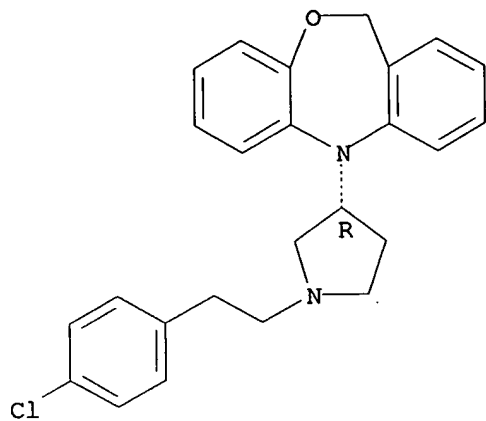
Absolute stereochemistry.



● HCl

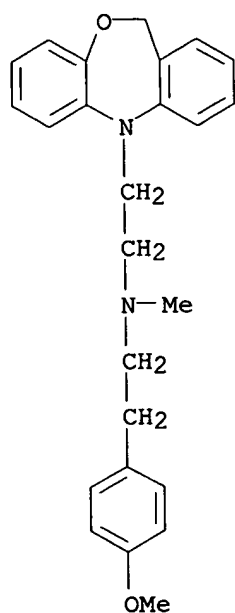
RN 281677-44-9 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine, 5-[(3R)-1-[2-(4-chlorophenyl)ethyl]-3-pyrrolidinyl]-5,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



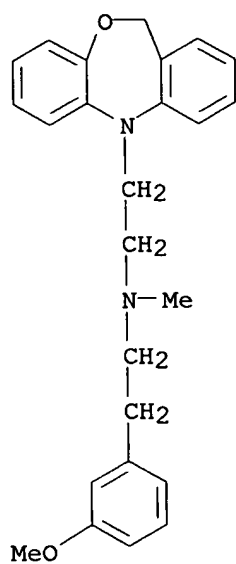
● HCl

RN 281677-45-0 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(4-methoxyphenyl)ethyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

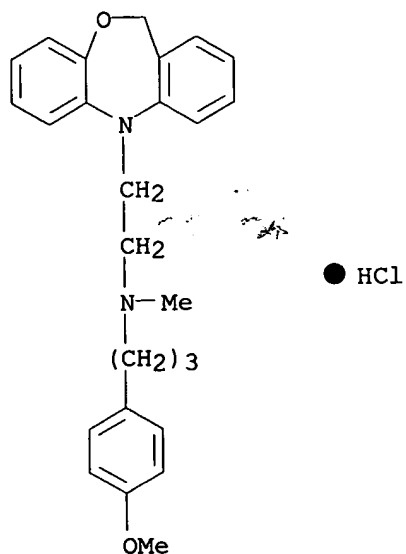
RN 281677-46-1 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(3-methoxyphenyl)ethyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

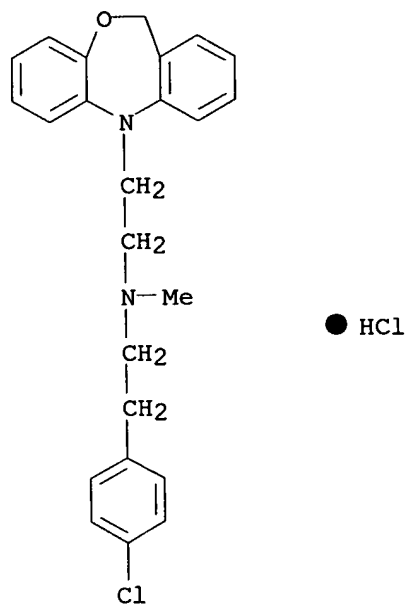
RN 281677-47-2 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[3-(4-methoxyphenyl)propyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

10/724,179



RN 281677-49-4 CAPLUS

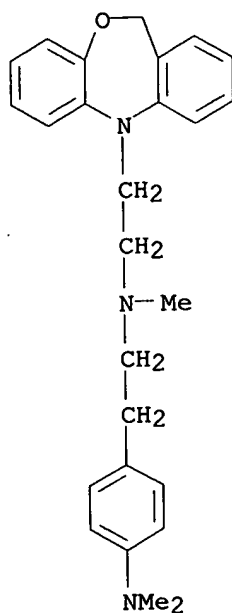
CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(4-chlorophenyl)ethyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 281677-50-7 CAPLUS

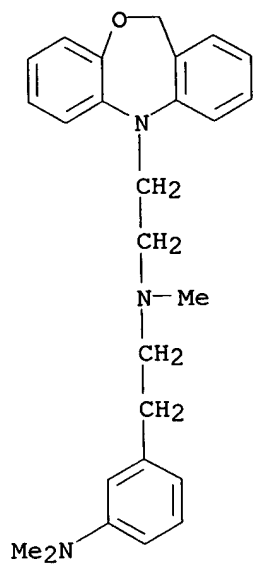
CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[4-(dimethylamino)phenyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

10/724,179



●2 HCl

RN 281677-51-8 CAPLUS
CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[3-(dimethylamino)phenyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

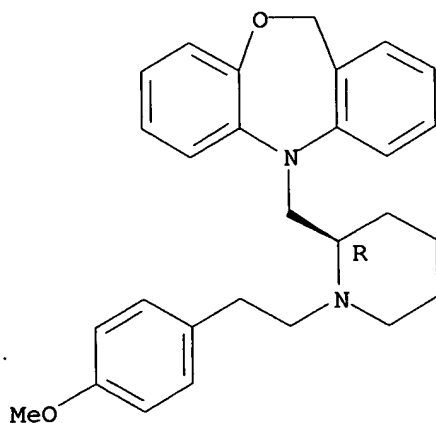


●2 HCl

RN 281677-52-9 CAPLUS
CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

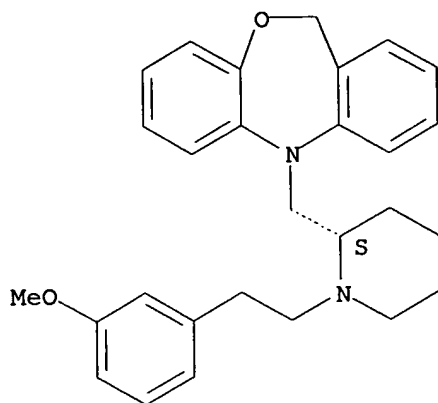
10/724,179



RN 281677-53-0 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(2S)-1-[2-(3-methoxyphenyl)ethyl]-2-piperidinyl]methyl- (9CI) (CA INDEX NAME)

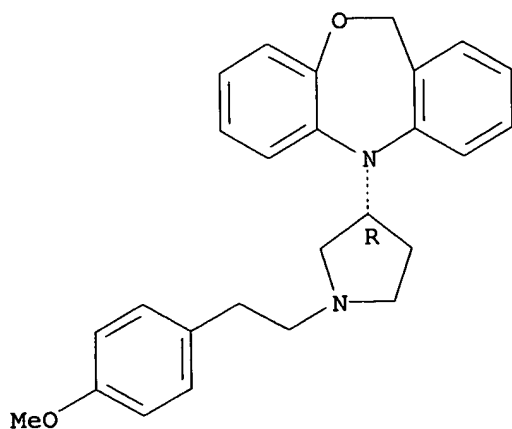
Absolute stereochemistry.



RN 281677-54-1 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-(4-methoxyphenyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

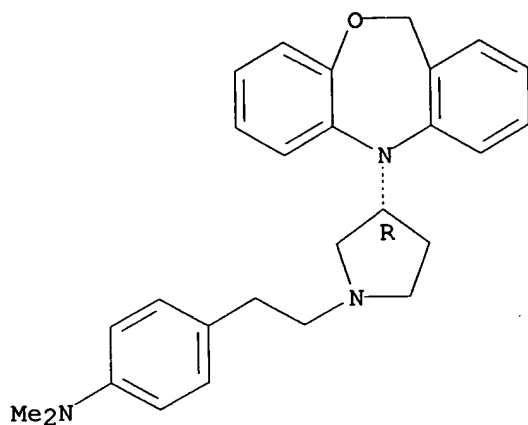
Absolute stereochemistry.



RN 281677-55-2 CAPLUS

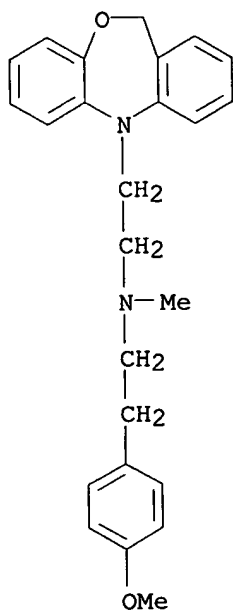
CN Benzenamine, 4-[2-[(3R)-3-dibenz[b,e][1,4]oxazepin-5(11H)-yl-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



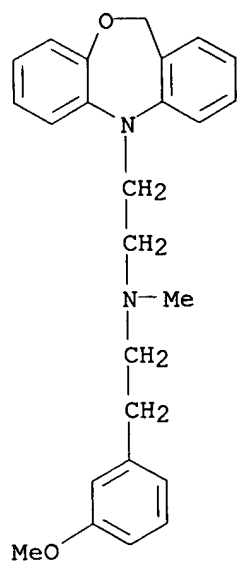
RN 281677-56-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(4-methoxyphenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 281677-57-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(3-methoxyphenyl)ethyl]-
N-methyl- (9CI) (CA INDEX NAME)



IT 281677-61-0P 281677-64-3P 281677-70-1P
281677-73-4P 281677-85-8P 281677-93-8P
281678-00-0P 281678-02-2P 281678-03-3P
281678-04-4P

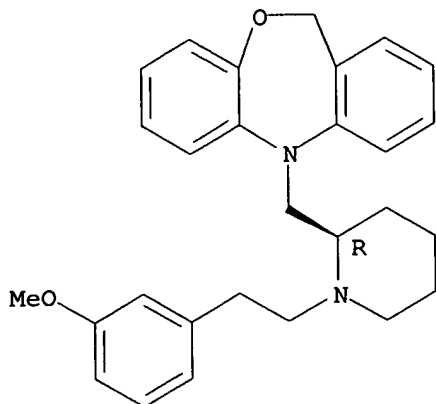
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of oxazepine derivs. and drugs containing the same)

10/724,179

RN 281677-61-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(3-methoxyphenyl)ethyl]-2-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

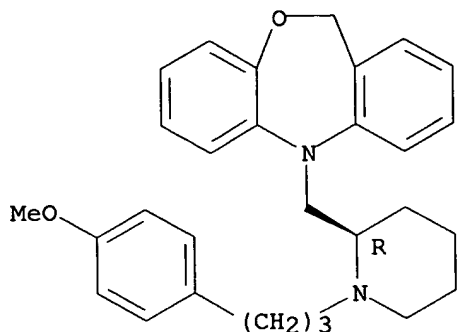
Absolute stereochemistry.



RN 281677-64-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[3-(4-methoxyphenyl)propyl]-2-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

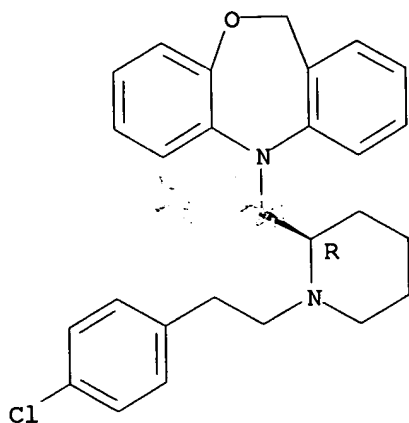


RN 281677-70-1 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5-[[(2R)-1-[2-(4-chlorophenyl)ethyl]-2-piperidinyl)methyl]-5,11-dihydro- (9CI) (CA INDEX NAME)

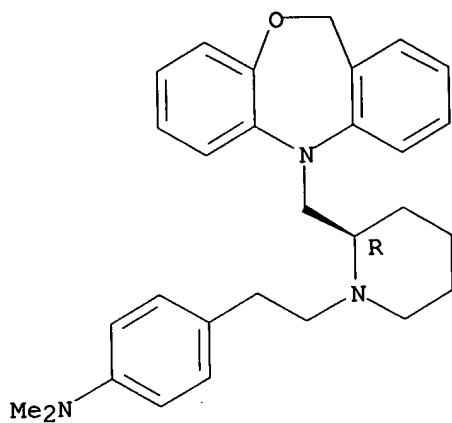
Absolute stereochemistry.

10/724,179



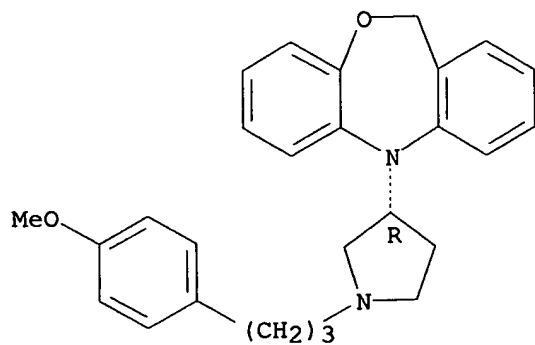
RN 281677-73-4 CAPLUS
CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-piperidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 281677-85-8 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[3-(4-methoxyphenyl)propyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

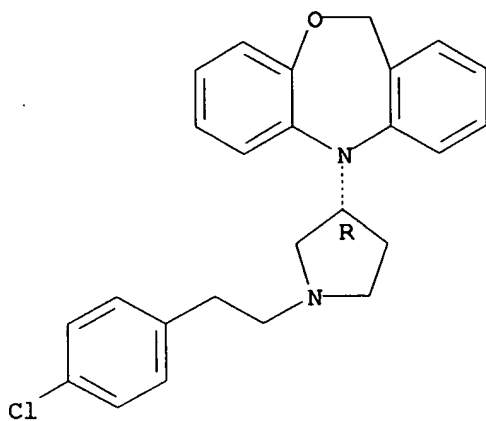
Absolute stereochemistry.



RN 281677-93-8 CAPLUS

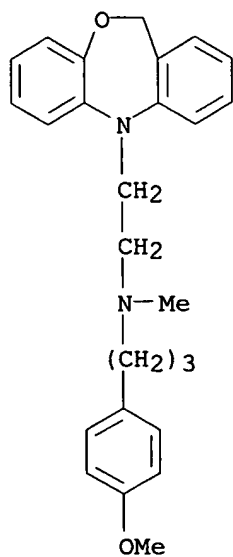
CN Dibenzo[b,e][1,4]oxazepine, 5-[(3R)-1-[2-(4-chlorophenyl)ethyl]-3-pyrrolidinyl]-5,11-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



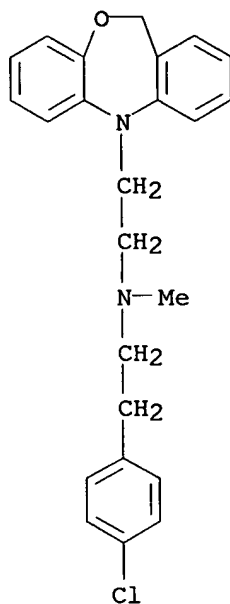
RN 281678-00-0 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[3-(4-methoxyphenyl)propyl]-N-methyl- (9CI) (CA INDEX NAME)



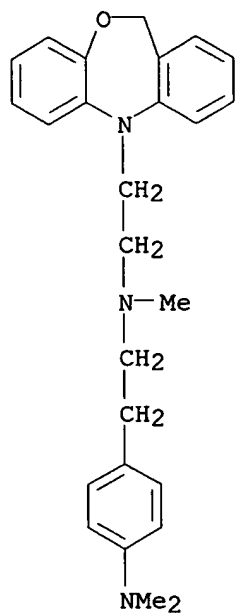
RN 281678-02-2 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(4-chlorophenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



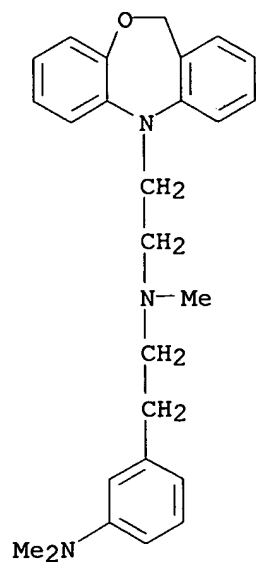
RN 281678-03-3 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[4-(dimethylamino)phenyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 281678-04-4 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[3-(dimethylamino)phenyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)



IT 281677-33-6P 281677-40-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazepine derivs. and drugs containing the same)

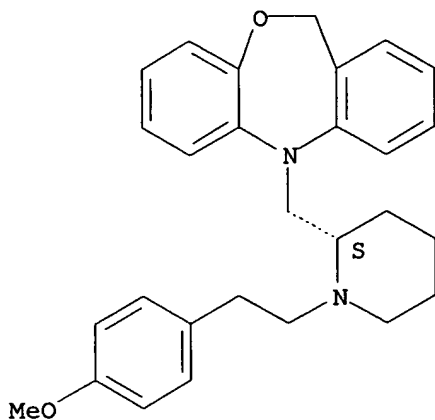
RN 281677-33-6 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[[(2S)-1-[2-(4-methoxyphenyl)ethyl]-2-piperidinyl]methyl]-, monohydrochloride (9CI) (CA

10/724,179

INDEX NAME)

Absolute stereochemistry.

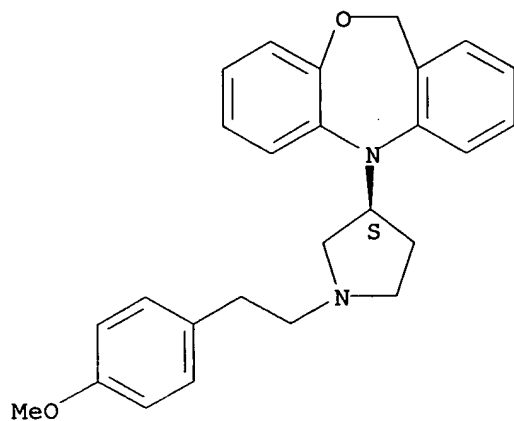


● HCl

RN 281677-40-5 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3S)-1-[2-(4-methoxyphenyl)ethyl]-3-pyrrolidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/724,179

L6 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:194140 CAPLUS

DOCUMENT NUMBER: 130:223305

TITLE: Preparation and formulation of 5,11-dihydrodibenz[b,e][1,4]oxazepine derivatives as calcium antagonists

INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko; Takahashi, Kazuyoshi

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

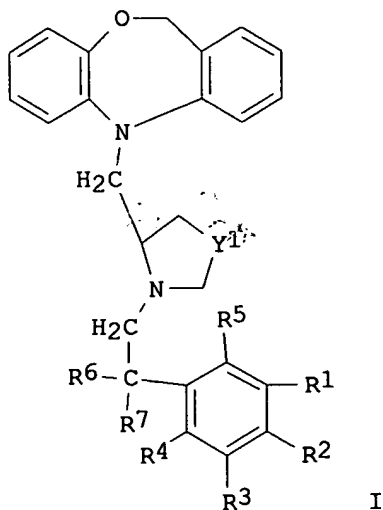
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------------------|----------|-----------------|------------|
| WO 9912925 | A1 | 19990318 | WO 1998-JP4071 | 19980910 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2304262 | AA | 19990318 | CA 1998-2304262 | 19980910 |
| AU 9890014 | A1 | 19990329 | AU 1998-90014 | 19980910 |
| AU 740878 | B2 | 20011115 | | |
| EP 1020466 | A1 | 20000719 | EP 1998-941803 | 19980910 |
| EP 1020466 | B1 | 20030219 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI | | | | |
| AT 232861 | E | 20030315 | AT 1998-941803 | 19980910 |
| US 6562808 | B1 | 20030513 | US 2000-522946 | 20000310 |
| PRIORITY APPLN. INFO.: | | | JP 1997-245669 | A 19970910 |
| | | | JP 1997-245670 | A 19970910 |
| | | | WO 1998-JP4071 | W 19980910 |
| OTHER SOURCE(S): | MARPAT 130:223305 | | | |
| GI | | | | |



AB The title compds. I [R1 - R5 = H, alkoxy, etc.; R6, R7 = H, hydroxy; Y1 = methylene, etc.] are prepared I are useful in the treatment or prevention of intestinal diseases such as gastrointestinal tract dyskinesia, in particular, irritable bowel syndrome. In an in vitro test for calcium antagonism using ileum, (R)-5,11-Dihydro-5-[1-[2-(4-dimethylaminophenyl)ethyl]-2-pyrrolidinylmethyl]dibenzo[b,e][1,4]oxazepine dihydrochloride (II) in vitro showed IC50 of 35 nM; in an in vitro test for calcium antagonism using artery, II showed IC50 of 255 nM. I also showed high water solubility

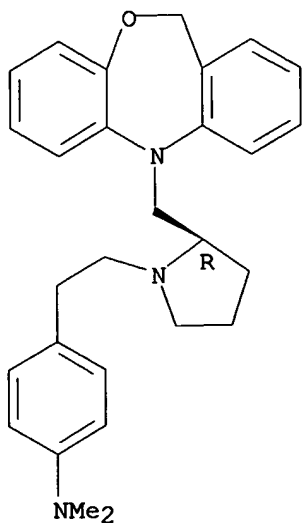
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 221159-69-9P 221159-72-4P 221159-75-7P
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 221160-82-3P 221160-86-7P 221160-90-3P
 221160-94-7P 221160-99-2P 221161-03-1P
 221161-07-5P 221161-10-0P 221161-13-3P
 221161-14-4P 221161-15-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of dihydrodibenzoxazepine derivs. as calcium antagonists)

RN 221159-49-5 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

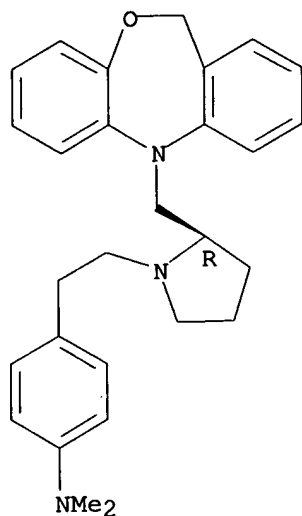
Absolute stereochemistry.



RN 221159-53-1 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinylethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

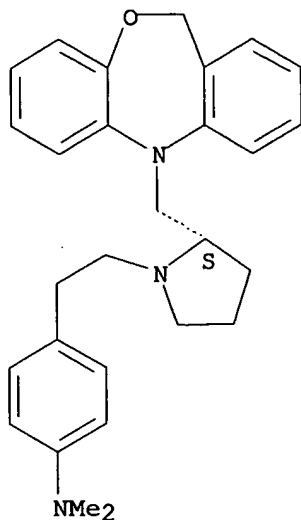


● 2 HCl

RN 221159-56-4 CAPLUS

CN Benzenamine, 4-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinylethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

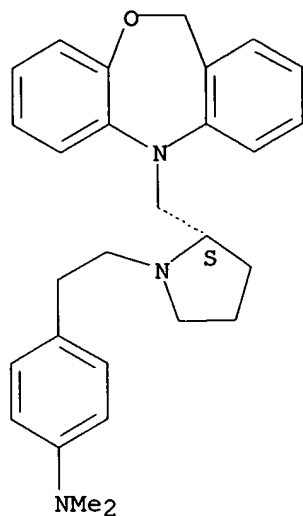
Absolute stereochemistry.



RN 221159-60-0 CAPLUS

CN Benzenamine, 4-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

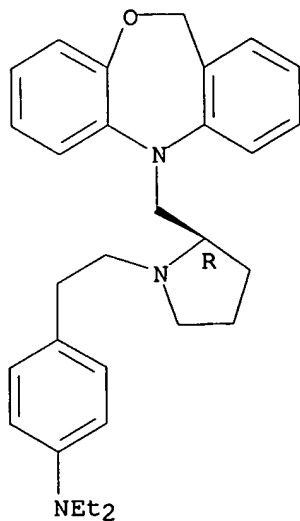


● 2 HCl

RN 221159-63-3 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)

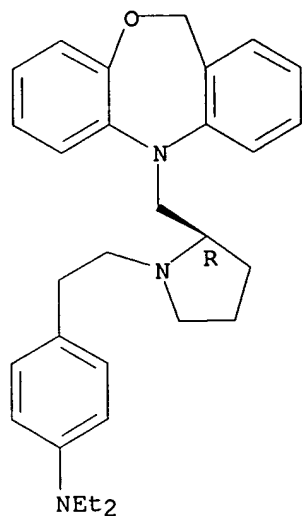
Absolute stereochemistry.



RN 221159-66-6 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

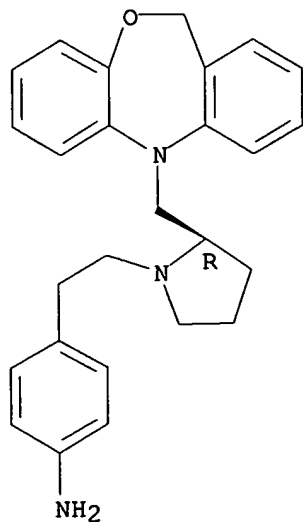


● 2 HCl

RN 221159-69-9 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]- (9CI) (CA INDEX NAME)

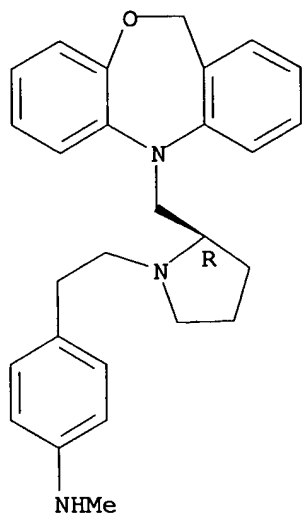
Absolute stereochemistry.



RN 221159-72-4 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

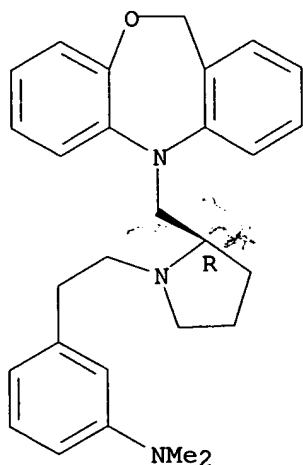


● 2 HCl

RN 221159-75-7 CAPLUS

CN Benzenamine, 3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

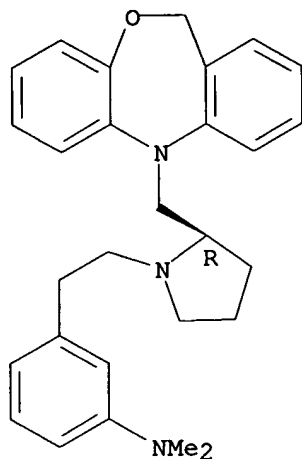
Absolute stereochemistry.



RN 221159-77-9 CAPLUS

CN Benzenamine, 3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

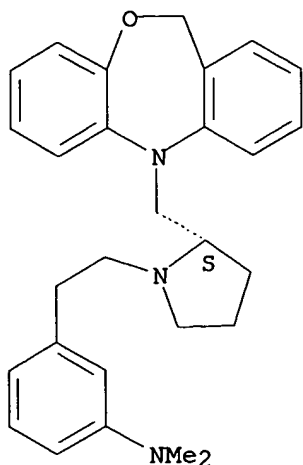


● 2 HCl

RN 221159-80-4 CAPLUS

CN Benzenamine, 3-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

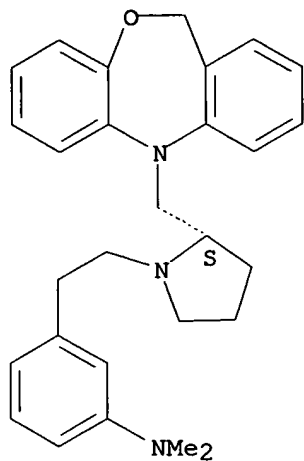
Absolute stereochemistry.



RN 221159-84-8 CAPLUS

CN Benzenamine, 3-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

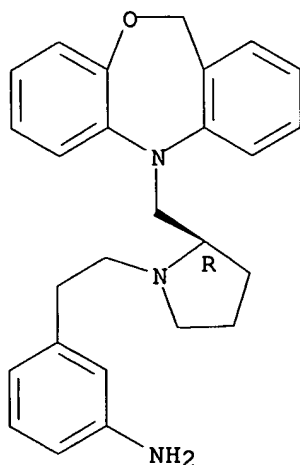


● 2 HCl

RN 221159-91-7 CAPLUS

CN Benzenamine, 3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

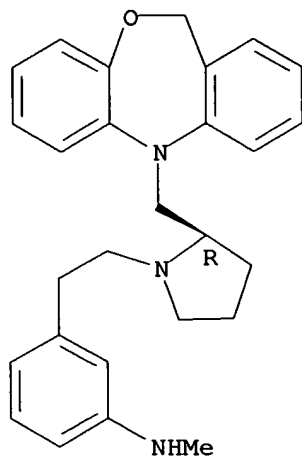


● 2 HCl

RN 221159-97-3 CAPLUS

CN Benzenamine, 3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinylethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

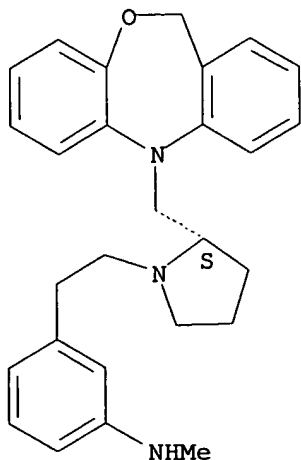


● 2 HCl

RN 221160-01-6 CAPLUS

CN Benzenamine, 3-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinylethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

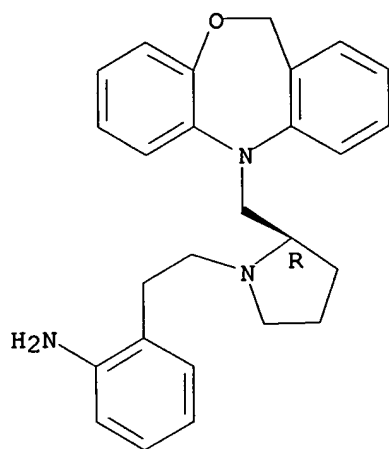


● 2 HCl

RN 221160-05-0 CAPLUS

CN Benzenamine, 2-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]- (9CI) (CA INDEX NAME)

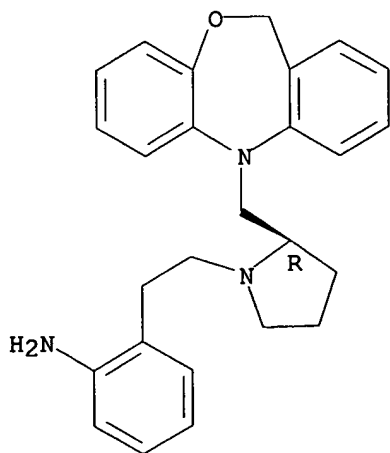
Absolute stereochemistry.



RN 221160-09-4 CAPLUS

CN Benzenamine, 2-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

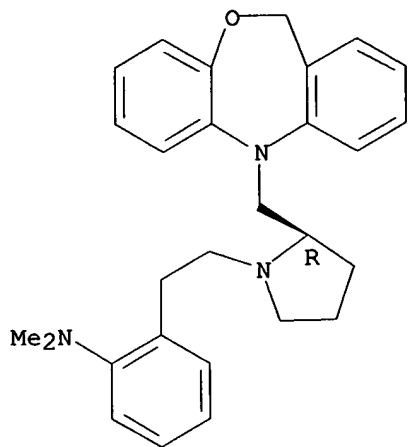
Absolute stereochemistry.



●2 HCl

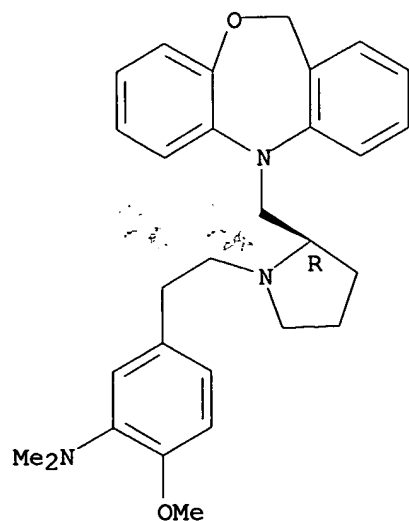
RN 221160-13-0 CAPLUS
 CN Benzenamine, 2-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221160-22-1 CAPLUS
 CN Benzenamine, 5-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-2-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

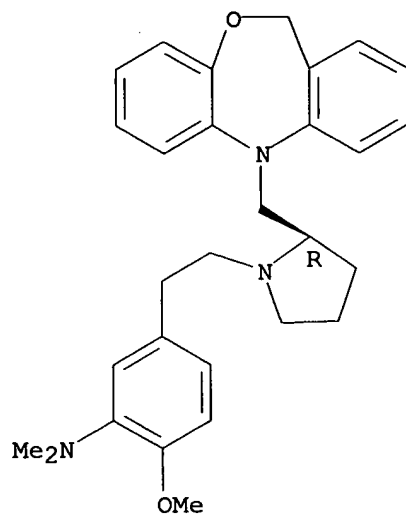
Absolute stereochemistry.



RN 221160-29-8 CAPLUS

CN Benzenamine, 5-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-2-methoxy-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

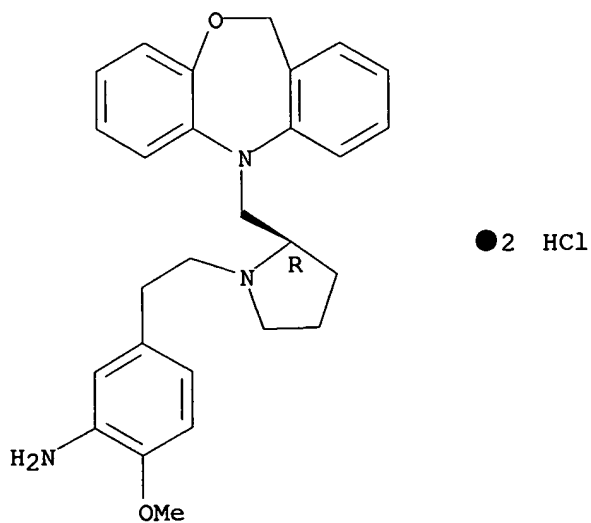


● 2 HCl

RN 221160-36-7 CAPLUS

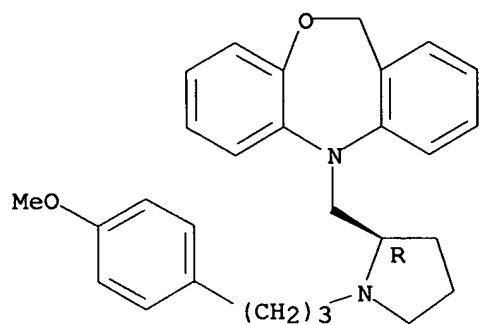
CN Benzenamine, 5-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-2-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



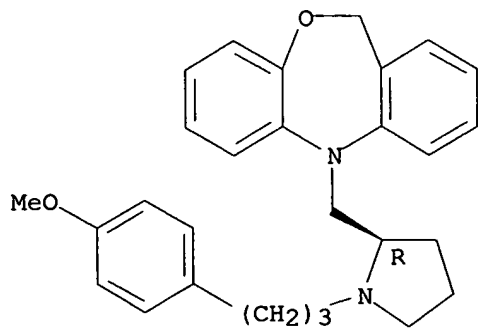
RN 221160-44-7 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[3-(4-methoxyphenyl)propyl]-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221160-51-6 CAPLUS
 CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[3-(4-methoxyphenyl)propyl]-2-pyrrolidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

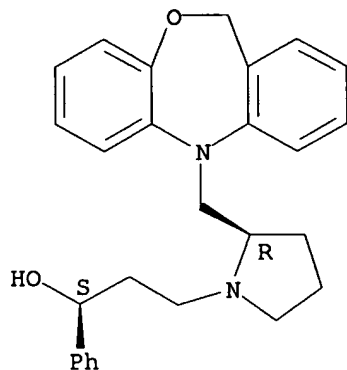


● HCl

RN 221160-58-3 CAPLUS

CN 1-Pyrrolidinepropanol, 2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-
 α -phenyl-, (α S,2R)- (9CI) (CA INDEX NAME)

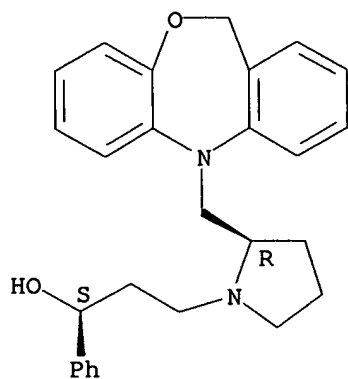
Absolute stereochemistry.



RN 221160-64-1 CAPLUS

CN 1-Pyrrolidinepropanol, 2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-
 α -phenyl-, monohydrochloride, (α S,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

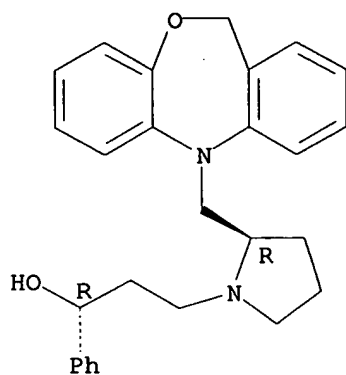


● HCl

RN 221160-70-9 CAPLUS

CN 1-Pyrrolidinepropanol, 2-(dibenz[b,e][1,4]oxazepin-5(1H)-ylmethyl)-
 α -phenyl-, (α R,2R)- (9CI) (CA INDEX NAME)

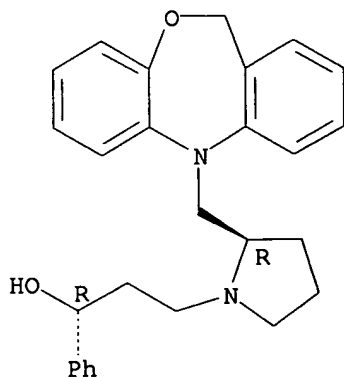
Absolute stereochemistry.



RN 221160-75-4 CAPLUS

CN 1-Pyrrolidinepropanol, 2-(dibenz[b,e][1,4]oxazepin-5(1H)-ylmethyl)-
 α -phenyl-, monohydrochloride, (α R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

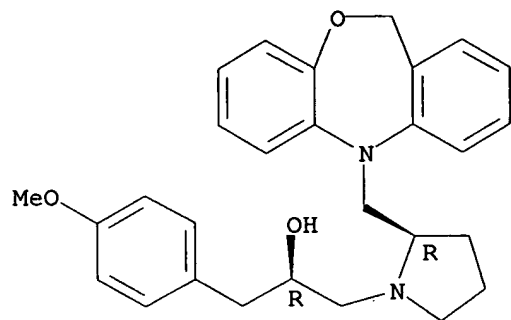


● HCl

RN 221160-82-3 CAPLUS

CN 1-Pyrrolidineethanol, 2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)- α -[(4-methoxyphenyl)methyl]-, (α R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

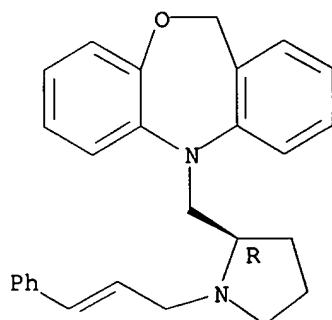


RN 221160-86-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-(3-phenyl-2-propenyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

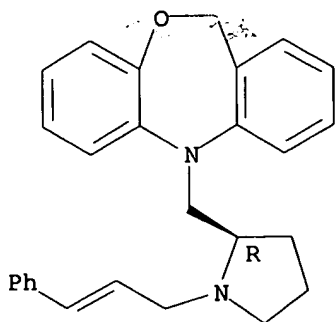


10/724,179

RN 221160-90-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-(3-phenyl-2-propenyl)-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

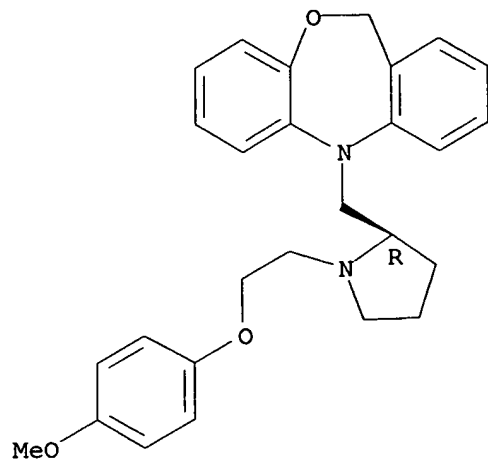


● HCl

RN 221160-94-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenoxy)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

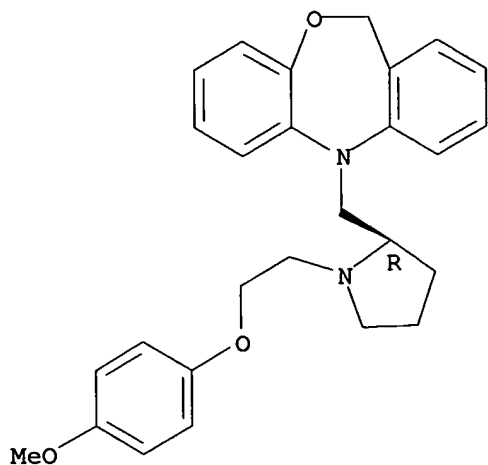
Absolute stereochemistry.



RN 221160-99-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenoxy)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

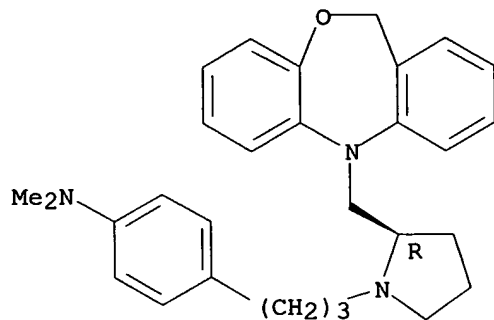
Absolute stereochemistry.



● HCl

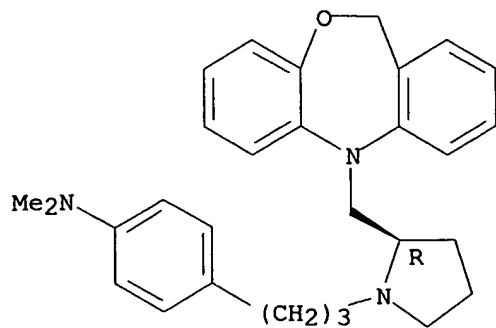
RN 221161-03-1 CAPLUS
 CN Benzenamine, 4-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]propyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221161-07-5 CAPLUS
 CN Benzenamine, 4-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]propyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

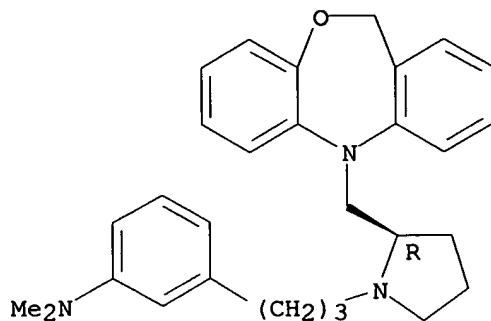


● 2 HCl

RN 221161-10-0 CAPLUS

CN Benzenamine, 3-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]propyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

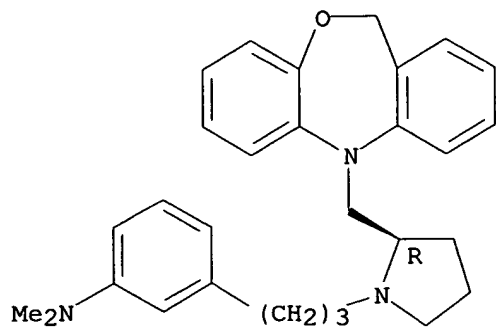
Absolute stereochemistry.



RN 221161-13-3 CAPLUS

CN Benzenamine, 3-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]propyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

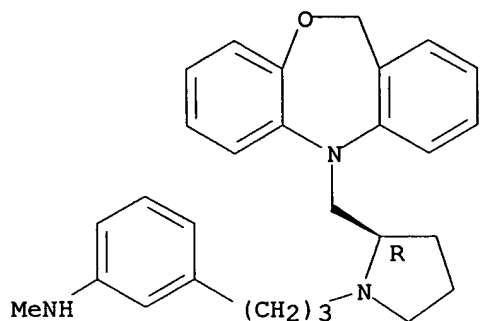


● 2 HCl

RN 221161-14-4 CAPLUS

CN Benzenamine, 3-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]propyl]-N-methyl- (9CI) (CA INDEX NAME)

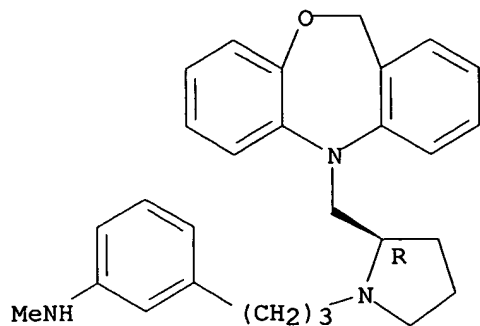
Absolute stereochemistry.



RN 221161-15-5 CAPLUS

CN Benzenamine, 3-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]propyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

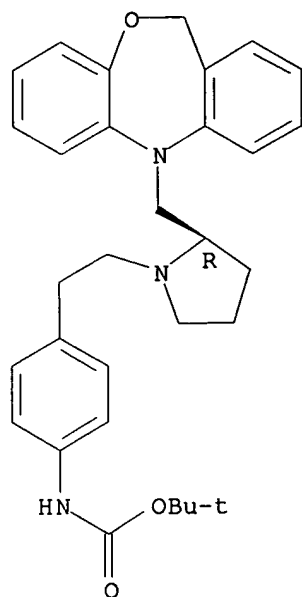
IT 221161-19-9P 221161-20-2P 221161-21-3P
221161-24-6P 221161-25-7P 221161-26-8P
221161-29-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of dihydrodibenzoxazepine derivs. as calcium antagonists)

RN 221161-19-9 CAPLUS

CN Carbamic acid, [4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

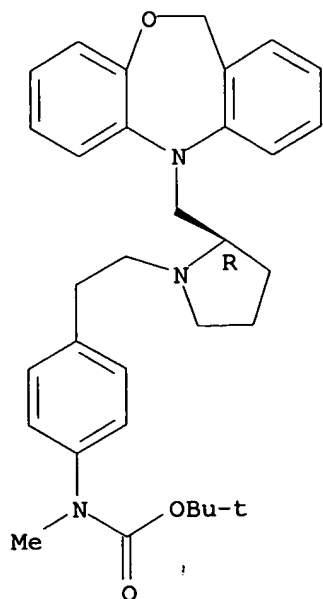


RN 221161-20-2 CAPLUS

CN Carbamic acid, [4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA

INDEX NAME)

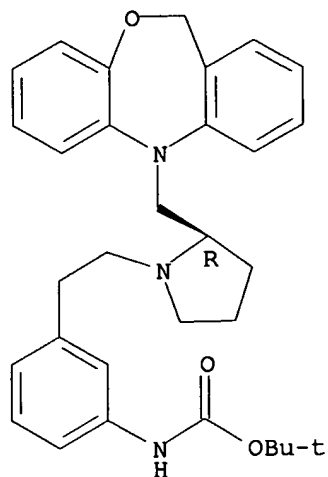
Absolute stereochemistry.



RN 221161-21-3 CAPLUS

CN Carbamic acid, [3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

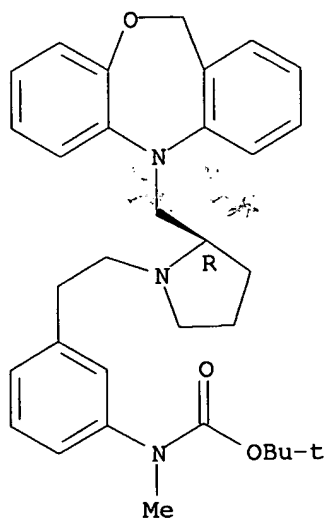


RN 221161-24-6 CAPLUS

CN Carbamic acid, [3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10/724,179

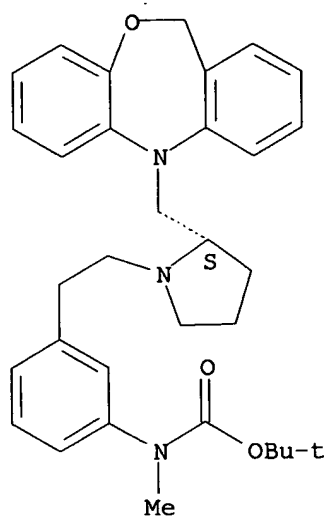
Absolute stereochemistry.



RN 221161-25-7 CAPLUS

CN Carbamic acid, [3-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

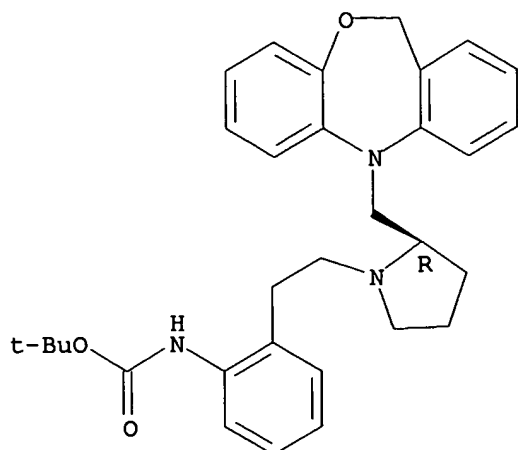
Absolute stereochemistry.



RN 221161-26-8 CAPLUS

CN Carbamic acid, [2-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

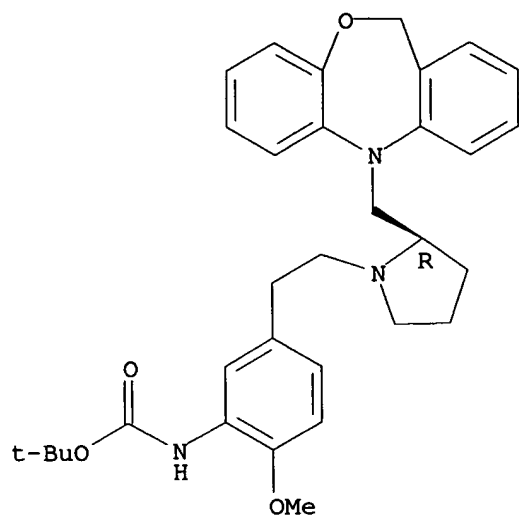
Absolute stereochemistry.



RN 221161-29-1 CAPLUS

CN Carbamic acid, [5-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

16 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:623166 CAPLUS

DOCUMENT NUMBER: 127:293256

TITLE: Preparation and formulation of 5,11-dihydrodibenz[b,e][1,4]oxazepine derivatives for improving the motor function of the digestive tract

INVENTOR(S): Tanaka, Yuji; Misumi, Keiji; Kawakami, Yoshinari; Moriguchi, Masahiko; Takahashi, Kazuyoshi; Okamoto, Hiroki; Kamisaki, Toshiaki; Inoue, Kimihiro; Sato, Makoto

PATENT ASSIGNEE(S): Ajinomoto, Inc., Japan

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

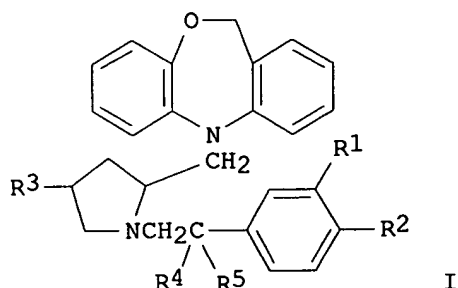
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------------------|----------|------------------|-------------|
| WO 9733885 | A1 | 19970918 | WO 1997-JP754 | 19970311 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| ZA 9702038 | A | 19970917 | ZA 1997-2038 | 19970310 |
| TW 479057 | B | 20020311 | TW 1997-86102931 | 19970310 |
| CA 2261271 | AA | 19970918 | CA 1997-2261271 | 19970311 |
| CA 2261271 | C | 20030916 | | |
| AU 9722335 | A1 | 19971001 | AU 1997-22335 | 19970311 |
| AU 704521 | B2 | 19990422 | | |
| EP 889043 | A1 | 19990107 | EP 1997-905478 | 19970311 |
| EP 889043 | B1 | 20010829 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI | | | | |
| CN 1213371 | A | 19990407 | CN 1997-193005 | 19970311 |
| CN 1085209 | B | 20020522 | | |
| BR 9707962 | A | 19990727 | BR 1997-7962 | 19970311 |
| JP 3127469 | B2 | 20010122 | JP 1997-532434 | 19970311 |
| AT 204871 | E | 20010915 | AT 1997-905478 | 19970311 |
| ES 2159843 | T3 | 20011016 | ES 1997-905478 | 19970311 |
| PT 889043 | T | 20020228 | PT 1997-905478 | 19970311 |
| NO 9804162 | A | 19981105 | NO 1998-4162 | 19980910 |
| NO 310976 | B1 | 20010924 | | |
| US 6127361 | A | 20001003 | US 1998-147012 | 19980911 |
| US 6436922 | B1 | 20020820 | US 2000-597409 | 20000619 |
| PRIORITY APPLN. INFO.: | | | JP 1996-83104 | A 19960311 |
| | | | WO 1997-JP754 | W 19970311 |
| | | | US 1998-147012 | A1 19980911 |
| OTHER SOURCE(S): | MARPAT 127:293256 | | | |
| GI | | | | |



AB The title compds. I [R1, R2 = H, halo, etc.; or R1R2 = O(CH2)nO; n = 1 - 3; R3 = H, OH; R4, R5 = H, OH; or R4R5 = O] are prepared I are calcium antagonists improving the motor function of the digestive tract. In an in vitro test for calcium antagonism using guinea pig ileum fragment, (R)-(+)-5,11-dihydro-5-[1-(4-methoxyphenethyl)-2-pyrrolidinylmethyl]dibenz[b,e][1,4]oxazepine hydrochloride (II) showed IC50 of 85 nM; in the test for calcium antagonism using rat artery fragment, II showed IC50 of 200 nM. II showed no anticholinergic activity. II gave better improvement of the motor function of the digestive tract than nifedipine. In the test for hypotensive activity, II showed ED50 of > 1000 mg/kg p.o., vs. ED50 of 4 mg/kg p.o. for nifedipine.

IT **195991-49-2P 195991-50-5P 195991-51-6P**
195991-52-7P 195991-53-8P 195991-54-9P
195991-55-0P 195991-56-1P 195991-57-2P
195991-58-3P 195991-59-4P 195991-60-7P
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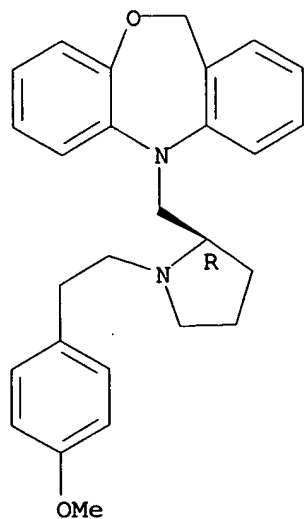
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydrodibenzoxazepine derivs. for improving the motor function of the digestive tract)

RN 195991-49-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinylmethyl]- (9CI) (CA INDEX NAME)

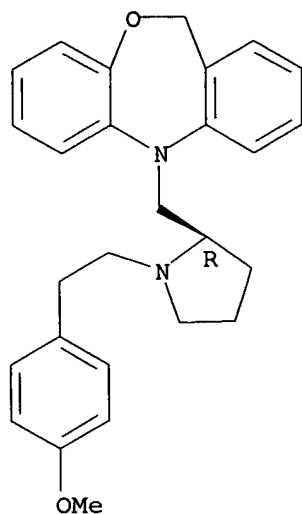
Absolute stereochemistry. Rotation (+).



RN 195991-50-5 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



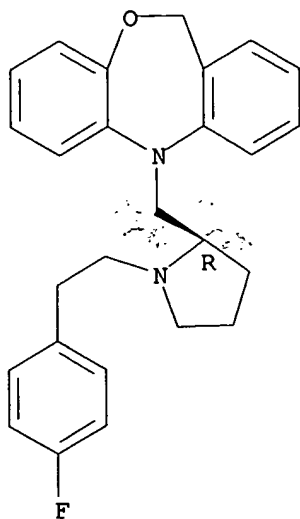
● HCl

RN 195991-51-6 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5-[[1-[(2R)-1-[2-(4-fluorophenyl)ethyl]-2-pyrrolidinyl]methyl]-5,11-dihydro-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

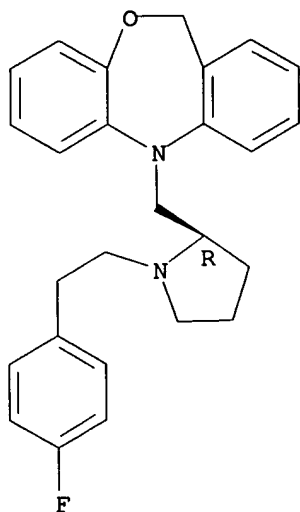
10/724,179



RN 195991-52-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5-[[1-[[2-(4-fluorophenyl)ethyl]-2-pyrrolidinyl]methyl]-5,11-dihydro-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



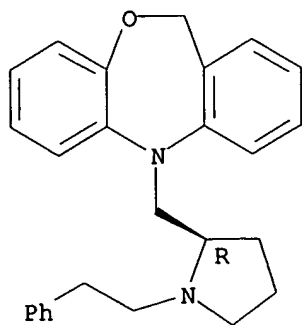
● HCl

RN 195991-53-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-(2-phenylethyl)-2-pyrrolidinyl]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

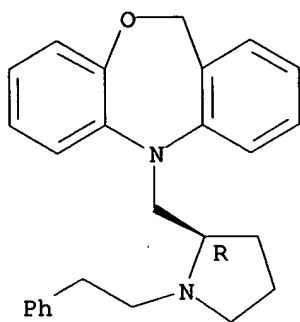
10/724,179



RN 195991-54-9 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-(2-phenylethyl)-2-pyrrolidinyl]methyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

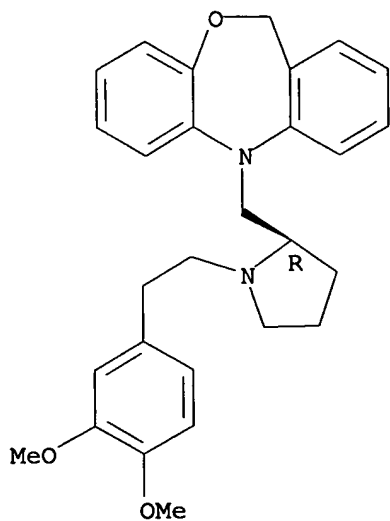


● HCl

RN 195991-55-0 CAPLUS

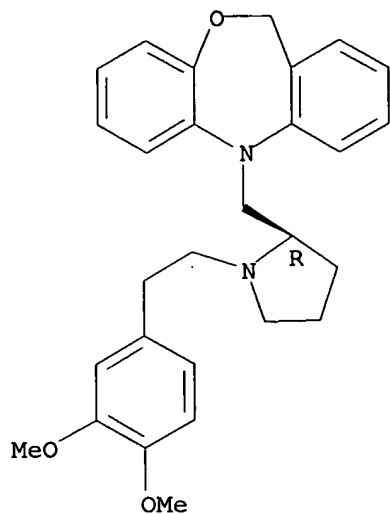
CN Dibenz[b,e][1,4]oxazepine, 5-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-5,11-dihydro-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 195991-56-1 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepine, 5-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-5,11-dihydro-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

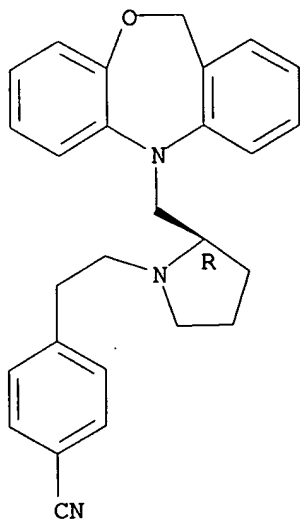
Absolute stereochemistry. Rotation (+).



● HCl

RN 195991-57-2 CAPLUS
 CN Benzonitrile, 4-[2-[2-(dibenzo[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

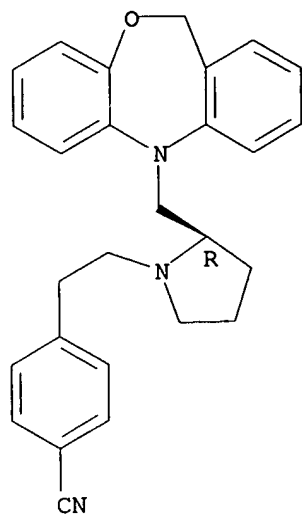
Absolute stereochemistry. Rotation (+).



RN 195991-58-3 CAPLUS

CN Benzonitrile, 4-[2-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

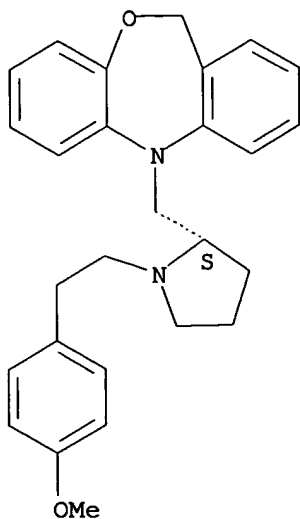


● HCl

RN 195991-59-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

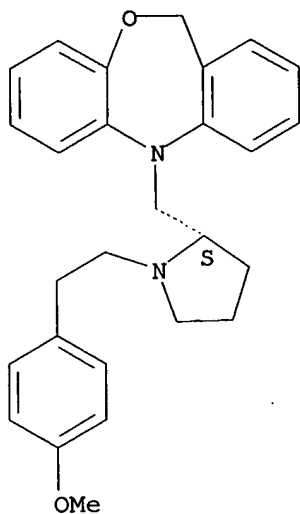
Absolute stereochemistry. Rotation (-).



RN 195991-60-7 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



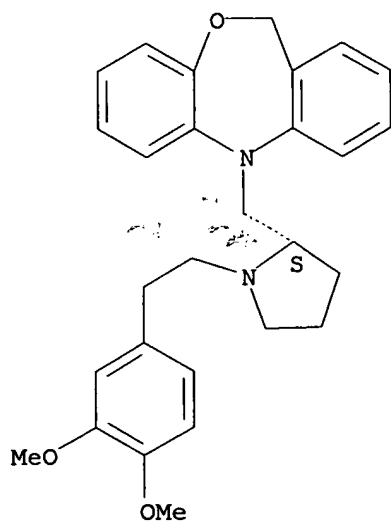
● HCl

RN 195991-61-8 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-5,11-dihydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

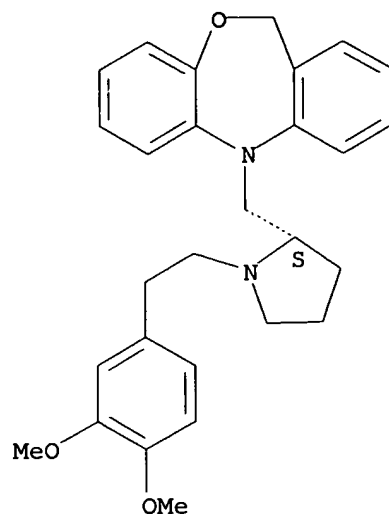
10/724,179



RN 195991-62-9 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-5,11-dihydro-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

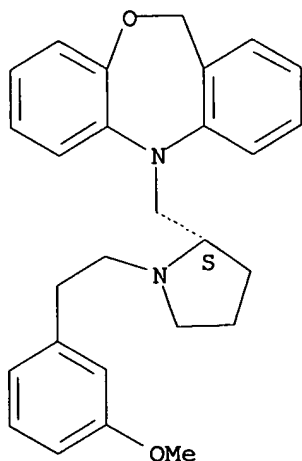


● HCl

RN 195991-64-1 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(3-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

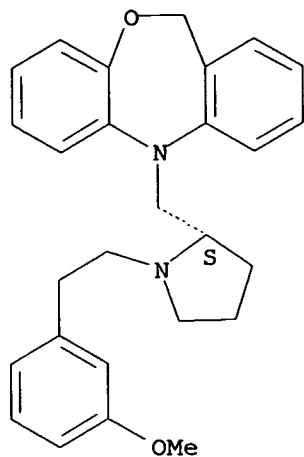
Absolute stereochemistry. Rotation (-).



RN 195991-65-2 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(3-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

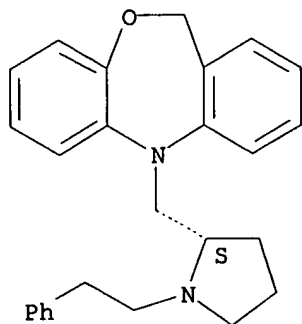


● HCl

RN 195991-66-3 CAPLUS

CN Dibenzo[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-(2-phenylethyl)-2-pyrrolidinyl]methyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

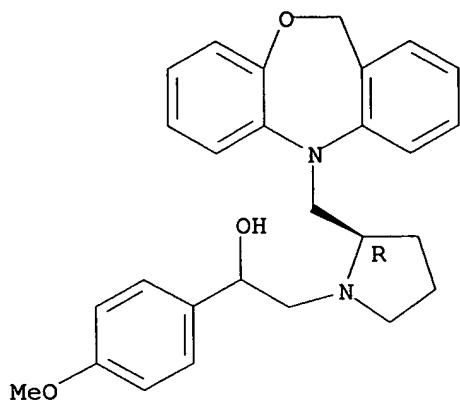


● HCl

RN 195991-67-4 CAPLUS

CN 1-Pyrrolidineethanol, 2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)- α -(4-methoxyphenyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

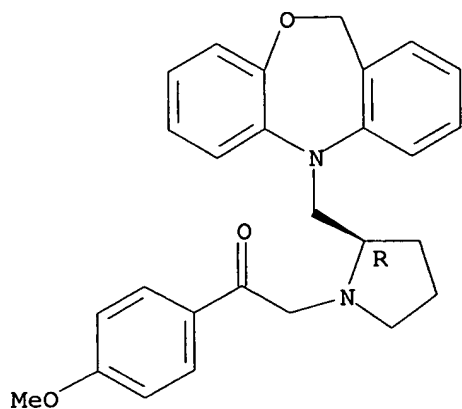


● HCl

RN 195991-68-5 CAPLUS

CN Ethanone, 2-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]-1-(4-methoxyphenyl)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

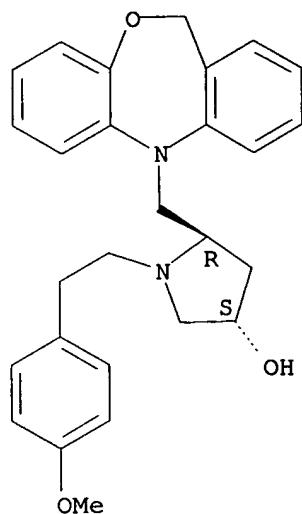


● HCl

RN 195991-69-6 CAPLUS

CN 3-Pyrrolidinol, 5-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-[2-(4-methoxyphenyl)ethyl]-, (3S-trans)- (9CI) (CA INDEX NAME)

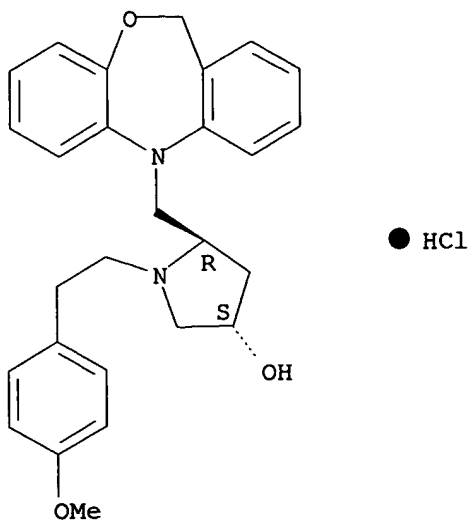
Absolute stereochemistry. Rotation (+).



RN 195991-70-9 CAPLUS

CN 3-Pyrrolidinol, 5-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-[2-(4-methoxyphenyl)ethyl]-, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 195991-74-3P 195991-75-4P 195991-80-1P

195991-81-2P 196710-93-7P

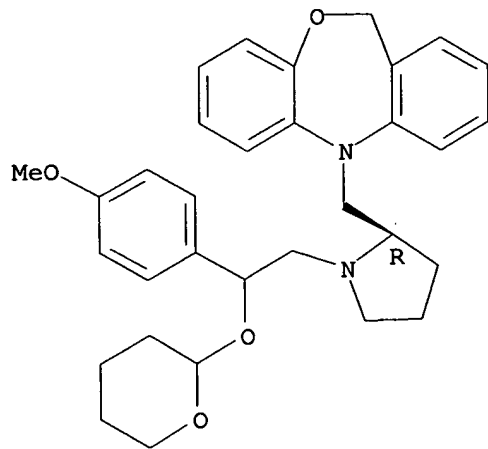
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of dihydrodibenzoxazepine derivs. for improving the motor
function of the digestive tract)

RN 195991-74-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)-2-
[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]-2-pyrrolidinyl]methyl]-,
(2R)-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

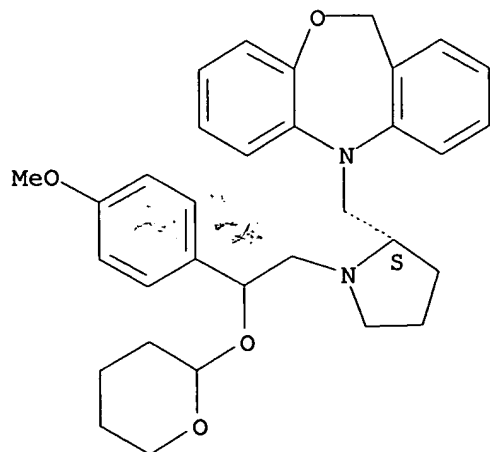


RN 195991-75-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)-2-
[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]-2-pyrrolidinyl]methyl]-,
(2S)-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

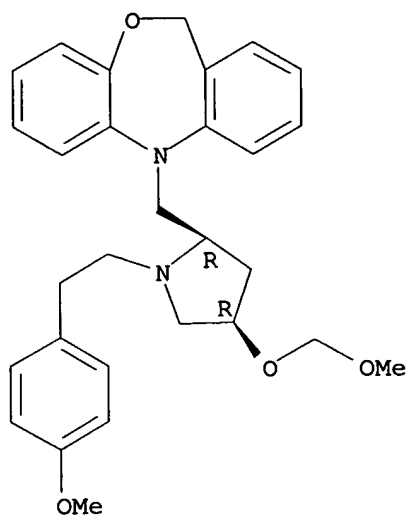
10/724,179



RN 195991-80-1 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[4-(methoxymethoxy)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

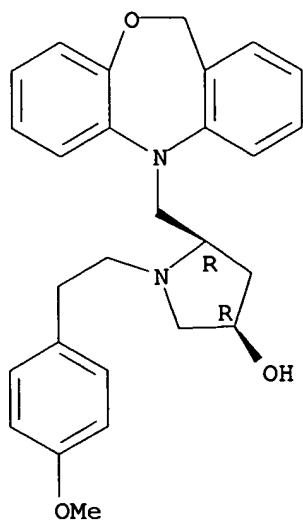
Absolute stereochemistry. Rotation (+).



RN 195991-81-2 CAPLUS

CN 3-Pyrrolidinol, 5-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-[2-(4-methoxyphenyl)ethyl]-, (3R-cis)- (9CI) (CA INDEX NAME)

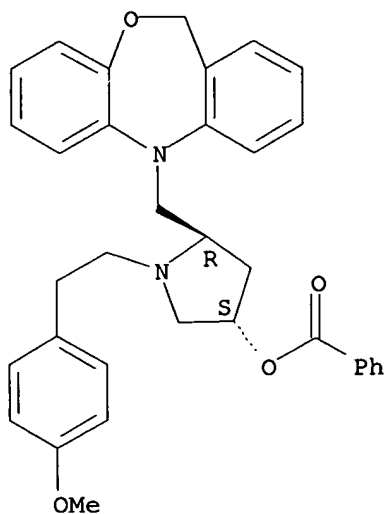
Absolute stereochemistry. Rotation (+).



RN 196710-93-7 CAPLUS

CN 3-Pyrrolidinol, 5-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-[2-(4-methoxyphenyl)ethyl]-, benzoate (ester), (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:6872 CAPLUS

DOCUMENT NUMBER: 124:105588

TITLE: Aminoacetyl Moiety as a Potential Surrogate for
Diacylhydrazine Group of SC-51089, a Potent PGE2
Antagonist, and Its Analogs

AUTHOR(S): Hallinan, E. Ann; Hagen, Timothy J.; Tsymbalov, Sofya;
Husa, Robert K.; Lee, Albert C.; Stapelfeld, Awilda;
Savage, Michael A.

CORPORATE SOURCE: Department of Chemistry, Skokie, IL, 60077, USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(2), 609-13
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 8-Chlorodibenz[b,f][1,4]oxazepine-10(11H)-carboxylic acid,
2-[1-oxo-3-(4-pyridinyl)propyl]hydrazide, monohydrochloride (1, SC-51089)
is a functional PGE2 antagonist selective for the EP1 receptor subtype
with antinociceptive activity. During metabolism in cultured rat hepatocytes,
SC-51089, which contains a diacylhydrazine moiety, has been shown to
release hydrazine. Analogs of SC-51089, in which the diacylhydrazine
functionality has been replaced by isosteric and isoelectronic groups,
have been synthesized and have been shown to be analgesics and PGE2
antagonists of the EP1 subtype. This report discusses the
structure-activity relationships within these series.

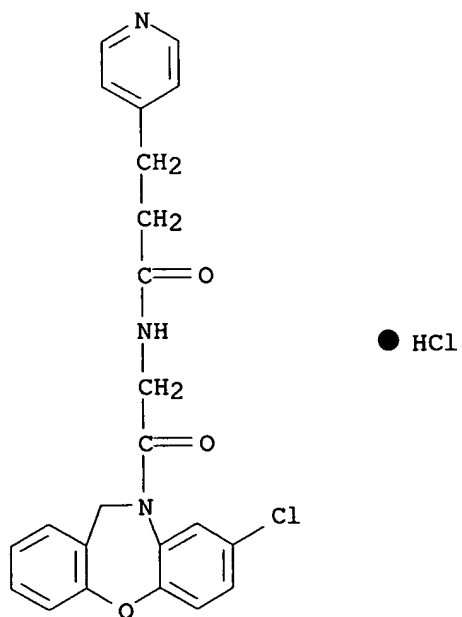
IT 149435-18-7P 173100-20-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation and analgesic and PGE2 antagonistic activity of SC-51089
antagonists)

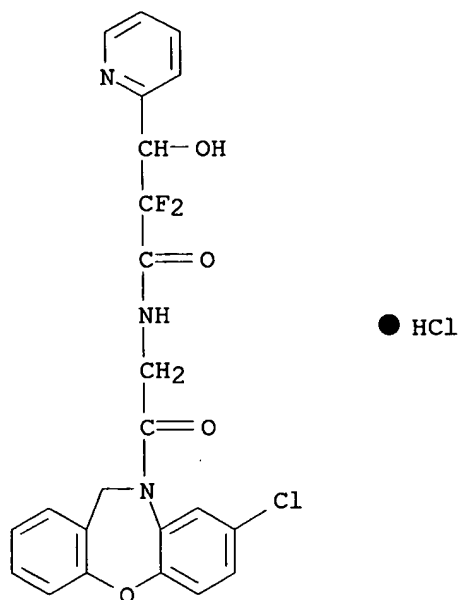
RN 149435-18-7 CAPLUS

CN 4-Pyridinepropanamide, N-[2-(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)-
2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 173100-20-4 CAPLUS

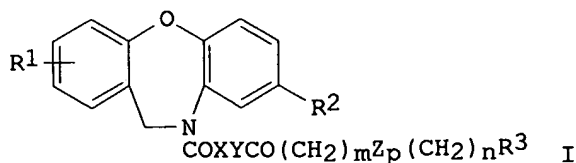
CN 2-Pyridinepropanamide, N-[2-(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)-
2-oxoethyl]-α,α-difluoro-β-hydroxy-, monohydrochloride
(9CI) (CA INDEX NAME)



10/724,179

LG ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:539280 CAPLUS
 DOCUMENT NUMBER: 119:139280
 TITLE: Preparation of substituted dibenzoxazepine compounds and their use as analgenic agents and prostaglandin antagonists
 INVENTOR(S): Husa, Robert Knol; Hagen, Timothy Joseph; Hallinan, E. Ann
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA
 SOURCE: Eur. Pat. Appl., 46 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|-------------|
| EP 539977 | A1 | 19930505 | EP 1992-118501 | 19921029 |
| R: PT | | | | |
| US 5212169 | A | 19930518 | US 1991-786161 | 19911031 |
| CA 2114211 | AA | 19930513 | CA 1992-2114211 | 19921002 |
| WO 9309105 | A1 | 19930513 | WO 1992-US8217 | 19921002 |
| W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG | | | | |
| AU 9228796 | A1 | 19930607 | AU 1992-28796 | 19921002 |
| EP 610303 | A1 | 19940817 | EP 1992-922137 | 19921002 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE | | | | |
| JP 07500602 | T2 | 19950119 | JP 1992-508401 | 19921002 |
| US 5288719 | A | 19940222 | US 1993-6858 | 19930121 |
| US 5382578 | A | 19950117 | US 1993-155613 | 19931119 |
| PRIORITY APPLN. INFO.: | | | US 1991-786161 | A 19911031 |
| | | | WO 1992-US8217 | A 19921002 |
| | | | US 1993-6858 | A3 19930121 |
| OTHER SOURCE(S): | | MARPAT 119:139280 | | |
| GI | | | | |



AB Title compds. I (X = NH, CH₂; Y = CH₂ when X = NH, NH when X = CH₂; R₁ = H, halo, R₄O wherein R₄ = H, alkyl, alkyl-, arylcarbonyl, aminobenzyl; R₂ = H, halo, F₃C; R₃ = H, aryl, halo, heteroaryl, (alkyl)amino; Z = O, S, SO, SO₂, Me₃CCON, NH; m, n 0-3; p = 0, 1) or a salt thereof, are prepared 1,3-Dihydro-1,3-dioxo-2H-isoindole-2-acetic acid was converted to the acid chloride, the product refluxed with 8-chloro-10,11-dihydrodibenz[b,f][1,4]oxazepine and Et₃N to give the isoindolyldibenzoxazepine derivative which in 2 steps was converted to I (X = CH₂, Y = NH, R₁ = R₃ = H, R₂ = Cl, Z = SO₂, m = n = 2, p = 1) (II). II

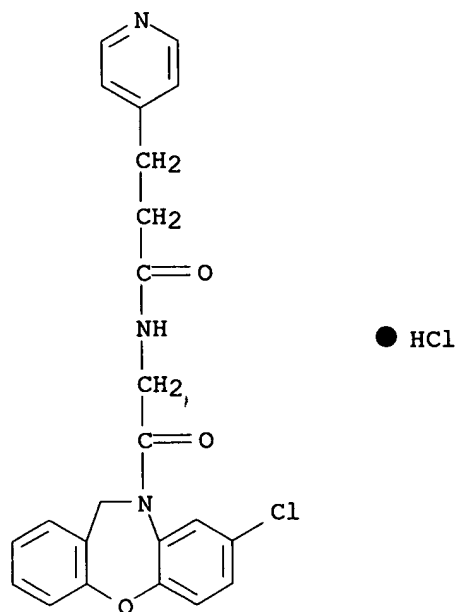
was the most potent analgesic among the I tested.

IT **149435-18-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as analgesic and prostaglandin antagonist)

RN 149435-18-7 CAPLUS

CN 4-Pyridinepropanamide, N-[2-(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



10/724,179

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